STIC Search Report
EIC 1700

STIC Database Tracking Number: 191638

TO: Ben Sackey **Location: REM 5B31** **Art Unit : 1626** **June 5, 2006** **Case Serial Number: 10/717237**	**From: Kathleen Fuller** **Location: EIC 1700** **REMSEN 4B28** **Phone: 571/272-2505** **Kathleen.Fuller@uspto.gov**

Search Notes

Mrs Fuller

Access DB# 191688

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: BEN SACKEY Examiner #: 73489 Date: 5/31/06
Art Unit: 1626 Phone Number ~~30~~ 2-0704 Serial Number: 10/717,237
Mail Box and Bldg/Room Location: REM 3B31 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

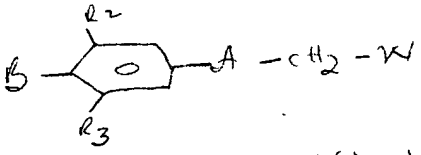
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: N-Aryl-2-oxazolidinone-5-carboxamides and their derivatives

Inventors (please provide full names): Hester et al

Earliest Priority Filing Date: 11/21/02

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



A is structures (i)(ii)(iii) and (iv)

B is structures (a) and (b)

W is $-N(H)C(X)-R_1$, het, or Y het wherein het or Y-het is optionally substituted with =S or =O, provided that when A is (iv) W is not -Y-het or het

X is -O- or -S-

Y is NH, -O-, or -S-

Z is (a-f).

Thanks

****

STAFF USE ONLY	Type of Search		Vendors and cost where applicable	
Searcher: A. Fuller	NA Sequence (#)		STN	✓
Searcher Phone #:	AA Sequence (#)		Dialog	
Searcher Location:	Structure (#)	2	Questel/Orbit	
Date Searcher Picked Up:	Bibliographic		Dr.Link	
Date Completed: 6/5/06	Litigation		Lexis/Nexis	
Searcher Prep & Review Time: 40	Fulltext		Sequence Systems	
Clerical Prep Time:	Patent Family		WWW/Internet	✓
Online Time: 59	Other		Other (specify)	

PTO-1590 (8-01)

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FILE 'REGISTRY' ENTERED AT 16:53:13 ON 05 JUN 2006
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STRUCTURE FILE UPDATES:    4 JUN 2006  HIGHEST RN 886746-35-6
DICTIONARY FILE UPDATES:   4 JUN 2006  HIGHEST RN 886746-35-6

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
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http://www.cas.org/ONLINE/UG/regprops.html

=> file hcapl
FILE 'HCAPLUS' ENTERED AT 16:53:18 ON 05 JUN 2006
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FILE COVERS 1907 - 5 Jun 2006  VOL 144 ISS 24
FILE LAST UPDATED: 4 Jun 2006  (20060604/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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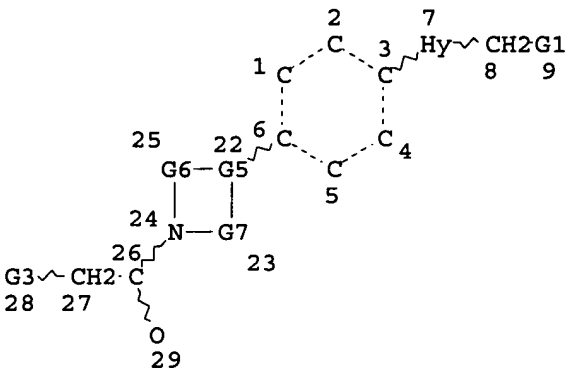

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  25

STEREO ATTRIBUTES: NONE
L29              SCR 1841
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L33           35 SEA FILE=HCAPLUS ABB=ON  L31
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L36            1 SEA FILE=HCAPLUS ABB=ON  L21 AND L34
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applicant only printed / structure

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AN   2004:453033  HCAPLUS
DN   141:23519
TI   Preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide
     derivatives for therapeutic use as antibacterial agents
IN   Harris, Christina R.; Hester, Jackson Boling, Jr.
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PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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OS MARPAT 141:23519
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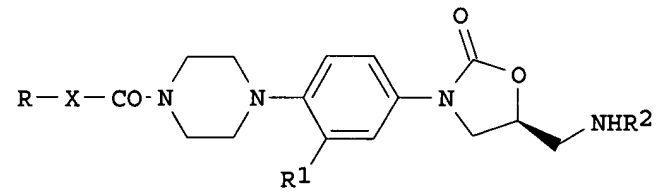

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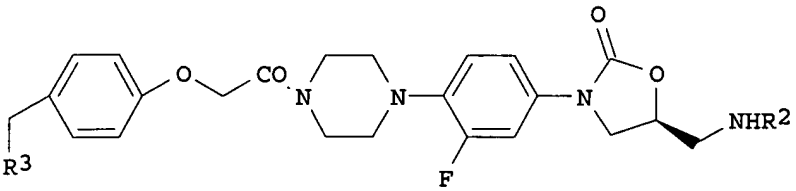

II

AB Oxazolidinone-5-carboxamide derivs., such as I [R = amine substituted Ph or phthalimido; R1 = H, F; R2 = acyl or thioacyl; X = alkylene or heteroalkyl linking group;], were prepared for use in pharmaceutical compns. as antibacterial agents. Thus, thioamide II (R2 = CSCH2Me, R3 = NEt2) was prepared via a reaction sequence which comprised an N-acylation reaction of [[(5S)-3-[3-fluoro-4-(1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]carbamic acid 1,1-dimethylethyl ester with 4-(hydroxymethyl)phenoxyacetic acid to give alc. II (R2 = CO2Me3, R3 = OH), followed by conversion of the alc. to the corresponding bromide II

(R2 = CO2Me3, R3 = Br), amination of the bromide with Et2NH to give monoprotected-amine II (R2 = CO2Me3, R3 = NEt2), deprotection to form amine II (R2 = H, R3 = NEt2) and, finally, thioacylation of the amine with MeCH2CS2Et to give the target thioamide. The prepared carboxamides were assayed for inhibitory activity against a panel of organisms, such as S. aureus, S. pneumonia and H. influenzae.

IC ICM A61K031-496
ICS A61K031-422; A61K031-5355; C07D263-20; C07D413-10

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10, 63

ST piperazinyl phenyl oxazolidinone amide prepn antibacterial agent; drug delivery system piperazinyl phenyl oxazolidinone amide prepn antibacterial

IT Infection
(bacterial, treatment; preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide derivs. for therapeutic use as antibacterial agents)

IT Antibacterial agents
Drug delivery systems
(preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide derivs. for therapeutic use as antibacterial agents)

IT **697804-57-2P** 697804-60-7P 697804-62-9P **697804-65-2P**
697804-92-5P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide derivs. for therapeutic use as antibacterial agents)

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RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide derivs. for therapeutic use as antibacterial agents)

IT 56-40-6, Glycine, reactions 103-84-4, Acetanilide 105-56-6, Ethyl cyanoacetate 107-95-9, β-Alanine 108-30-5, Succinic anhydride, reactions 108-55-4, Glutaric anhydride 109-01-3, N-Methylpiperazine 109-89-7, Diethylamine, reactions 110-91-8, Morpholine, reactions 123-62-6, Propionic anhydride 298-12-4, Glyoxylic acid 350-46-9,

1-Fluoro-4-nitrobenzene 577-59-3, o-Nitroacetophenone 870-73-5, Ethyl dithioacetate 998-79-8, Ethyl dithiopropionate 1118-68-9, N,N-Dimethylglycine 1138-80-3 1142-20-7 3984-34-7, 3-(4-Chlorobenzoyl)propionic acid 4521-28-2, 4-(4-Methoxyphenyl)butanoic acid 4530-20-5 4619-20-9, 4-(4-Methylphenyl)-4-oxobutanoic acid 5415-95-2, Methyl dithiopropionate 5466-84-2, 4-Nitrophthalic anhydride 5600-62-4, 4-(4-Nitrophenyl)butanoic acid 6328-00-3 6340-79-0, 3-(4-Bromobenzoyl)propionic acid 29022-11-5 68858-21-9, 4-(Hydroxymethyl)phenoxyacetic acid 87512-31-0 100632-57-3 103321-49-9 103321-50-2 154590-66-6 174649-07-1 188974-04-1 273376-95-7 345224-36-4, Ethyl cyclopropanecarbodithioate 415684-05-8 570390-86-2, O-(3,3-Diphenylpropyl) difluoroethanethioate 612056-04-9 697806-14-7
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(preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide derivs. for therapeutic use as antibacterial agents)

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RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide derivs. for therapeutic use as antibacterial agents)

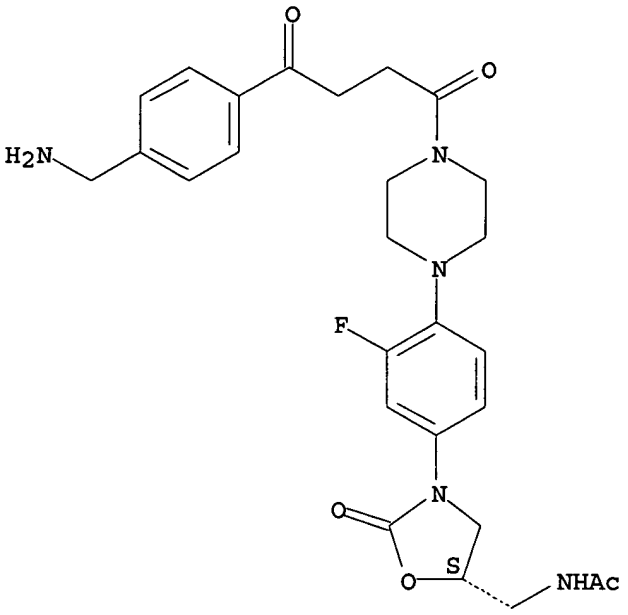
IT **697804-57-2P**
RL: BSU (Biological study, unclassified); RCT (Reactant); **PREP (Preparation)**; THU (Therapeutic use); **PREP (Preparation)**;

PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide derivs. for therapeutic use as antibacterial agents)

RN 697804-57-2 HCAPLUS

CN Acetamide, N-[[(5S)-3-[4-[4-[4-[4-(aminomethyl)phenyl]-1,4-dioxobutyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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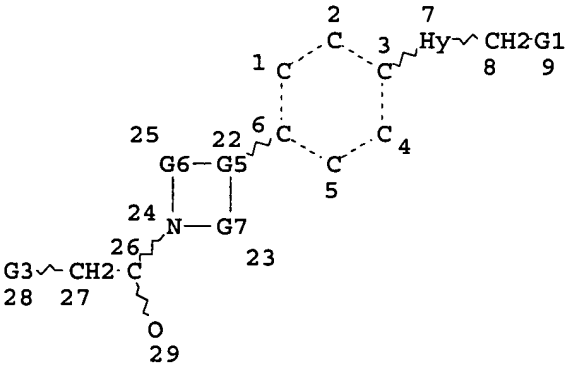

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REP G6=(2-3) CH2
REP G7=(1-4) CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  AT   7
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E1 O  AT   7

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  25

STEREO ATTRIBUTES: NONE
L29              SCR 1841
L31          239 SEA FILE=REGISTRY SSS FUL L27 AND L29
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DN   144:192234
TI   Preparation of oxazolidinone compounds and compositions for the treatment
     of bacterial infections
IN   Cano, Montserrat; Palomer, Albert; Guglietta, Antonio
PA   Ferrer Internacional, S. A., Spain
SO   PCT Int. Appl., 75 pp.
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DT   Patent
LA   English
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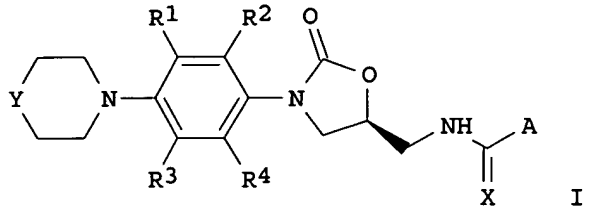

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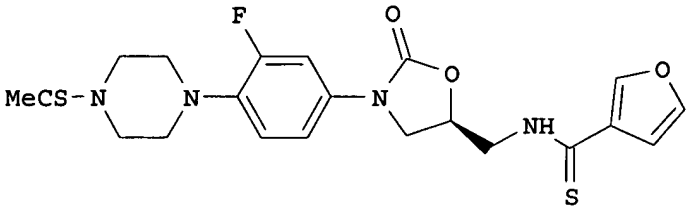


II

AB Oxazolidinones of formula I [R1-R4 = H, F, Cl; A = (substituted) furanyl, (substituted) benzofuranyl; X = O, S, (substituted) NH, (substituted) CH2; Y = O, S, SO, SO2, NO, (substituted) NH, (substituted) CH2] are prepared The compds. are active against Gram-pos. and some Gram-neg. human and veterinary pathogens with a weak monoamine oxidase (MAO) inhibitory activity. They are useful for the treatment of bacterial infections. Pharmaceutical compns. containing I are described. Thus, II was prepared, and had MIC value of 0.50 μg/mL against S. aureus.

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

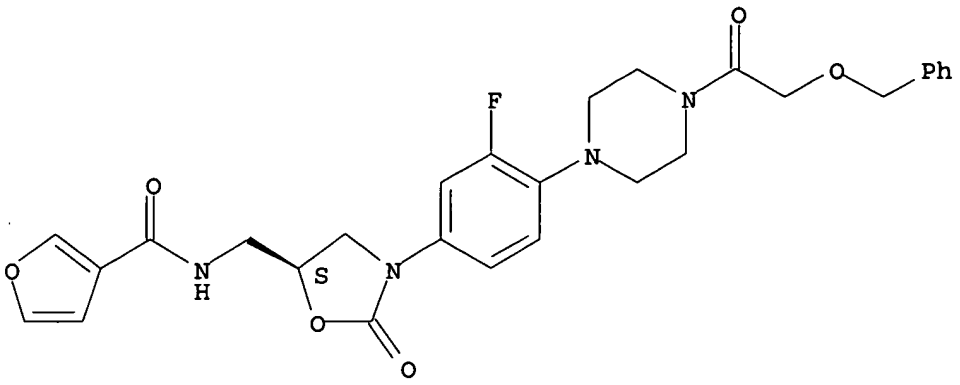
IT 874819-75-7P 874819-77-9P 874819-80-4P 874819-82-6P 874819-84-8P 874819-85-9P 874819-91-7P **874820-25-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinones as antibacterial agents)

IT **874820-25-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinones as antibacterial agents)

RN 874820-25-4 HCAPLUS

CN 3-Furancarboxamide, N-[[(5S)-3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

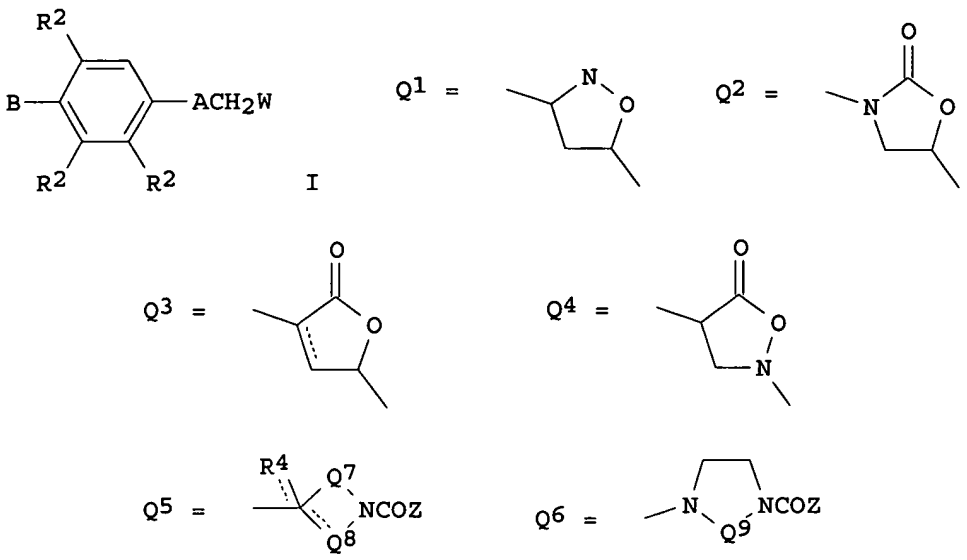


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:857593 HCAPLUS
DN 141:332221
TI Preparation of N-aryl-2-oxazolidinone-5-carboxamides as antibacterials.
IN Harris, Christina Renee
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004087697	A1	20041014	WO 2004-IB943	20040322
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004204463	A1	20041014	US 2004-795192	20040305
	CA 2520723	AA	20041014	CA 2004-2520723	20040322
	EP 1615917	A1	20060118	EP 2004-722352	20040322
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
	BR 2004009143	A	20060328	BR 2004-9143	20040322
PRAI	US 2003-459444P	P	20030401		
	WO 2004-IB943	W	20040322		

OS MARPAT 141:332221
GI



AB Title compds. [I; A = Q1-Q4; B = Q5, Q6; W = NHC(:X)R1, Het, YHet; X = O, S; Y = NH, O, S; Z = R5C.tplbond.C(CH2)rE; E = CH2, CO; R1 = H, NH2, (substituted) NHA, A, alkenyl, alkoxy, alkylthio, cycloalkyl(alkyl); A = alkyl; R2 = H, halo, alkyl; R4 = H, Me, F; R5 = H, (substituted) aryl, heteroaryl; m, n = 0-4; m+n = 2-5; p = 1-3; r = 0-6; Q7 = (CH2)n; Q8 = (CH2)m; Q9 = (CH2)p] were prepared Thus, 5-hexynoic acid was coupled to the corresponding piperazine derivative using diphenylphosphoryl azide and Hunig's base to give N-[[(5S)-3-[3-fluoro-4-(4-hex-5-ynoylpiperazin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide. The latter showed a min. inhibitory concentration of 1 μg/mL against SPNE 9912.

IC ICM C07D413-12
ICS C07D413-10; C07D263-20; A61K031-496; A61P031-04

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 34

IT **773127-81-4P** 773127-83-6P 773127-85-8P **773127-87-0P**
773127-88-1P 773127-89-2P 773127-91-6P
773127-92-7P 773127-93-8P 773127-94-9P
773127-96-1P 773127-97-2P 773127-98-3P **773127-99-4P**
773128-00-0P 773128-01-1P 773128-02-2P
773128-03-3P **773128-04-4P 773128-05-5P**
773128-07-7P 773128-08-8P 773128-09-9P
773129-09-2P 773894-58-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(claimed compound; preparation of aryloxazolidinonecarboxamides as antibacterials)

IT 773128-10-2P 773128-11-3P **773128-12-4P 773128-13-5P**
773128-14-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of aryloxazolidinonecarboxamides as antibacterials)

IT **773128-15-7P** 773128-16-8P 773128-17-9P 773128-18-0P
773128-19-1P 773128-20-4P 773128-21-5P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**

(Preparation); RACT (Reactant or reagent)
(preparation of aryloxazolidinonecarboxamides as antibacterials)

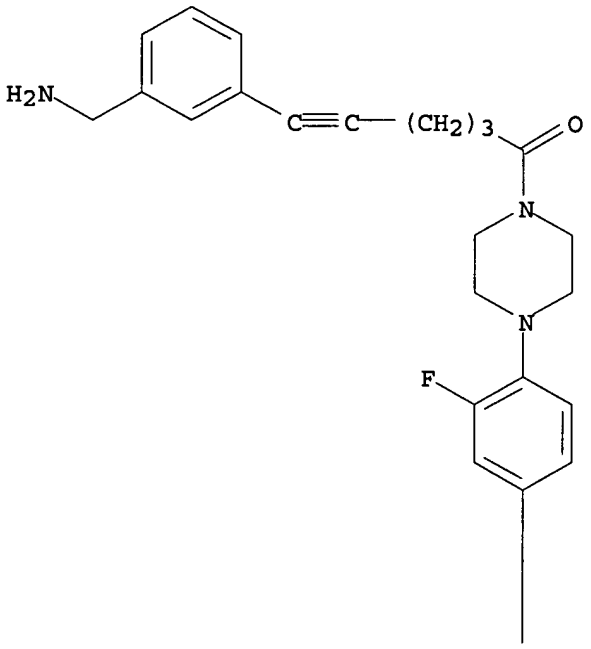
IT **773127-81-4P 773127-87-0P 773127-88-1P**
773127-89-2P 773127-91-6P 773127-92-7P
773127-93-8P 773127-94-9P 773127-96-1P
773127-99-4P 773128-00-0P 773128-01-1P
773128-02-2P 773128-04-4P 773128-05-5P
773128-07-7P 773128-08-8P 773128-09-9P
773129-09-2P 773894-58-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(claimed compound; preparation of aryloxazolidinonecarboxamides as antibacterials)

RN 773127-81-4 HCAPLUS

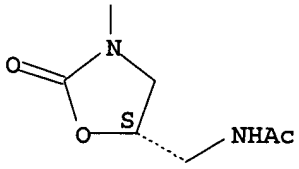
CN Acetamide, N-[[(5S)-3-[4-[4-[6-[3-(aminomethyl)phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

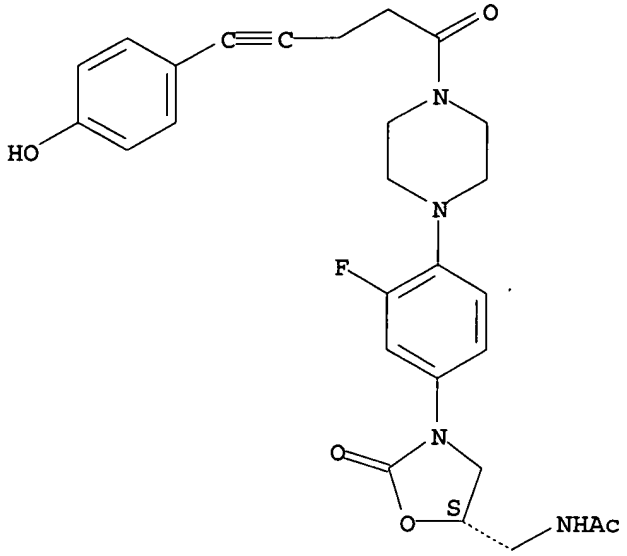


PAGE 2-A



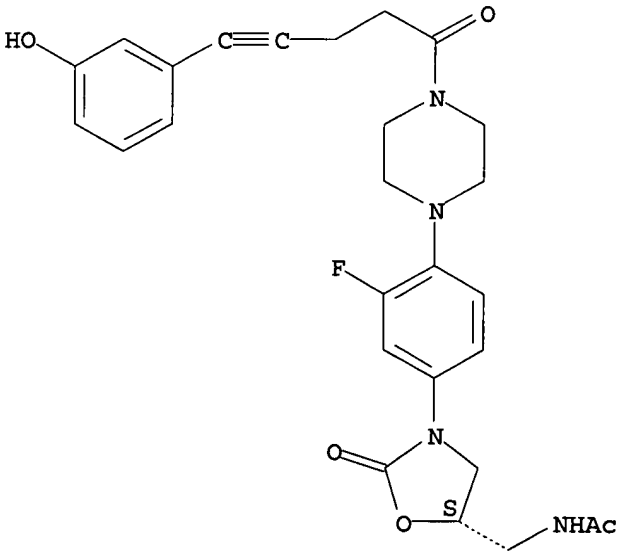
RN 773127-87-0 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[5-(4-hydroxyphenyl)-1-oxo-4-pentynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 773127-88-1 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[5-(3-hydroxyphenyl)-1-oxo-4-pentynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

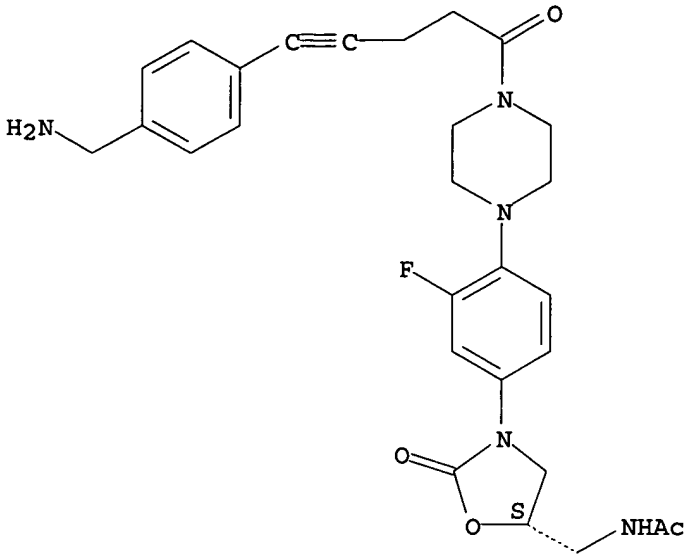
Absolute stereochemistry.



RN 773127-89-2 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[5-[4-(aminomethyl)phenyl]-1-oxo-4-pentynyl]-1-

piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

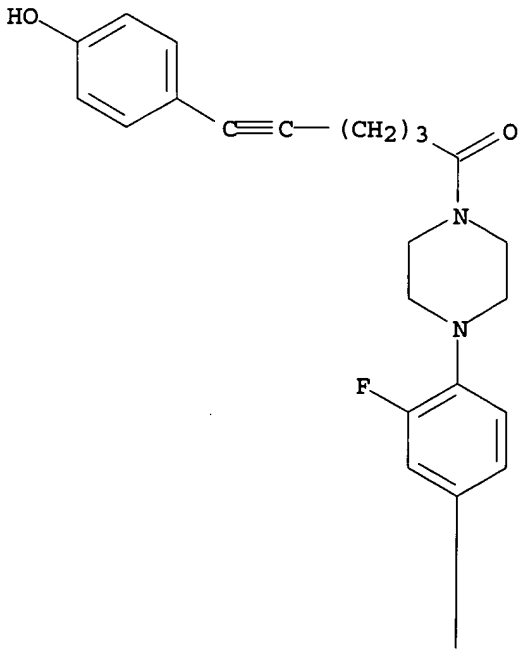
Absolute stereochemistry.



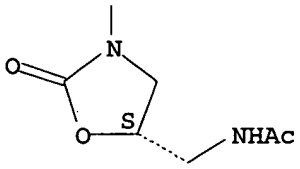
RN 773127-91-6 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[6-(4-hydroxyphenyl)-1-oxo-5-hexynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



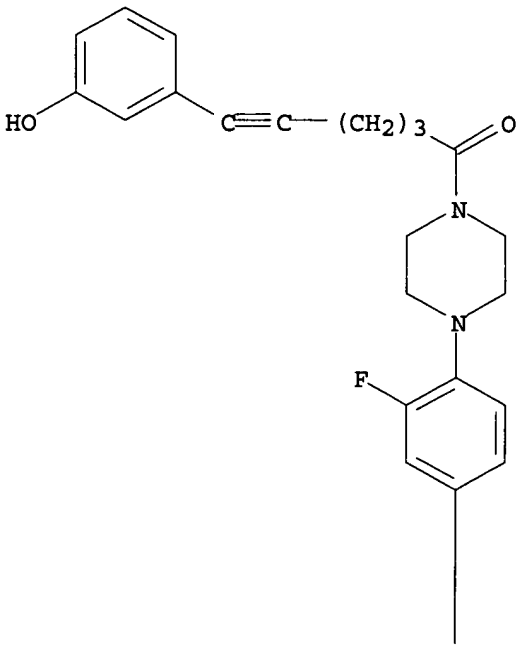
PAGE 2-A



RN 773127-92-7 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[6-(3-hydroxyphenyl)-1-oxo-5-hexynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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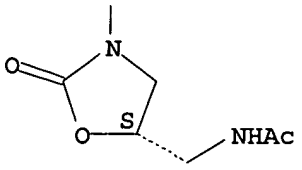
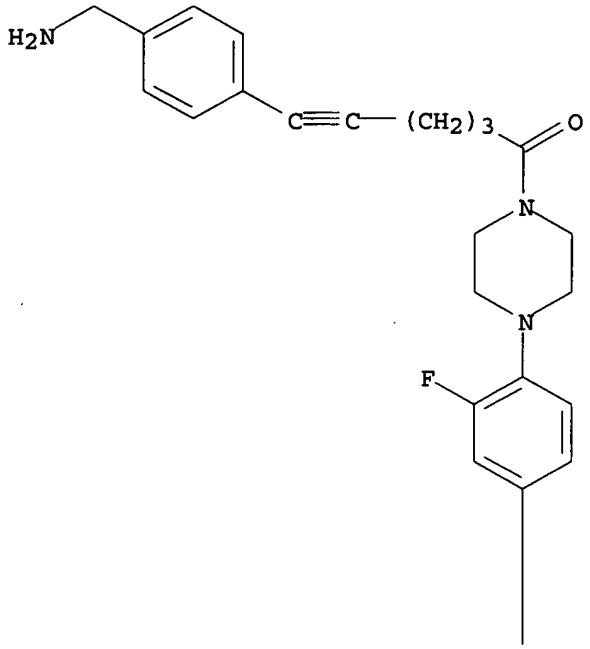


RN 773127-93-8 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[6-[4-(aminomethyl)phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA

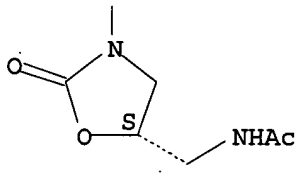
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



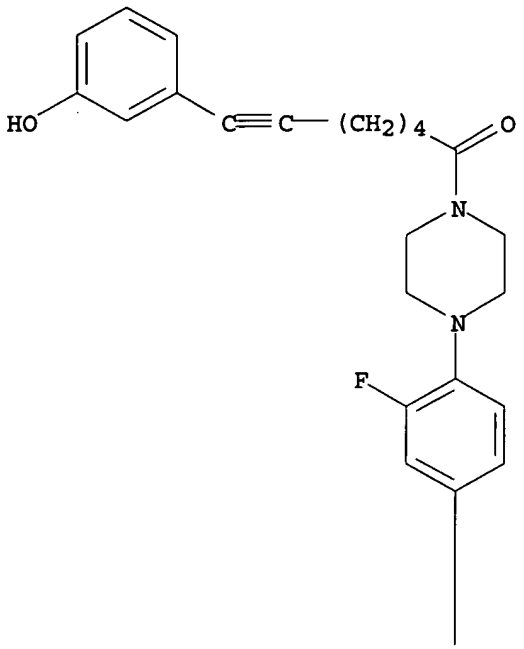
PAGE 2-A



RN 773127-94-9 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[7-(3-hydroxyphenyl)-1-oxo-6-heptynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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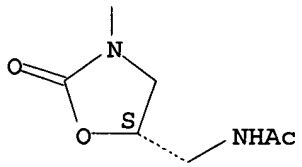
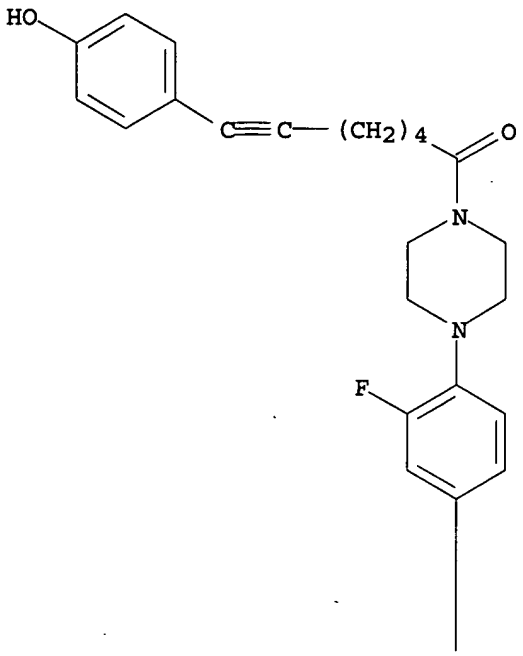


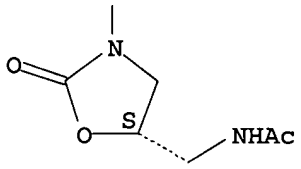
RN 773127-96-1 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[7-(4-hydroxyphenyl)-1-oxo-6-heptynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

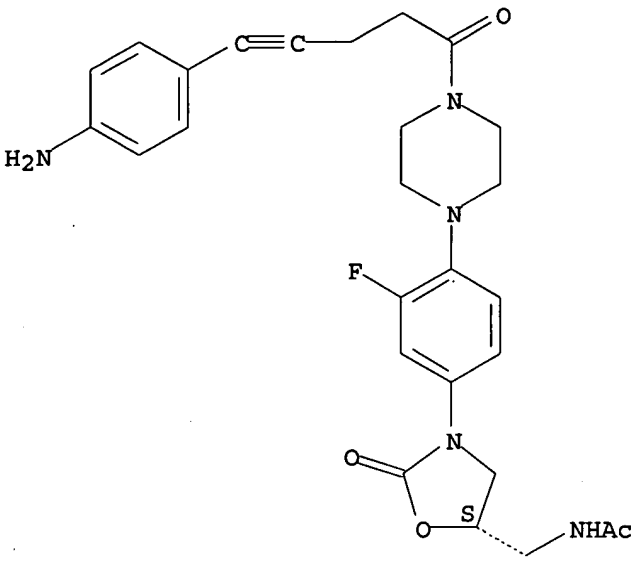


PAGE 2-A



RN 773127-99-4 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[5-(4-aminophenyl)-1-oxo-4-pentynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

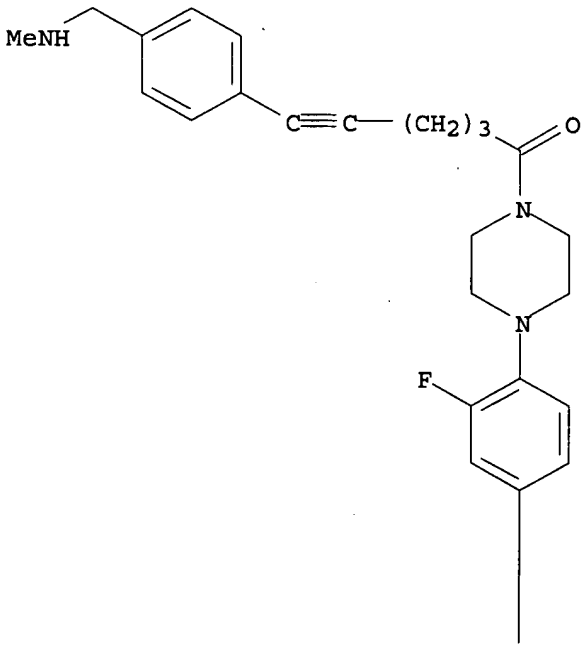
Absolute stereochemistry.



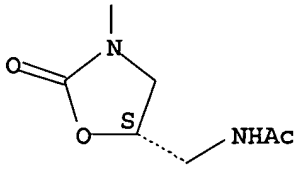
RN 773128-00-0 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[6-[4-[(methylamino)methyl]phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



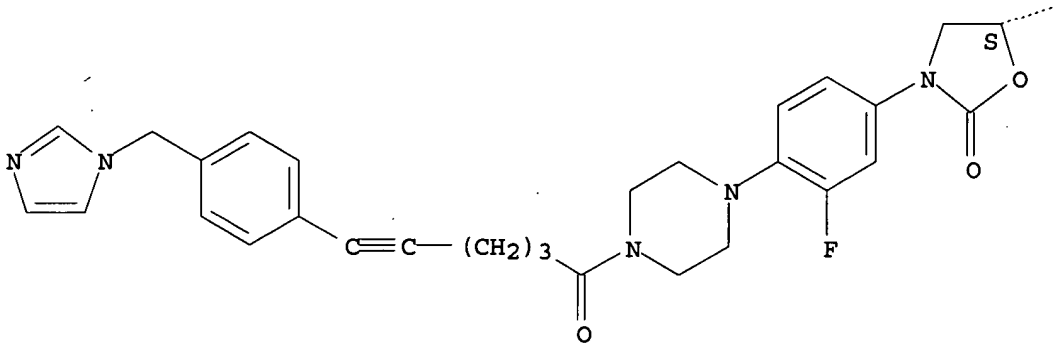
PAGE 2-A



RN 773128-01-1 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[6-[4-(1H-imidazol-1-ylmethyl)phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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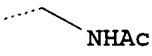
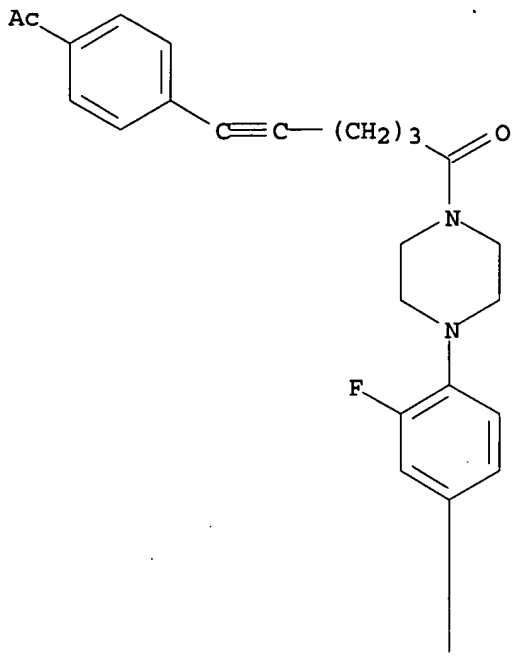


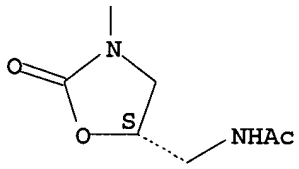
RN 773128-02-2 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[6-(4-acetylphenyl)-1-oxo-5-hexynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



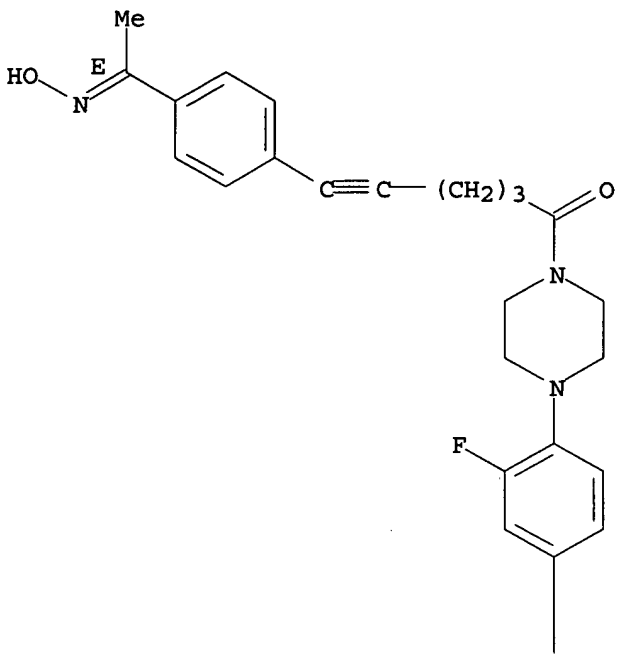
PAGE 2-A



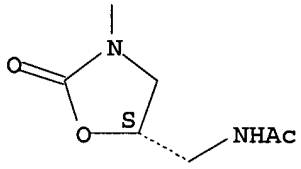
RN 773128-04-4 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[6-[4-[(1E)-1-(hydroxyimino)ethyl]phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



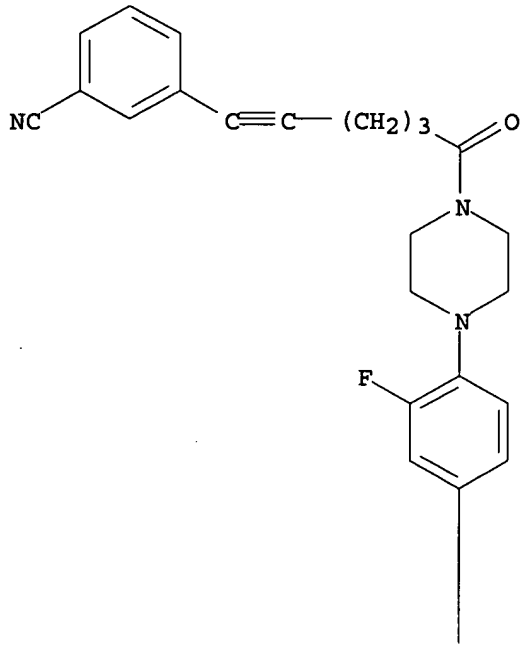
PAGE 2-A



RN 773128-05-5 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[6-(3-cyanophenyl)-1-oxo-5-hexynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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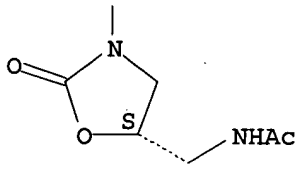


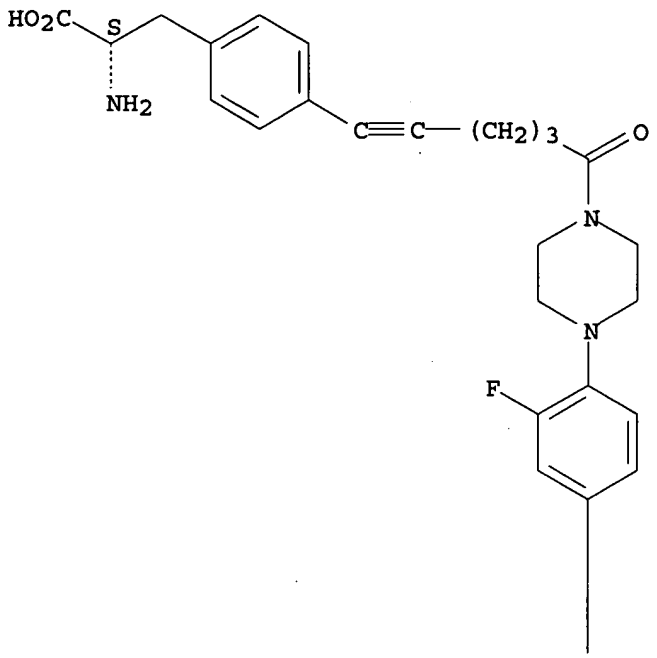
RN 773128-07-7 HCAPLUS
CN L-Phenylalanine, 4-[6-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-6-oxo-1-hexynyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773128-06-6
CMF C31 H36 F N5 O6

Absolute stereochemistry.

PAGE 1-A



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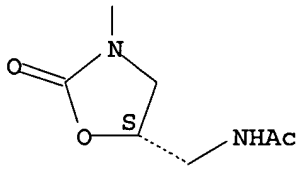

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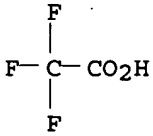

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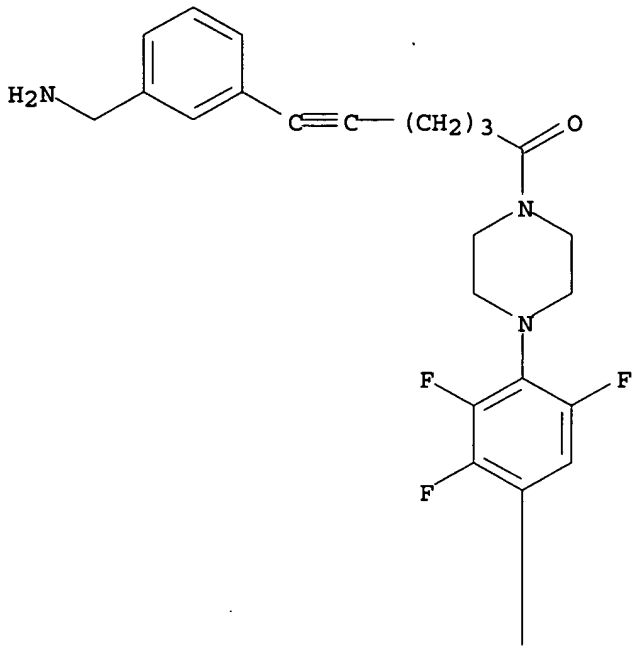
CN Acetamide, N-[[(5S)-3-[4-[4-[6-[3-(aminomethyl)phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]-2,3,5-trifluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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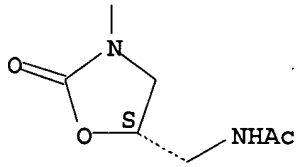

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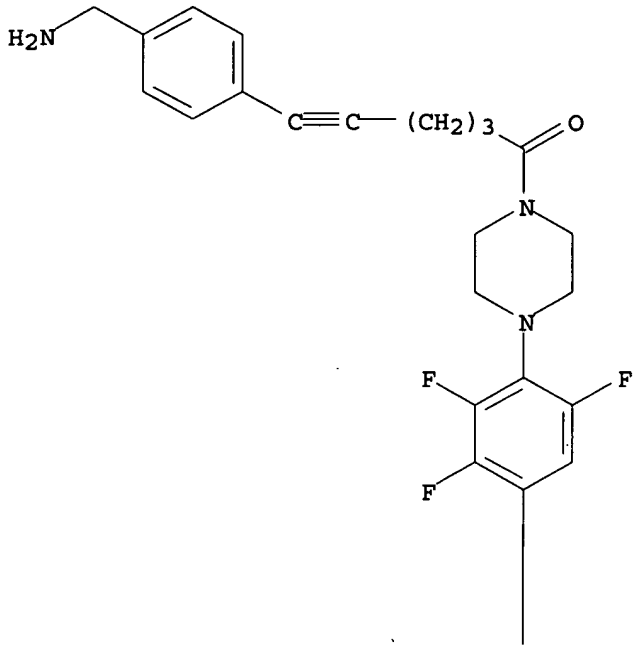
Absolute stereochemistry.

PAGE 1-A



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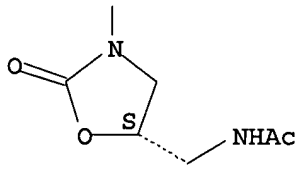
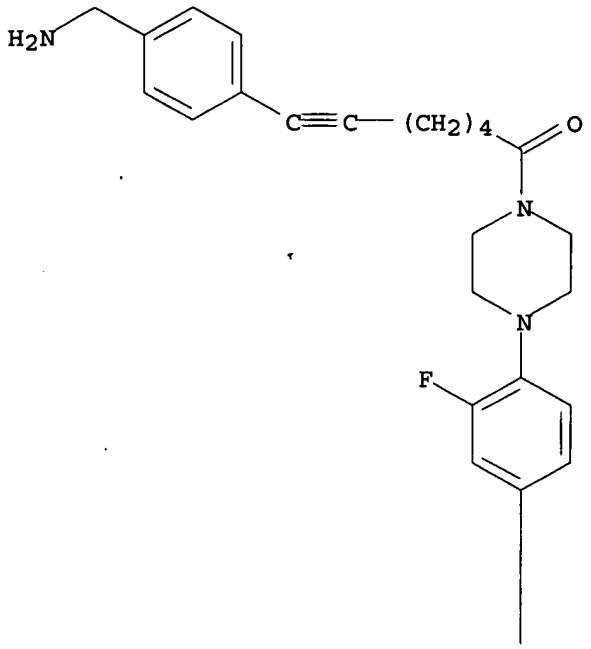


RN 773129-09-2 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[7-[4-(aminomethyl)phenyl]-1-oxo-6-heptynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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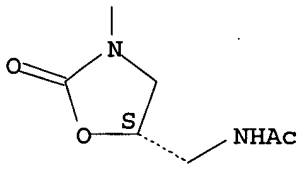
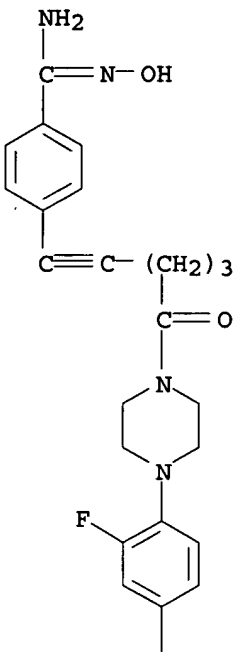


RN 773894-58-9 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[6-[4-[(Z)-amino(hydroxyimino)methyl]phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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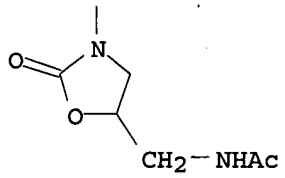
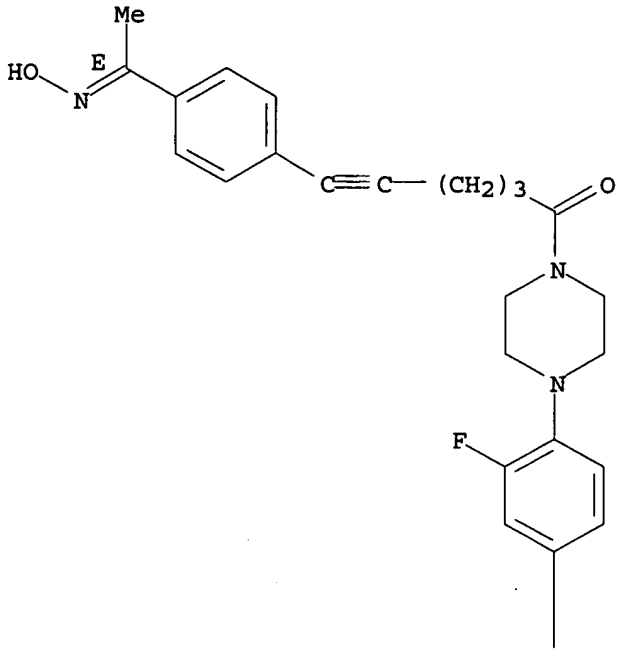


● HCl

IT **773128-12-4P 773128-13-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of aryloxazolidinonecarboxamides as antibacterials)
RN 773128-12-4 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[6-[4-[(1E)-1-(hydroxyimino)ethyl]phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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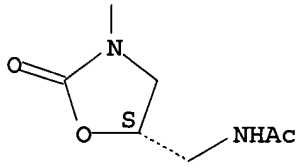
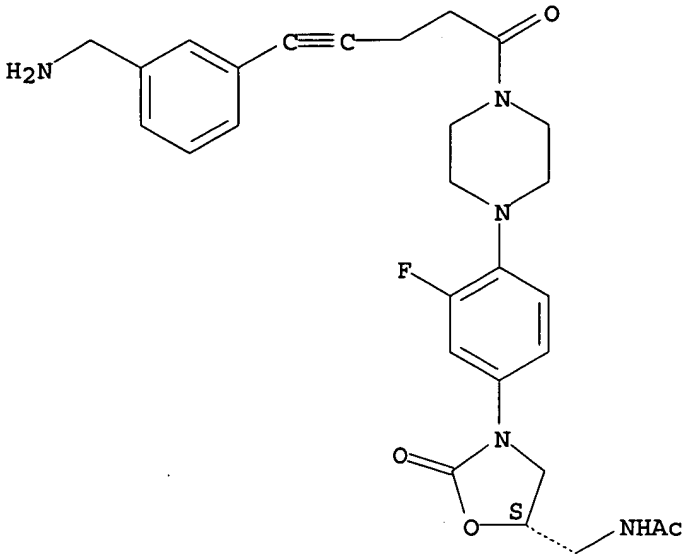


● HCl

RN 773128-13-5 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[5-[3-(aminomethyl)phenyl]-1-oxo-4-pentynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

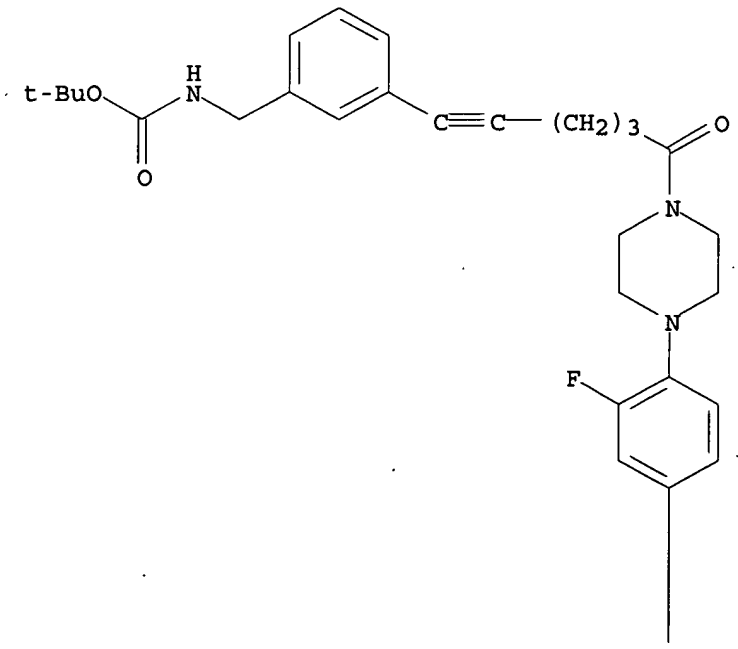
Absolute stereochemistry.



IT **773128-15-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of aryloxazolidinonecarboxamides as antibacterials)
RN 773128-15-7 HCAPLUS
CN Carbamic acid, [[3-[6-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-6-oxo-1-hexynyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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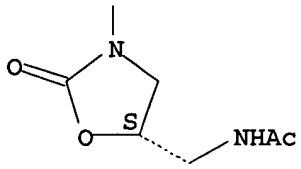

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 3 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:182853 HCAPLUS
DN 140:217664
TI Preparation of piperazinophenyl-substituted oxazolidinones as antibacterial agents
IN Agarwal, Shiv Kumar; Guha, Mrinal Kanti; Pandey, Surendrakumar Satyanarayan; Samuel, Matte Marianna
PA Orchid Chemicals & Pharmaceuticals Ltd, India
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004018439	A1	20040304	WO 2003-IB3459	20030821
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2513416	AA	20040304	CA 2003-2513416	20030821
	AU 2003253141	A1	20040311	AU 2003-253141	20030821
	EP 1578734	A1	20050928	EP 2003-792559	20030821
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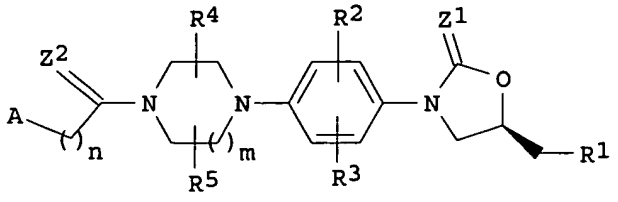
	US 2005070526	A1	20050331	US 2003-469648	20030903
PRAI	IN 2002-MA618	A	20020822		
	WO 2003-IB3459	W	20030821		

OS MARPAT 140:217664
GI



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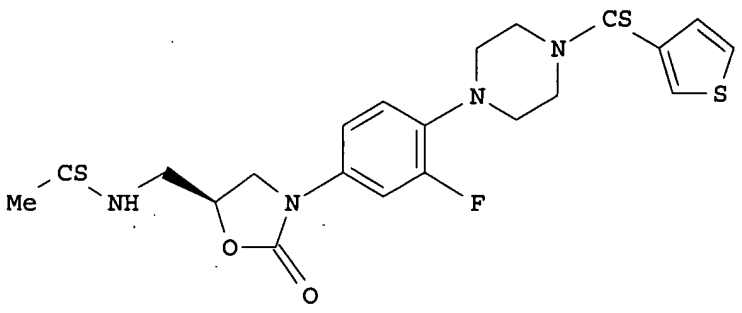


II

AB The present invention provides piperazinophenyl-substituted oxazolidinones (shown as I; variables defined below; all examples are oxazolidinones, e.g. II), their derivs., analogs, tautomeric forms, stereoisomers, polymorphs, hydrates, solvates, pharmaceutically acceptable salts and pharmaceutically acceptable compns. containing them, methods for their preparation, and their use against infections, particularly bacterial infections. Min. inhibitory concns. were obtained for 12 examples of I for Staphylococcus aureus, Enterococcus faecalis, Moraxella catarrhalis and Staphylococcus epidermidis. Characterization data and/or preparative details are given for 51 examples of I and 39 intermediates. For example, II was prepared in 81% yield from N-[[(S)-3-[3-fluoro-4-[4-(thiophen-3-ylcarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide using Lawesson's reagent; the reactant was prepared in 10 steps starting with substitution of 3,4-difluoronitrobenzene by piperazine (98%) and followed by N-protection with Boc, reduction to amine (93%), carbamate formation with benzyl chloroformate, cyclization with (R)-glycidyl butyrate to give [(R)-3-[3-fluoro-4-[4-(tert-butoxycarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methanol, conversion to mesylate, conversion to azide, reduction/acetylation, deprotection, and acylation with thiophene-3-carboxylic acid (54%). For I: Z1 and Z2 = O or S; R1 = halogen, azido, nitro, cyano, XR6 (X = O or S; R6 = H, formyl, (un)substituted (C1-C6)alkyl, cycloalkyl, aryl, aralkyl, acyl, thioacyl, heterocyclyl, heteroaryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl), N(R7aR7b) (R7a and R7b = H, formyl, (un)substituted (C1-C6)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl or an amino acid residue which is attached through acid moiety, or R7a and R7b together with N = mono or bicyclic (un)saturated ring system which may contain ≥1 O, S or N), or -NHC(:Y)R8 (Y = O or S; R8 is H, (un)substituted (C1-C6)alkyl, (C1-C6)alkoxy, aryl, (C3-C6)cycloalkyl, amino, monoalkylamino, dialkylamino, cycloalkylamino, arylamino, aroylamino, alkylcarbonylamino, arylcarbonylamino, heteroaryl, heterocyclyl, heteroaralkyl, heteroaroylamino) or R1 is NHS(O)p(C1-C4)alkyl, -NHS(O)p(C1-C4)aryl or -NHS(O)p(C1-C4)heteroaryl (p = 0-2). R2 and R3 = H, halogen, hydroxy, alkyl, alkoxy; R4 and R5 = H, cyano, nitro, amino, halogen, hydroxy, (un)substituted (C1-C6)alkyl, haloalkyl, (C1-C6) alkoxy, (C1-C6)alkylthio, (C3-C6)cycloalkyl or either of R4 or R5 = oxo or thioxo; n = 0-2; when Z2 = S, A = NHR9 or

(un)substituted cycloalkyl, aryl, 5-7 membered heteroaryl, heterocyclyl (attached through C atom), heteroarylalkenyl, heterocyclylalkenyl; wherein R9 = H or (un)substituted alkyl, aryl, alkoxy, alkenyl, cycloalkyl, heteroaryl or heterocyclyl; when Z2 = O, A = NHR9, where R9 = Ph substituted by nitro; (un)substituted alkoxy, alkenyl, cycloalkyl, heteroaryl or heterocyclyl group. M = 0-2; n = 0-4, with a proviso that when n is 0, R9 does not = H or alkyl.

IC ICM C07D263-22
ICS C07D413-12; A61K031-44; A61P031-04

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10, 63

IT 154590-33-7P, 3-Fluoro-4-(piperazin-1-yl)nitrobenzene 154590-34-8P, 3-Fluoro-4-[4-(tert-butoxycarbonyl)piperazin-1-yl]nitrobenzene 154590-35-9P, 3-Fluoro-4-[4-(tert-butoxycarbonyl)piperazin-1-yl]aniline 154590-36-0P, Benzyl [3-fluoro-4-[4-(tert-butoxycarbonyl)piperazin-1-yl]phenyl]carbamate 154590-62-2P, [(R)-3-[3-Fluoro-4-[4-(tert-butoxycarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methanol 154590-63-3P, [(R)-3-[3-Fluoro-4-(4-tert-butoxycarbonylpiperazin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl mesylate 154590-64-4P, N-[[(R)-3-[3-Fluoro-4-(4-tert-butoxycarbonylpiperazin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl] azide 154590-65-5P, N-[[(S)-3-[3-Fluoro-4-(4-tert-butoxycarbonylpiperazin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 154590-66-6P, N-[[(S)-3-[3-Fluoro-4-(piperazin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 250158-68-0P, N-[[(S)-3-[3-Fluoro-4-[4-[(3-methylisoxazol-5-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 250158-79-3P, N-[[(S)-3-[3-Fluoro-4-[4-[(thien-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 392659-28-8P, N-[[(S)-3-[3-Fluoro-4-[4-[(5-nitrofuran-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide **392659-36-8P**, N-[[(S)-3-[3-Fluoro-4-[4-[(thien-2-yl)acetyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-43-8P, N-[[(S)-3-[3-Fluoro-4-[4-(thiophen-3-ylcarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-44-9P, N-[[(S)-3-[3-Fluoro-4-[4-[(5-methylthien-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-45-0P, N-[[(S)-3-[3-Fluoro-4-[4-[(5-chlorothien-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-46-1P, N-[[(S)-3-[3-Fluoro-4-[4-[(3-methylthien-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-47-2P, N-[[(S)-3-[3-Fluoro-4-[4-[(2-chloropyridin-3-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-48-3P, N-[[(S)-3-[3-Fluoro-4-[4-[(3-chlorothien-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-49-4P, N-[[(S)-3-[3-Fluoro-4-[4-[(5-bromothien-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-50-7P, N-[[(S)-3-[3-Fluoro-4-[4-[(pyrazin-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-51-8P, N-[[(S)-3-[3-Fluoro-4-[4-[(6-chloropyridin-3-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-52-9P, N-[[(S)-3-[3-Fluoro-4-[4-[(5-methylisoxazol-3-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-53-0P, N-[[(S)-3-[3-Fluoro-4-[4-[(5-methylpyrazin-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-54-1P, N-[[(S)-3-[3-Fluoro-4-[4-[(imidazol-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-55-2P, N-[[(S)-3-[3-Fluoro-4-[4-[(quinolin-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-56-3P, N-[[(S)-3-[3-Fluoro-4-[4-[(quinolin-3-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-57-4P, N-[[(S)-3-[3-Fluoro-4-[4-(cyclopropylcarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-58-5P,

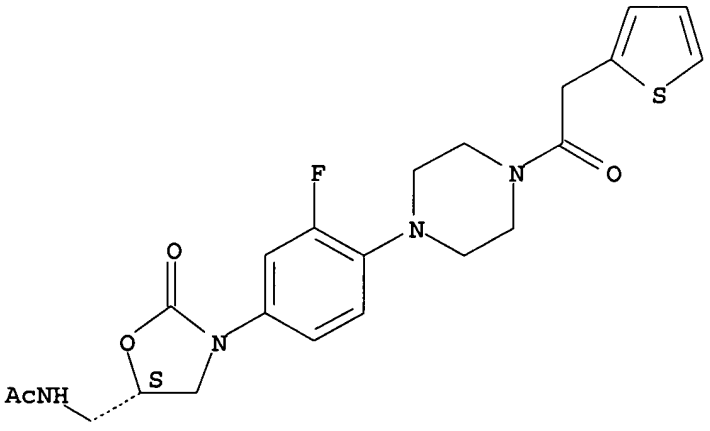
N-[[(S)-3-[3-Fluoro-4-[4-(benzoyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-59-6P, N-[[(S)-3-[3-Fluoro-4-[4-(cyclobutylcarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-60-9P, N-[[(S)-3-[3-Fluoro-4-[4-(cyclopentylcarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-61-0P, N-[[(S)-3-[3-Fluoro-4-[4-[[(S)-N-tert-butoxycarbonylpyrrolidin-2-yl]carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-62-1P, N-[[(S)-3-[3-Fluoro-4-[4-[[[(tert-butoxy)carbonyl]amino]acetyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-63-2P, N-[[(S)-3-[3-Fluoro-4-[4-[(N-tert-butoxycarbonylpyrrolidin-2-yl)thiocarbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]thioacetamide 665011-64-3P, N-[[(S)-3-[3-Fluoro-4-[4-[[(tert-butoxycarbonyl)amino]thioacetyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]thioacetamide 665011-65-4P, N-[[(R)-3-[3-Fluoro-4-[4-[(5-nitrofuran-2-yl)thiocarbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl] azide 665011-66-5P, N-[[(R)-3-[3-Fluoro-4-[4-[(5-nitrofuran-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl] azide 665011-69-8P, N-[[(S)-3-[3-Fluoro-4-[4-[[N-(tert-butoxycarbonyl)amino]thioacetyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 665011-70-1P, N-[[(R)-3-[3-Fluoro-4-[4-[[N-(tert-butoxycarbonyl)amino]acetyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl] azide 665011-71-2P, N-[[(R)-3-[3-Fluoro-4-[4-[[N-(tert-butoxycarbonyl)amino]thioacetyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl] azide
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of piperazinophenyl-substituted oxazolidinones as antibacterial agents)

IT **392659-36-8P**, N-[[(S)-3-[3-Fluoro-4-[4-[(thien-2-yl)acetyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of piperazinophenyl-substituted oxazolidinones as antibacterial agents)

RN 392659-36-8 HCAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(2-thienylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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AN 2004:20492 HCAPLUS
DN 140:94033
TI Preparation of glycoloyl-substituted oxazolidinone difluorothioacetamide derivatives as antibacterial agents
IN Hester, Jackson B., Jr.; Adams, Wade J.; Stevens, Jeffrey C.; Scott, Carole; Gordeev, Mikhail F.; Singh, Upinder
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004002479	A1	20040108	WO 2003-US16218	20030616
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2490193	AA	20040108	CA 2003-2490193	20030616
	AU 2003241582	A1	20040119	AU 2003-241582	20030616
	US 2004072842	A1	20040415	US 2003-462332	20030616
	EP 1519722	A1	20050406	EP 2003-731329	20030616
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005535637	T2	20051124	JP 2004-517570	20030616
PRAI	US 2002-392716P	P	20020628		
	WO 2003-US16218	W	20030616		

OS MARPAT 140:94033
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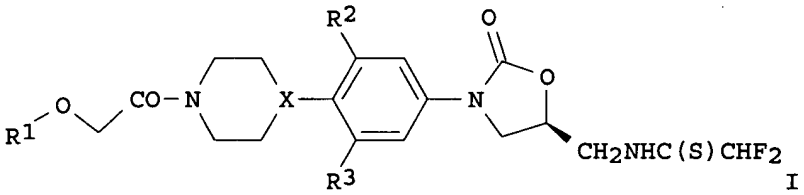

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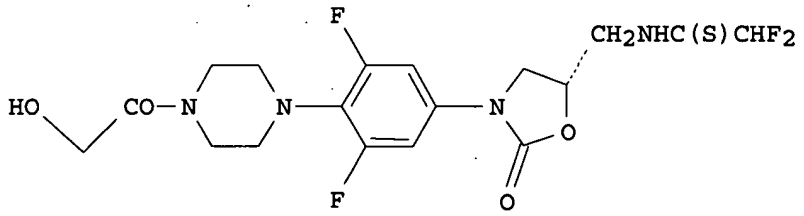


AB The present invention describes difluorothioacetamide oxazolidinones, many with a glycoloylpiperazine substituent, (shown as I; X is N or CH; R2 and

R3 = H or F; R1 is H, -CH2phenyl, or -C(O)C1-4alkyl; e.g. II) as novel antibacterial agents (no data), and antimicrobial combination therapies for combating infective diseases caused by gram-pos. and gram-neg. bacteria. Although the methods of preparation are not claimed, 9 example prepns. are included. For example, II was prepared in 5 steps starting from difluoroacetic acid and 3,3-diphenyl-1-propanol and involving intermediates O-(3,3-diphenylpropyl) difluoroethanethioate, tert-Bu 4-[4-[(5S)-5-[[(2,2-difluoroethanethioyl)amino]methyl]-2-oxo-1,3-oxazolidin-3-yl]-2,6-difluorophenyl]piperazine-1-carboxylate, N-[[(5S)-3-[3,5-difluoro-4-(piperazin-1-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]-2,2-difluoroethanethioamide trifluoroacetate and 2-[4-[4-[(5S)-5-[[(2,2-difluoroethanethioyl)amino]methyl]-2-oxo-1,3-oxazolidin-3-yl]-2,6-difluorophenyl]piperazin-1-yl]-2-oxoethyl acetate.

IC ICM A61K031-42
ICS C07D263-20; A61P031-00

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 640772-81-2P, 2,2-Difluoro-N-[[(5S)-3-[3,5-difluoro-4-(4-glycoloylpiperazin-1-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]ethanethioamide 640772-90-3P, 2,2-Difluoro-N-[[(5S)-3-[4-(4-glycoloylpiperazin-1-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]ethanethioamide **640772-92-5P**, N-[[(5S)-3-[4-[4-[(Benzyloxy)acetyl]piperazin-1-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]-2,2-difluoroethanethioamide 640772-94-7P, (5S)-5-[[(2,2-Difluoro-1-sulfinylethyl)amino]methyl]-3-[3-fluoro-4-(4-glycoloylpiperazin-1-yl)phenyl]-1,3-oxazolidin-2-one 640772-96-9P, Pyridinium 2-[4-[4-[(5S)-5-[[(2,2-Difluoroethanethioyl)amino]methyl]-2-oxo-1,3-oxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl sulfate 640772-97-0P, 2,2-Difluoro-N-[[(5S)-3-[3-fluoro-4-(1-glycoloylpiperidin-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]ethanethioamide 640773-04-2P, 2,2-Difluoro-N-[[(5S)-3-[4-(1-glycoloylpiperidin-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]ethanethioamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(drug candidate; preparation of glycoloyl-substituted oxazolidinone difluorothioacetamide derivs. as antibacterial agents)

IT 570390-86-2P, O-(3,3-Diphenylpropyl) difluoroethanethioate 640772-82-3P, tert-Butyl 4-[4-[(5S)-5-[[(2,2-difluoroethanethioyl)amino]methyl]-2-oxo-1,3-oxazolidin-3-yl]-2,6-difluorophenyl]piperazine-1-carboxylate 640772-84-5P, N-[[(5S)-3-[3,5-Difluoro-4-(piperazin-1-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]-2,2-difluoroethanethioamide mono(trifluoroacetate) 640772-85-6P, 2-[4-[4-[(5S)-5-[[(2,2-Difluoroethanethioyl)amino]methyl]-2-oxo-1,3-oxazolidin-3-yl]-2,6-difluorophenyl]piperazin-1-yl]-2-oxoethyl acetate 640772-87-8P, Benzyl 4-[4-[(5S)-5-[[(difluoroacetyl)amino]methyl]-2-oxo-1,3-oxazolidin-3-yl]-2-fluorophenyl]piperazine-1-carboxylate 640772-88-9P, 2,2-Difluoro-N-[[(5S)-3-[3-fluoro-4-(piperazin-1-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 640772-89-0P, 2,2-Difluoro-N-[[(5S)-3-[3-fluoro-4-(piperazin-1-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]ethanethioamide 640772-98-1P, (5S)-5-[[(Benzylidene)amino]methyl]-3-[3-fluoro-4-(piperidin-4-yl)phenyl]-1,3-oxazolidin-2-one 640772-99-2P, (5S)-5-[[(Benzylidene)amino]methyl]-3-[4-[1-[(benzyloxy)acetyl]piperidin-4-yl]-3-fluorophenyl]-1,3-oxazolidin-2-one 640773-00-8P, (5S)-5-(Aminomethyl)-3-[4-[1-[(benzyloxy)acetyl]piperidin-4-yl]-3-fluorophenyl]-1,3-oxazolidin-2-one **640773-01-9P**, tert-Butyl [[(5S)-3-[4-[1-[(benzyloxy)acetyl]piperidin-4-yl]-3-fluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]carbamate 640773-02-0P, tert-Butyl [[(5S)-3-[3-fluoro-4-(1-glycoloylpiperidin-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]carbamate 640773-03-1P, (5S)-5-(Aminomethyl)-3-[3-fluoro-4-(1-glycoloylpiperidin-4-

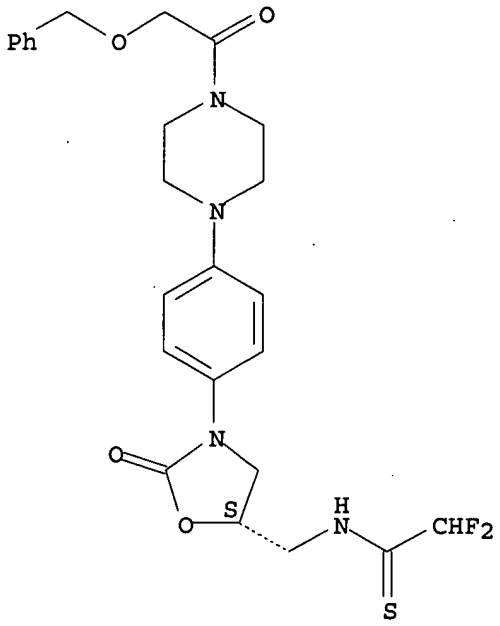
yl)phenyl]-1,3-oxazolidin-2-one hydrochloride 640773-06-4P, (5S)-5-(Aminomethyl)-3-[3-fluoro-4-(piperidin-4-yl)phenyl]-1,3-oxazolidin-2-one
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of glycoloyl-substituted oxazolidinone difluorothioacetamide derivs. as antibacterial agents)

IT **640772-92-5P**, N-[[(5S)-3-[4-[4-[(Benzyloxy)acetyl]piperazin-1-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]-2,2-difluoroethanethioamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(drug candidate; preparation of glycoloyl-substituted oxazolidinone difluorothioacetamide derivs. as antibacterial agents)

RN 640772-92-5 HCAPLUS

CN Ethanethioamide, 2,2-difluoro-N-[[(5S)-2-oxo-3-[4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

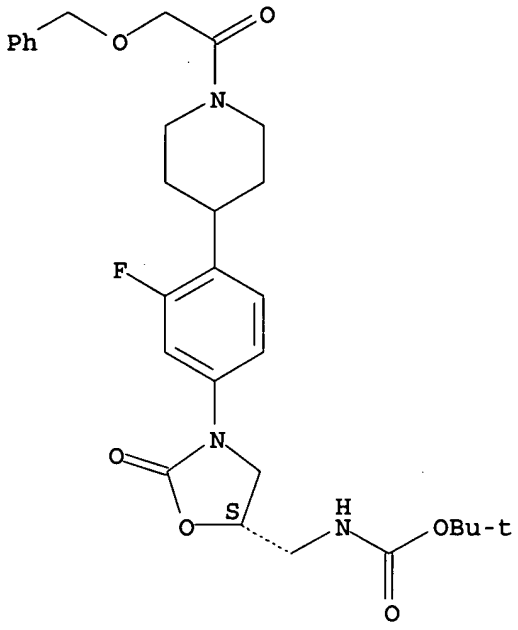


IT **640773-01-9P**, tert-Butyl [[(5S)-3-[4-[1-[(benzyloxy)acetyl]piperidin-4-yl]-3-fluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of glycoloyl-substituted oxazolidinone difluorothioacetamide derivs. as antibacterial agents)

RN 640773-01-9 HCAPLUS

CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[1-[(phenylmethoxy)acetyl]-4-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 5 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:892759 HCAPLUS
DN 139:381743
TI Preparation of oxazolidinone amino acid derivatives as antibacterial agents
IN Agarwal, Shiv Kumar; Pandey, Surendrakumar Satyanarayan
PA Orchid Chemicals & Pharmaceuticals Ltd., India
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093247	A2	20031113	WO 2003-IB1571	20030425
	WO 2003093247	A3	20031224		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003224345	A1	20031117	AU 2003-224345	20030425
PRAI	IN 2002-MA329	A	20020430		
	WO 2003-IB1571	W	20030425		

OS MARPAT 139:381743
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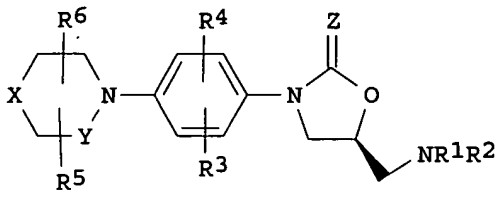


I

AB The invention provides novel oxazolidinone derivs. of I [X is O, S, SO, SO2, or NR7, where R7 is H, OH, alkyl, alkanoyl, etc.; Y is (CH2)0-2; Z is O or S; R1 is H, alkyl, aryl, or cycloalkyl; R2 is an amino acid residue; R3, R4 are H or halo; R5, R6 are H, cyano, nitro, amino, oxo, thioxo, hydroxy, alkyl, alkoxy, alkylthio, or cycloalkyl] and their derivs., analogs, tautomeric forms, stereoisomers, polymorphs, and pharmaceutically-acceptable salts as new antibacterial agents. Thus, (S)-N-[[3-(3-fluoro-4-morpholinophenyl)-2-oxooxazolidin-5-yl]methyl]-2-aminopropionamide hydrochloride was prepared via acylation of the 5-(aminomethyl)-2-oxazolidinone derivative and showed MIC > 8 μg/mL against S. Aureus or E. Faecalis.

IC ICM C07D263-00

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 10

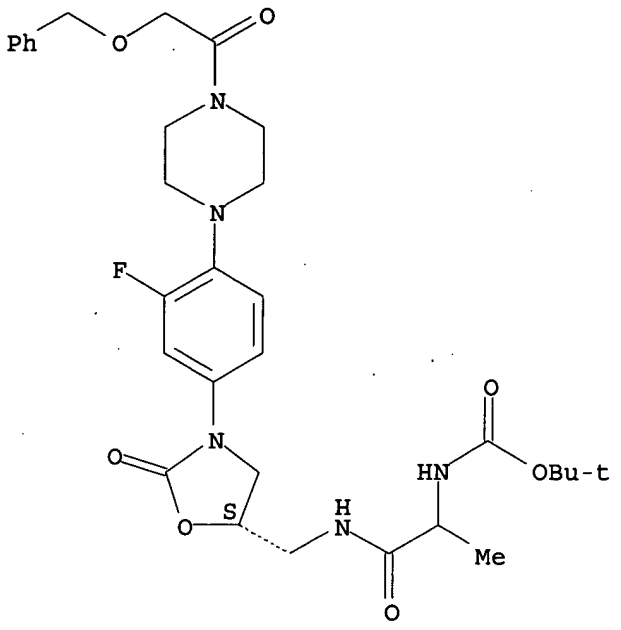
IT 221201-21-4P 221201-25-8P 221201-56-5P 623169-81-3P 623169-83-5P
623169-84-6P 623169-86-8P 623169-88-0P 623169-89-1P 623169-90-4P
623169-91-5P 623169-92-6P 623169-93-7P **623169-94-8P**
623169-95-9P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of oxazolidinone amino acid derivs. as antibacterial agents)

IT **623169-94-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of oxazolidinone amino acid derivs. as antibacterial agents)

RN 623169-94-8 HCAPLUS

CN Carbamic acid, [2-[[[(5S)-3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]amino]-1-methyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L37 ANSWER 6 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:796700 HCAPLUS
DN 139:307798
TI Preparation of 3-(4-piperazinophenyl) substituted oxazolidinones as novel antiinfective compounds and pharmaceutical compositions containing them
IN Lohray, Braj Bhushan; Lohray, Vidya Bhushan; Srivastava, Brijesh Kumar
PA Cadila Healthcare Limited, India
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082864	A2	20031009	WO 2003-IN81	20030326
	WO 2003082864	A3	20031113		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2478502	AA	20031009	CA 2003-2478502	20030326
	AU 2003231920	A1	20031013	AU 2003-231920	20030326
	EP 1495021	A2	20050112	EP 2003-745394	20030326
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003008837	A	20050201	BR 2003-8837	20030326
PRAI	IN 2002-MU310	A	20020401		
	WO 2003-IN81	W	20030326		

OS MARPAT 139:307798
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Ar = (un)substituted Ph, 5-6 membered heteroaryl; R1, R2 = H, halo, alkyl, etc.; Y = II-IV (wherein R3, R4 = H, alkyl, halo, etc.; X = O, S, NR5; R5 = H, alkyl, aryl; A = (un)substituted (un)saturated single or fused ring optionally containing one or more heteroatoms selected from N, S, O; Z = H, alkyl, CN, etc.); W = OH, N3, NH2, NCS, etc.], useful for treating bacterial infections, psoriasis, arthritis, were prepared Thus, amidation of (S)-N-({3-[3-fluoro-4-(N-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl}methyl)acetamide with 3-(2-thienyl)acrylic acid afforded 53% (S)-V. The compds. I inhibited the growth of bacteria such as Staphylococcus aureus, Staphylococcus epidermidis and Enterococcus faecalis with MIC's in a range of about 0.25 μg/mL to about 64 μg/mL. Pharmaceutical composition comprising the compound I is claimed.

IC ICM C07D413-12
ICS C07D263-20; A61K031-422; A61K031-497; A61P031-04; A61P017-06; A61P031-00

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10, 63

IT 612054-71-4P 612054-72-5P 612054-73-6P 612054-74-7P 612054-75-8P
612054-76-9P 612054-77-0P 612054-78-1P 612054-79-2P 612054-80-5P
612054-81-6P 612054-82-7P 612054-83-8P 612054-84-9P 612054-85-0P
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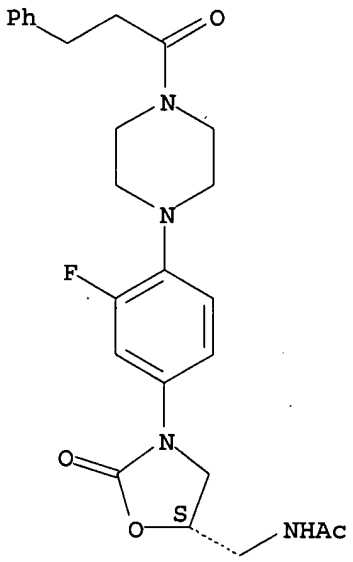
612056-83-4P 612056-84-5P 612056-85-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of 3-(4-piperazinophenyl) substituted oxazolidinones as novel antiinfective compds. and pharmaceutical compns. containing them)

IT **612056-28-7P 612056-29-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of 3-(4-piperazinophenyl) substituted oxazolidinones as novel antiinfective compds. and pharmaceutical compns. containing them)

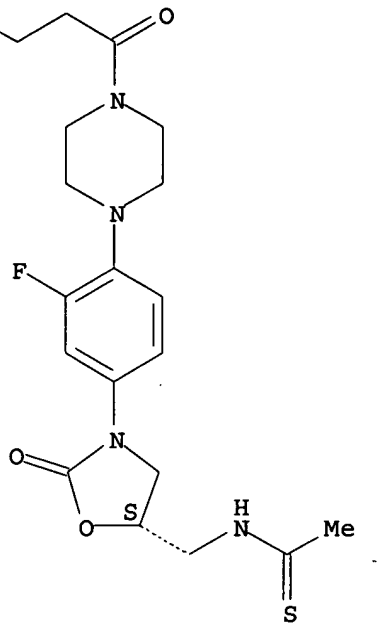
RN 612056-28-7 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(1-oxo-3-phenylpropyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 612056-29-8 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxoethyl)amino]methyl]-3-oxazolidinyl]phenyl]-4-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)

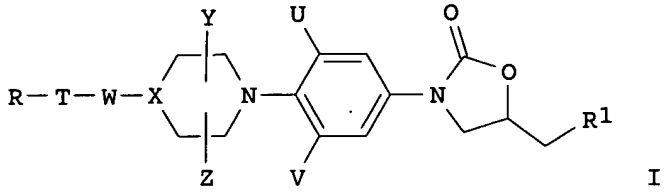
Absolute stereochemistry.



L37 ANSWER 7 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:492705 HCAPLUS
DN 139:69253
TI Preparation of phenyl oxazolidinone derivatives as potential antimicrobials
IN Mehta, Anita; Arora, Sudershan K.; Das, Biswajit; Ray, Abhijit; Rudra, Sonali; Rattan, Ashok
PA Ranbaxy Laboratories Limited, India
SO U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 906,215. CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003119817	A1	20030626	US 2002-51784	20020117
	US 6956040	B2	20051018		
	US 2002103186	A1	20020801	US 2001-906215	20010716
	US 6734307	B2	20040511		
PRAI	US 2001-906215	A2	20010716		
	IN 2000-DE654	A	20000717		

OS CASREACT 139:69253; MARPAT 139:69253
GI



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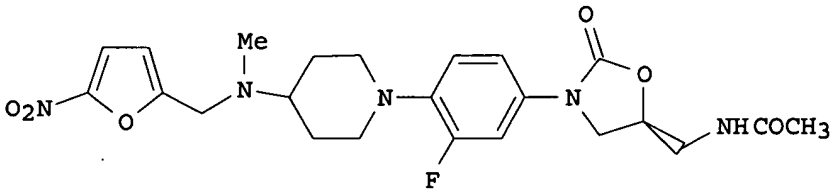


II

AB Substituted Ph oxazolidinones, e.g. of formula I [T = heterocyclic ring, aryl; R = alkyl, halo, CN, CHO, NH2, NO2, etc.; X = CH, CH-S, CH-O, N; Y, Z = H, alkyl, cycloalkyl, bridging group; U, V = alkyl, F, CL, Br, etc.; W = CH2, CO, CH2NH, etc.; R1 = NHCHR2, NR2CSR2; R2 = H, alkyl, cycloalkyl, alkoxy, etc.], are prepared This invention also relates to pharmaceutical compns. containing the compds. of the present invention as antimicrobials. The compds. are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-pos. aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacterioides spp. and Clostridia spp. species, and acid fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp. Thus, II was prepared and showed antibacterial activity against several strains.

IC ICM C07D413-14
ICS A61K031-55; A61K031-496

INCL 514217050; 514253100; 514254020; 540598000; 544060000; 544360000; 544369000

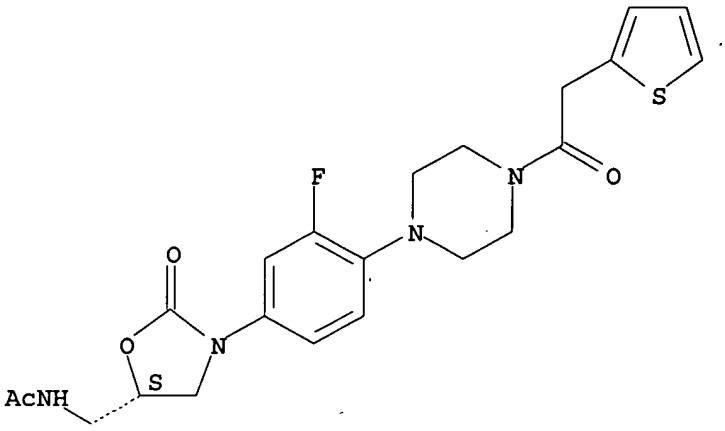
CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 392659-23-3P 392659-24-4P 392659-25-5P 392659-26-6P 392659-27-7P
392659-28-8P 392659-29-9P 392659-30-2P 392659-31-3P 392659-32-4P
392659-33-5P 392659-34-6P **392659-36-8P** 392659-37-9P
392659-41-5P 392659-42-6P 392659-43-7P 392659-44-8P 392659-45-9P
392659-46-0P 392659-47-1P 392659-48-2P 392659-49-3P 392659-50-6P
392659-51-7P 392659-52-8P 392659-55-1P 392659-56-2P 392659-57-3P
392659-58-4P 392659-59-5P 392659-60-8P 392659-61-9P 392659-62-0P
392659-63-1P 392659-64-2P 392659-65-3P 392659-66-4P 392659-67-5P
392659-68-6P 392659-69-7P 392659-70-0P 392659-71-1P 392659-73-3P
392659-74-4P 392659-75-5P 392659-76-6P 392659-77-7P 392659-80-2P
392659-81-3P 392659-86-8P 392659-87-9P 392659-88-0P 392659-90-4P
392659-92-6P 392659-93-7P 392659-94-8P 392659-95-9P 392660-87-6P
548762-60-3P 548762-62-5P 548762-68-1P 548762-69-2P 548762-70-5P
548762-71-6P 548762-72-7P 548762-73-8P 548762-74-9P
548762-75-0P 548762-76-1P 548762-78-3P 548762-79-4P 548762-80-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of Ph oxazolidinone derivs. as antibacterial agents)

IT **392659-36-8P 548762-71-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(preparation of Ph oxazolidinone derivs. as antibacterial agents)
RN 392659-36-8 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(2-thienylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

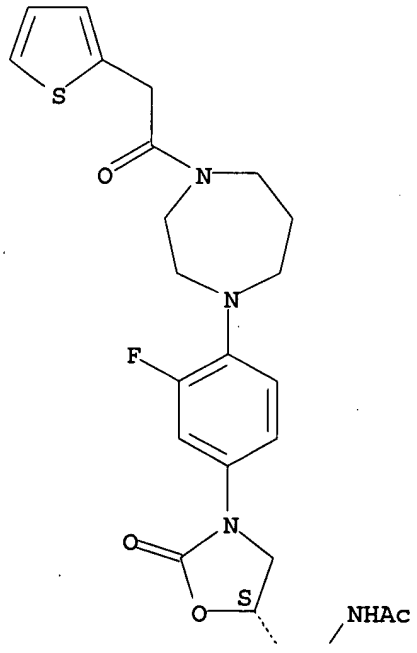
Absolute stereochemistry.



RN 548762-71-6 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[hexahydro-4-(2-thienylacetyl)-1H-1,4-diazepin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

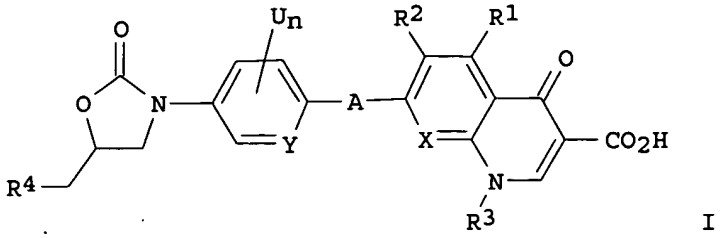


PAGE 2-A

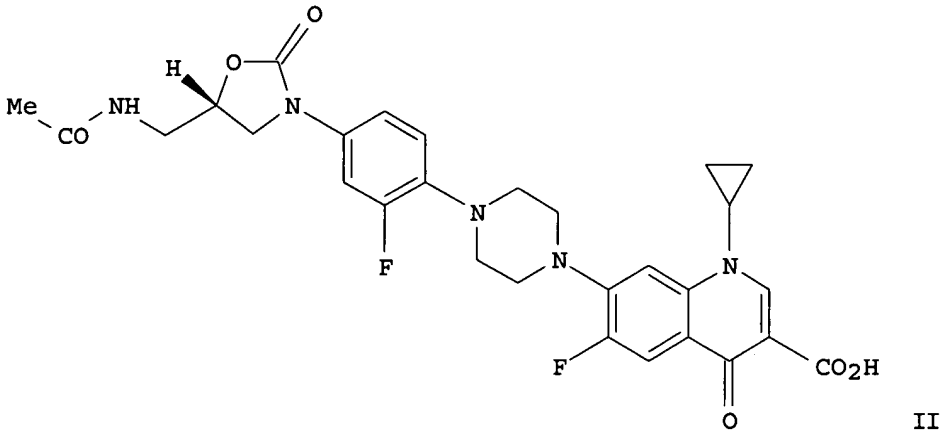
L37 ANSWER 8 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:319692 HCAPLUS
DN 138:338143
TI Preparation of dual action bactericides comprising a oxazolidinone and a quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria
IN Hubschwerlen, Christian; Specklin, Jean-Luc
PA Morphochem Aktiengesellschaft fuer Kombinatorische Chemie, Germany
SO PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003032962	A2	20030424	WO 2002-EP11163	20021004
	WO 2003032962	A3	20030717		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2460572	AA	20030424	CA 2002-2460572	20021004
	EP 1432705	A2	20040630	EP 2002-796533	20021004
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002013063	A	20040928	BR 2002-13063	20021004
	US 2005096343	A1	20050505	US 2003-491519	20021004
	CN 1630655	A	20050622	CN 2002-819724	20021004
	JP 2005529061	T2	20050929	JP 2003-535766	20021004
	NZ 531879	A	20051028	NZ 2002-531879	20021004
	ZA 2004001909	A	20050309	ZA 2004-1909	20040309
PRAI	US 2001-327162P	P	20011004		
	WO 2002-EP11163	W	20021004		

OS MARPAT 138:338143
GI



I



II

AB The present invention relates to compds. of the Formula (I) that are useful antimicrobial agents and effective against a variety of multi-drug resistant bacteria. The present invention relates to oxazolidinones having a quinolone or naphthyridinone moiety (shown as I; variables defined below; e.g. 7-[4-[4-[(5S)-5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (shown as II)) that are useful antibacterial agents and effective against a variety of multi-drug resistant bacteria. For I: A is a bond, NH, O, S, SO, SO2, SO2NH, PO4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, alkylene, alkenylene, alkynylene, heteroalkylene, arylene, heteroarylene, cycloalkylene, heterocycloalkylene, alkylarylene or heteroarylalkylene or a combination of two or more of these atoms or groups. X is CR5 or N; Y is CR6 or N; U is F or Cl; n = 0-3; R1 is H, F, Cl, Br, I, OH, NH2, alkyl or heteroalkyl; R2 is H, F or Cl; R3 is H, alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R4 is heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R5 is H, F, Cl, OH, NH2, alkyl or heteroalkyl, or R3 and R5 can be linked via an alkylene, an alkenylene or heteroalkylene or be a part of a cycloalkylene or heterocycloalkylene group, in which case R3 is not H and R5 is not H, F, OH, NH2 or Cl; R6 is H, F, Cl or OMe. Although the methods of preparation are not claimed, 30 example prepns. are included; the examples of this patent and many of the claims are the same as those of WO 03/031443 A1. All examples were tested against several gram pos. and gram neg. bacteria; typical MIC ranges (mg/L) are: S. aureus (MRSA: 0.125-2; MSSA: 0.06-1), E. faecalis (≤0.03-1), E. faecium (≤0.03-1), and S. pneumoniae (≤0.03-1). They all have a broader and more pronounced activity than the corresponding quinolone and oxazolidinone as well as a 1+1 combination of these two compds.

IC ICM A61K031-00

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 444335-12-0P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484639-31-8P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510728-57-1P, 9-[4-[4-[5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-azaphenalene-5-carboxylic acid 510728-58-2P, 7-[(3R,S)-3-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenylcarbamoyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-61-7P, 7-[(3R)-3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-2-carboxylic acid 510728-69-5P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-6-fluoro-1-(5-fluoropyridin-2-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-73-1P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-75-3P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-8-methoxy-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-77-5P, 9-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3,3a-diazaphenalene-5-carboxylic acid 510728-79-7P, 7-[(3RS)-3-[[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl](ethyl)amino]methyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-89-9P 510728-93-5P, 7-[4-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510729-00-7P, 7-[(3R*,4R*)-3-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]-4-aminomethylpyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-carboxylic acid **510729-10-9P**, 7-[4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510729-13-2P, 7-[3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]azetidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-22-3P, 7-[(3R)-3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-24-5P, 7-[(3S*,4R*)-3-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazine-1-carbonyl]-4-aminomethylpyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510729-35-8P, 7-[(3S*,4R*)-3-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazine-1-carbonyl]-4-aminomethylpyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-38-1P, 7-[4-[5-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]pyridin-2-yl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-51-8P, 7-[4-[5-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]pyridin-2-yl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510729-52-9P, 7-[(3R)-3-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-61-0P, 1-Cyclopropyl-6-

fluoro-7-[4-[2-fluoro-4-[(5R)-5-[(methanesulfonylamino)methyl]-2-oxooxazolidin-3-yl]phenyl]piperazin-1-yl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-64-3P, 7-[4-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-73-4P, 1-Cyclopropyl-6-fluoro-7-[4-[2-fluoro-4-[(5S)-5-[[[(methoxy)thiocarbonyl]amino]methyl]-2-oxooxazolidin-3-yl]phenyl]piperazin-1-yl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-74-5P, 1-Cyclopropyl-6-fluoro-7-[4-[2-fluoro-4-[(5S)-5-[[[(methylsulfanyl)thiocarbonyl]amino]methyl]-2-oxooxazolidin-3-yl]phenyl]piperazin-1-yl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-77-8P, 1-Cyclopropyl-6-fluoro-2-[4-[2-fluoro-4-((5S)-2-oxo-5-thioureidomethyloxazolidin-3-yl)phenyl]piperazin-1-yl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-80-3P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenoxy]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-81-4P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenoxy]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510729-82-5P, 7-[4-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]sulfanyl]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510729-84-7P, 7-[4-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]sulfanyl]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

IT 98105-93-2P, 7-Chloro-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 98105-94-3P, 7-Chloro-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid ethyl ester 510728-59-3P, 2-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenylcarbamoyl]piperazine-1,4-dicarboxylic acid di-tert-butyl ester 510728-60-6P, 2-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenylcarbamoyl]piperazine 510728-62-8P, (3R)-3-[(2-Fluoro-4-nitrophenyl)amino]pyrrolidine-1-carboxylic acid allyl ester 510728-63-9P, (3R)-3-[(2-Fluoro-4-nitrophenyl)amino]pyrrolidine-1-carboxylic acid tert-butyl ester 510728-64-0P, (3R)-3-[Benzyloxycarbonyl(4-benzyloxycarbonylamino-2-fluorophenyl)amino]pyrrolidine-1-carboxylic acid tert-butyl ester 510728-65-1P, (3R)-3-[Benzyloxycarbonyl[2-fluoro-4-((5R)-5-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]amino]pyrrolidine-1-carboxylic acid tert-butyl ester 510728-66-2P, (3R)-3-[[4-((5R)-5-Azidomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]benzyloxycarbonylamino]pyrrolidine-1-carboxylic acid tert-butyl ester 510728-67-3P, (3R)-3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]pyrrolidine-1-carboxylic acid tert-butyl ester 510728-68-4P, N-[[(5S)-3-[3-Fluoro-4-((3R)-pyrrolidin-3-ylamino)phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510728-70-8P, 7-Chloro-6-fluoro-1-(5-fluoropyridin-2-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid ethyl ester 510728-71-9P, 7-Chloro-6-fluoro-1-(5-fluoropyridin-2-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-72-0P, 7-Chloro-6-fluoro-1-(5-fluoropyridin-2-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylatoboron diacetate 510728-74-2P, 7-Chloro-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylatoboron diacetate 510728-76-4P, 1-Cyclopropyl-7-fluoro-8-methoxy-4-oxo-1,4-dihydroquinoline-3-carboxylatoboron diacetate

510728-78-6P, 9-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3,3a-diazaphenalene-5-carboxylic acid ethyl ester 510728-80-0P, [(1,4-Dibenzylpiperazin-2-yl)methylene](ethyl)amine 510728-81-1P, (1,4-Dibenzylpiperazin-2-ylmethyl)(ethyl)amine 510728-82-2P, [(1,4-Dibenzylpiperazin-2-yl)methyl]ethyl(2-fluoro-4-nitrophenyl)amine 510728-83-3P, [4-[(1,4-Dibenzylpiperazin-2-ylmethyl)(ethyl)amino]-3-fluorophenyl]carbamic acid benzyl ester 510728-84-4P, (5R)-3-[4-[(1,4-Dibenzylpiperazin-2-ylmethyl)(ethyl)amino]-3-fluorophenyl]-5-hydroxymethyloxazolidin-2-one 510728-85-5P, Methanesulfonic acid [(5R)-3-[4-[(1,4-Dibenzylpiperazin-2-ylmethyl)(ethyl)amino]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl ester 510728-86-6P, (5R)-5-Azidomethyl-3-[4-[(1,4-Dibenzylpiperazin-2-ylmethyl)(ethyl)amino]-3-fluorophenyl]oxazolidin-2-one 510728-87-7P, N-[[(5S)-3-[4-[(1,4-Dibenzylpiperazin-2-ylmethyl)(ethyl)amino]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510728-88-8P, N-[[(5S)-3-[4-[(Piperazin-2-ylmethyl)(ethyl)amino]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510728-90-2P, 4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]ethyl]piperazine-1-carboxylic acid tert-butyl ester 510728-91-3P, N-[[(5S)-3-[3-Fluoro-4-[4-(2-(piperazin-1-yl)ethyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510728-92-4P, 6,7-Difluoro-1-cyclopropyl-4-oxo-1,4-dihydroquinoline-3-carboxylatoborondiacetat 510728-94-6P, 1-(1-Benzylpiperidin-4-yl)-4-(2-fluoro-4-nitrophenyl)piperazine 510728-95-7P, 4-[4-(4-Benzyloxycarbonylamino-2-fluorophenyl)piperazin-1-yl]piperidine-1-carboxylic acid benzyl ester 510728-96-8P, 4-[4-[2-Fluoro-4-((5R)-5-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]piperazin-1-yl]piperidin-1-carboxylic acid benzyl ester 510728-97-9P, 4-[4-[4-((5R)-5-Azidomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]piperazin-1-yl]piperidin-1-carboxylic acid benzyl ester 510728-98-0P, 4-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]piperidin-1-carboxylic acid benzyl ester 510728-99-1P, N-[[(5S)-3-[3-Fluoro-4-(4-(piperidin-4-yl)piperazin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510729-01-8P, (4-Bromo-3-fluorophenyl)carbamic acid benzyl ester 510729-02-9P, 3-(4-Benzyloxycarbonylamino-2-fluorophenyl)acrylic acid ethyl ester 510729-03-0P, (3R*,4S*)-1-Benzyl-4-(4-benzyloxycarbonylamino-2-fluorophenyl)pyrrolidine-3-carboxylic acid ethyl ester 510729-04-1P, [4-[(3S*,4R*)-1-Benzyl-4-hydroxymethylpyrrolidin-3-yl]-3-fluorophenyl]carbamic acid benzyl ester 510729-05-2P, [4-[(3S*,4R*)-4-Azidomethyl-1-benzylpyrrolidin-3-yl]-3-fluorophenyl]carbamic acid benzyl ester 510729-06-3P, [4-[(3S*,4R*)-1-Benzyl-4-[(tert-butoxycarbonylamino)methyl]pyrrolidin-3-yl]-3-fluorophenyl]carbamic acid benzyl ester 510729-07-4P, [[(3S*,4R*)-1-Benzyl-4-[2-fluoro-4-((5R)-5-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]pyrrolidin-3-yl]methyl]carbamic acid tert-butyl ester 510729-08-5P, [[(3S*,4R*)-4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]-1-benzylpyrrolidin-3-yl]methyl]carbamic acid tert-butyl ester 510729-09-6P, [[(3S*,4R*)-4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]pyrrolidin-3-yl]methyl]carbamic acid tert-butyl ester **510729-11-0P**, 4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl]piperazine-1-carboxylic acid tert-butyl ester **510729-12-1P**, N-[[(5S)-3-[3-Fluoro-4-[4-(2-(piperazin-1-yl)acetyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510729-14-3P, (1-Benzhydrylazetidin-3-yl)(2-fluoro-4-nitrophenyl)amine 510729-15-4P, 3-[Benzyloxycarbonyl[4-(benzyloxycarbonylamino)-2-fluorophenyl]amino]azetidine-1-carboxylic acid benzyl ester 510729-16-5P, 3-[Benzyloxycarbonyl[2-fluoro-4-((5R)-5-hydroxymethyl-2-

oxooxazolidin-3-yl)phenyl]amino]azetidine-1-carboxylic acid benzyl ester 510729-17-6P, 3-[[4-((5R)-5-Azidomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]benzyloxycarbonylamino]azetidine-1-carboxylic acid benzyl ester 510729-18-7P, 3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]benzyloxycarbonylamino]azetidine-1-carboxylic acid benzyl ester 510729-20-1P, N-[[(5S)-3-[4-(Azetidin-3-ylamino)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510729-25-6P, (3S*,4S*)-1-Benzyl-4-[(tert-butoxycarbonylamino)methyl]pyrrolidine-3-carboxylic acid ethyl ester 510729-29-0P, (3S*,4S*)-1-Benzyl-4-[(tert-butoxycarbonylamino)methyl]pyrrolidine-3-carboxylic acid 510729-31-4P, [[(3S*,4S*)-4-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazine-1-carbonyl]-1-benzylpyrrolidin-3-yl]methyl]carbamic acid tert-butyl ester 510729-33-6P, [[(3S*,4S*)-4-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazine-1-carbonyl]pyrrolidin-3-yl]methyl]carbamic acid tert-butyl ester 510729-40-5P, 4-(5-(Benzyloxycarbonylamino)pyridin-2-yl)piperazine-1-carboxylic acid tert-butyl ester 510729-43-8P, 4-[5-((5R)-5-Hydroxymethyl-2-oxooxazolidin-3-yl)pyridin-2-yl]piperazine-1-carboxylic acid tert-butyl ester 510729-45-0P, 4-[5-((5R)-5-Azidomethyl-2-oxooxazolidin-3-yl)pyridin-2-yl]piperazine-1-carboxylic acid tert-butyl ester 510729-47-2P, 4-[5-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]pyridin-2-yl]piperazine-1-carboxylic acid tert-butyl ester 510729-49-4P, N-[[(5S)-2-Oxo-3-(6-(piperazin-1-yl)pyridin-3-yl)oxazolidin-5-yl]methyl]acetamide 510729-53-0P, (3R)-3-[4-(2-Fluoro-4-nitrophenyl)piperazin-1-yl]pyrrolidine-1-carboxylic acid allyl ester 510729-54-1P, (3R)-3-[4-(2-Fluoro-4-nitrophenyl)piperazin-1-yl]pyrrolidine-1-carboxylic acid tert-butyl ester 510729-55-2P, (3R)-3-[4-[2-Fluoro-4-((5R)-5-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]piperazin-1-yl]pyrrolidine-1-carboxylic acid tert-butyl ester 510729-56-3P, (3R)-3-[4-(4-Benzyloxycarbonylamino-2-fluorophenyl)piperazin-1-yl]pyrrolidine-1-carboxylic acid tert-butyl ester 510729-57-4P, (3R)-3-[4-[4-((5R)-5-Azidomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]piperazin-1-yl]pyrrolidine-1-carboxylic acid tert-butyl ester 510729-58-5P, (3R)-3-[4-[4-((5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl)-2-fluorophenyl]piperazin-1-yl]pyrrolidine-1-carboxylic acid tert-butyl ester 510729-60-9P, N-[[(5S)-3-[3-Fluoro-4-[4-((3R)-pyrrolidin-3-yl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510729-62-1P, 4-[2-Fluoro-4-[(5R)-5-[(methanesulfonylamino)methyl]-2-oxooxazolidin-3-yl]phenyl]piperazin-1-carboxylic acid tert-butyl ester 510729-63-2P, N-[[(5R)-3-(3-Fluoro-4-(piperazin-1-yl)phenyl)-2-oxooxazolidin-5-yl]methyl]methanesulfonamide 510729-65-4P, (1-Benzylpiperidin-4-yl)(2-fluoro-4-nitrophenyl)amine 510729-66-5P, 2-Fluoro-N'-(piperidin-4-yl)benzene-1,4-diamine 510729-67-6P, 4-[(4-Benzyloxycarbonylamino-2-fluorophenyl)amino]piperidine-1-carboxylic acid benzyl ester 510729-68-7P, 4-[[2-Fluoro-4-((5R)-5-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]amino]piperidine-1-carboxylic acid benzyl ester 510729-69-8P, 4-[[4-((5R)-5-Azidomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]amino]piperidine-1-carboxylic acid benzyl ester 510729-70-1P, 4-[[4-((5S)-5-Aminomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]amino]piperidine-1-carboxylic acid benzyl ester 510729-71-2P, 4-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]piperidine-1-carboxylic acid benzyl ester 510729-72-3P, N-[[(5S)-3-[3-Fluoro-4-(piperidin-4-ylamino)phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510729-75-6P, 4-[2-Fluoro-4-[(5S)-5-[[[(methylsulfanyl)thiocarbonyl]amino]methyl]-2-oxooxazolidin-3-yl]phenyl]piperazine-1-carboxylic acid tert-butyl ester 510729-76-7P, [[(5S)-3-(3-Fluoro-4-(piperazin-1-yl)phenyl)-2-oxooxazolidin-5-yl]methyl]dithiocarbamic acid methyl ester 510729-78-9P, 4-[2-Fluoro-4-((5S)-2-oxo-5-thioureidomethyloxazolidin-3-yl)phenyl]piperazine-1-carboxylic acid tert-butyl ester 510729-79-0P,

[[(5S)-3-(3-Fluoro-4-(piperazin-1-yl)phenyl)-2-oxooxazolidin-5-yl]methyl]thiourea 510729-83-6P, (S)-N-[[3-[3-Fluoro-4-(4-piperidinylsulfanyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

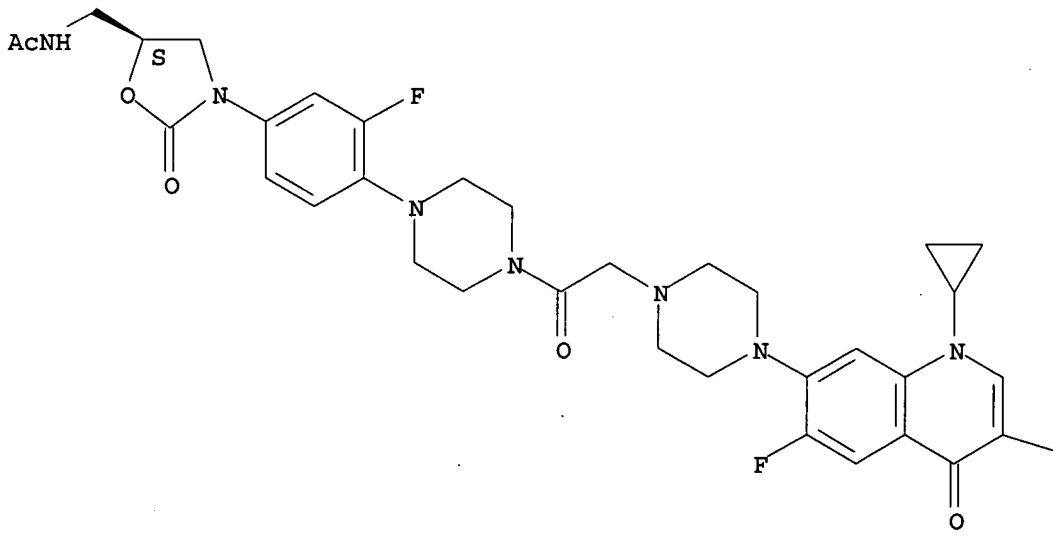
IT **510729-10-9P**, 7-[4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-10-9 HCAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



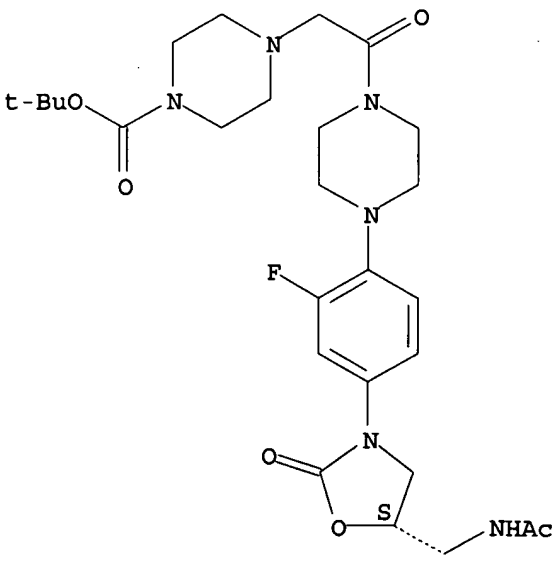
CO_2H

IT **510729-11-0P**, 4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl]piperazine-1-carboxylic acid tert-butyl ester **510729-12-1P**, N-[[(5S)-3-[3-Fluoro-4-[4-(2-(piperazin-1-yl)acetyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-11-0 HCAPLUS

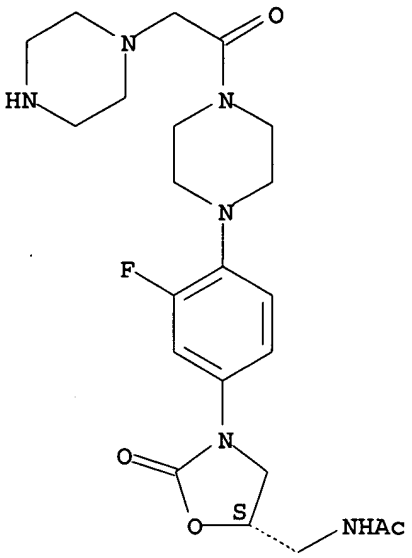
CN 1-Piperazinecarboxylic acid, 4-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 510729-12-1 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(1-piperazinylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L37 ANSWER 9 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:301084 HCAPLUS
DN 138:304289
TI Preparation of dual action bactericides comprising a oxazolidinone and a quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria
IN Hubschwerlen, Christian; Specklin, Jean-Luc
PA Morphochem Aktiengesellschaft fuer Kombinatorische Chemie, Germany
SO PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003031443	A1	20030417	WO 2002-EP10766	20020925
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	CN 1630655	A	20050622	CN 2002-819724	20021004
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OS MARPAT 138:304289

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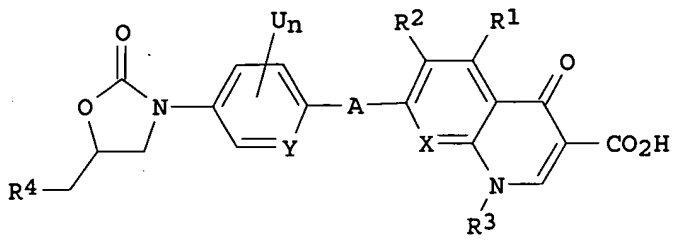

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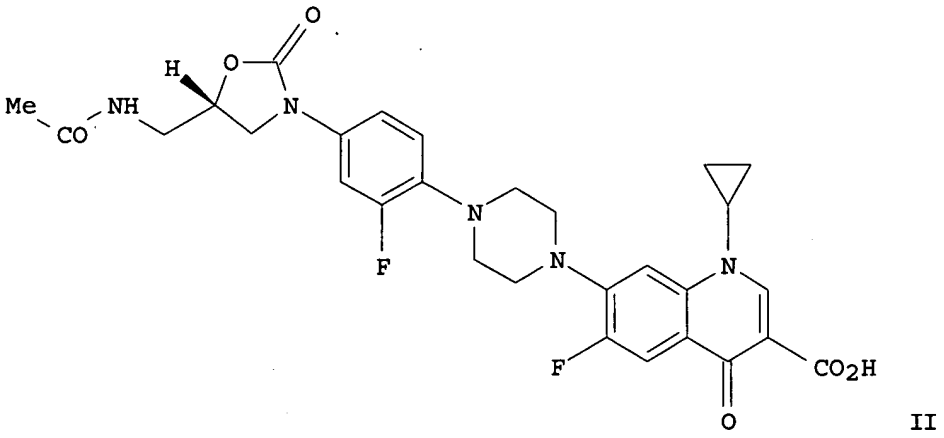

II

AB The present invention relates to oxazolidinones having a quinolone or naphthyridinone moiety (shown as I; variables defined below; e.g. 7-[4-[4-[(5S)-5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (shown as II)) that are useful antibacterial agents and effective against a variety of multi-drug resistant bacteria. For I: A is a bond, NH, O, S, SO, SO2, SO2NH, PO4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, alkylene, alkenylene, alkynylene, heteroalkylene, arylene, heteroarylene, cycloalkylene, heterocycloalkylene, alkylarylene or heteroarylalkylene or a combination of two or more of these atoms or groups. X is CR5 or N; Y is CR6 or N; U is F or Cl; n = 0-3; R1 is H, F, Cl, Br, I, OH, NH2, alkyl or heteroalkyl; R2 is H, F or Cl; R3 is H, alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R4 is heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R5 is H, F, Cl, OH, NH2, alkyl or heteroalkyl, or R3 and R5 can be linked via an alkylene, an alkenylene or heteroalkylene or be a part of a cycloalkylene or heterocycloalkylene group, in which case R3 is not H and R5 is not H, F, OH, NH2 or Cl; R6 is H, F, Cl or OMe. Although the methods of preparation are not claimed, 30 example prepns. are included. All examples were tested against several gram pos. and gram neg. bacteria; typical MIC ranges (mg/L) are: S. aureus (MRSA: 0.125-2; MSSA: 0.06-1), E. faecalis (≤0.03-1), E. faecium (≤0.03-1), and S. pneumoniae (≤0.03-1). They all have a broader and more pronounced activity than the corresponding quinolone and oxazolidinone as well as a 1+1 combination of these two compds.

IC ICM C07D413-14

ICS C07D413-12; C07D498-04; C07D471-04; A61K031-496; A61P031-04
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
IT 444335-12-0P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 484639-31-8P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510728-57-1P, 9-[4-[4-[5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-azaphenalene-5-carboxylic acid 510728-58-2P, 7-[(3R,S)-3-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenylcarbamoyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-61-7P, 7-[(3R)-3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-2-carboxylic acid 510728-69-5P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-6-fluoro-1-(5-fluoropyridin-2-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-73-1P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-75-3P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-8-methoxy-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-77-5P, 9-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3,3a-diazaphenalene-5-carboxylic acid 510728-79-7P, 7-[(3RS)-3-[[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl](ethyl)amino]methyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-89-9P, 7-[4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]ethyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-93-5P, 7-[4-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510729-00-7P, 7-[(3R*,4R*)-3-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]-4-aminomethylpyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-carboxylic acid **510729-10-9P**, 7-[4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510729-13-2P, 7-[3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]azetidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-22-3P, 7-[(3R)-3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-24-5P, 7-[(3S*,4R*)-3-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazine-1-carbonyl]-4-aminomethylpyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510729-35-8P, 7-[(3S*,4R*)-3-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazine-1-carbonyl]-4-aminomethylpyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-38-1P, 7-[4-[5-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]pyridin-2-yl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-51-8P, 7-[4-[5-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]pyridin-2-yl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-

dihydroquinoline-3-carboxylic acid 510729-52-9P, 7-[(3R)-3-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-61-0P, 1-Cyclopropyl-6-fluoro-7-[4-[2-fluoro-4-[(5R)-5-[(methanesulfonylamino)methyl]-2-oxooxazolidin-3-yl]phenyl]piperazin-1-yl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-64-3P, 7-[4-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-73-4P, 1-Cyclopropyl-6-fluoro-7-[4-[2-fluoro-4-[(5S)-5-[[[(methoxy)thiocarbonyl]amino]methyl]-2-oxooxazolidin-3-yl]phenyl]piperazin-1-yl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-74-5P, 1-Cyclopropyl-6-fluoro-7-[4-[2-fluoro-4-[(5S)-5-[[[(methylsulfanyl)thiocarbonyl]amino]methyl]-2-oxooxazolidin-3-yl]phenyl]piperazin-1-yl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-77-8P, 1-Cyclopropyl-6-fluoro-2-[4-[2-fluoro-4-((5S)-2-oxo-5-thioureidomethyloxazolidin-3-yl)phenyl]piperazin-1-yl]-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-80-3P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenoxy]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid 510729-81-4P, 7-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenoxy]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510729-82-5P, 7-[4-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]sulfanyl]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510729-84-7P, 7-[4-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]sulfanyl]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

IT 98105-93-2P, 7-Chloro-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 98105-94-3P, 7-Chloro-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid ethyl ester 510728-59-3P, 2-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenylcarbamoyl]piperazine-1,4-dicarboxylic acid di-tert-butyl ester 510728-60-6P, 2-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenylcarbamoyl]piperazine 510728-62-8P, (3R)-3-[(2-Fluoro-4-nitrophenyl)amino]pyrrolidine-1-carboxylic acid allyl ester 510728-63-9P, (3R)-3-[(2-Fluoro-4-nitrophenyl)amino]pyrrolidine-1-carboxylic acid tert-butyl ester 510728-64-0P, (3R)-3-[Benzyloxycarbonyl(4-benzyloxycarbonylamino-2-fluorophenyl)amino]pyrrolidine-1-carboxylic acid tert-butyl ester 510728-65-1P, (3R)-3-[Benzyloxycarbonyl[2-fluoro-4-((5R)-5-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]amino]pyrrolidine-1-carboxylic acid tert-butyl ester 510728-66-2P, (3R)-3-[[4-((5R)-5-Azidomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]benzyloxycarbonylamino]pyrrolidine-1-carboxylic acid tert-butyl ester 510728-67-3P, (3R)-3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]pyrrolidine-1-carboxylic acid tert-butyl ester 510728-68-4P, N-[[(5S)-3-[3-Fluoro-4-((3R)-pyrrolidin-3-ylamino)phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510728-70-8P, 7-Chloro-6-fluoro-1-(5-fluoropyridin-2-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid ethyl ester 510728-71-9P, 7-Chloro-6-fluoro-1-(5-fluoropyridin-2-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 510728-72-0P, 7-Chloro-6-fluoro-1-(5-fluoropyridin-2-yl)-4-oxo-1,4-

dihydroquinoline-3-carboxylatoboron diacetate 510728-74-2P, 7-Chloro-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylatoboron diacetate 510728-76-4P, 1-Cyclopropyl-7-fluoro-8-methoxy-4-oxo-1,4-dihydroquinoline-3-carboxylatoboron diacetate 510728-78-6P, 9-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3,3a-diazaphenalene-5-carboxylic acid ethyl ester 510728-80-0P, [(1,4-Dibenzylpiperazin-2-yl)methylene](ethyl)amine 510728-81-1P, (1,4-Dibenzylpiperazin-2-ylmethyl)(ethyl)amine 510728-82-2P, [(1,4-Dibenzylpiperazin-2-yl)methyl]ethyl(2-fluoro-4-nitrophenyl)amine 510728-83-3P, [4-[(1,4-Dibenzylpiperazin-2-ylmethyl)(ethyl)amino]-3-fluorophenyl]carbamic acid benzyl ester 510728-84-4P, (5R)-3-[4-[(1,4-Dibenzylpiperazin-2-ylmethyl)(ethyl)amino]-3-fluorophenyl]-5-hydroxymethyloxazolidin-2-one 510728-85-5P, Methanesulfonic acid [(5R)-3-[4-[(1,4-Dibenzylpiperazin-2-ylmethyl)(ethyl)amino]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl ester 510728-86-6P, (5R)-5-Azidomethyl-3-[4-[(1,4-Dibenzylpiperazin-2-ylmethyl)(ethyl)amino]-3-fluorophenyl]oxazolidin-2-one 510728-87-7P, N-[[(5S)-3-[4-[(1,4-Dibenzylpiperazin-2-ylmethyl)(ethyl)amino]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510728-88-8P, N-[[(5S)-3-[4-[(Piperazin-2-ylmethyl)(ethyl)amino]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510728-90-2P, 4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]ethyl]piperazine-1-carboxylic acid tert-butyl ester 510728-91-3P, N-[[(5S)-3-[3-Fluoro-4-[4-(2-(piperazin-1-yl)ethyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510728-92-4P, 6,7-Difluoro-1-cyclopropyl-4-oxo-1,4-dihydroquinoline-3-carboxylatoboron diacetate 510728-94-6P, 1-(1-Benzylpiperidin-4-yl)-4-(2-fluoro-4-nitrophenyl)piperazine 510728-95-7P, 4-[4-(4-Benzyloxycarbonylamino-2-fluorophenyl)piperazin-1-yl]piperidine-1-carboxylic acid benzyl ester 510728-96-8P, 4-[4-[2-Fluoro-4-((5R)-5-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]piperazin-1-yl]piperidin-1-carboxylic acid benzyl ester 510728-97-9P, 4-[4-[4-((5R)-5-Azidomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]piperazin-1-yl]piperidin-1-carboxylic acid benzyl ester 510728-98-0P, 4-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]piperidin-1-carboxylic acid benzyl ester 510728-99-1P, N-[[(5S)-3-[3-Fluoro-4-(4-(piperidin-4-yl)piperazin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510729-01-8P, (4-Bromo-3-fluorophenyl)carbamic acid benzyl ester 510729-02-9P, 3-(4-Benzyloxycarbonylamino-2-fluorophenyl)acrylic acid ethyl ester 510729-03-0P, (3R*,4S*)-1-Benzyl-4-(4-benzyloxycarbonylamino-2-fluorophenyl)pyrrolidine-3-carboxylic acid ethyl ester 510729-04-1P, [4-[(3S*,4R*)-1-Benzyl-4-hydroxymethylpyrrolidin-3-yl]-3-fluorophenyl]carbamic acid benzyl ester 510729-05-2P, [4-[(3S*,4R*)-4-Azidomethyl-1-benzylpyrrolidin-3-yl]-3-fluorophenyl]carbamic acid benzyl ester 510729-06-3P, [4-[(3S*,4R*)-1-Benzyl-4-[(tert-butoxycarbonylamino)methyl]pyrrolidin-3-yl]-3-fluorophenyl]carbamic acid benzyl ester 510729-07-4P, [[(3S*,4R*)-1-Benzyl-4-[2-fluoro-4-((5R)-5-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]pyrrolidin-3-yl]methyl]carbamic acid tert-butyl ester 510729-08-5P, [[(3S*,4R*)-4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]-1-benzylpyrrolidin-3-yl]methyl]carbamic acid tert-butyl ester 510729-09-6P, [[(3S*,4R*)-4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]pyrrolidin-3-yl]methyl]carbamic acid tert-butyl ester **510729-11-0P**, 4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl]piperazine-1-carboxylic acid tert-butyl ester **510729-12-1P**, N-[[(5S)-3-[3-Fluoro-4-[4-(2-(piperazin-1-yl)acetyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510729-14-3P, (1-

Benzhydrylazetidin-3-yl)(2-fluoro-4-nitrophenyl)amine 510729-15-4P, 3-[Benzyloxycarbonyl[4-(benzyloxycarbonylamino)-2-fluorophenyl]amino]azetidine-1-carboxylic acid benzyl ester 510729-16-5P, 3-[Benzyloxycarbonyl[2-fluoro-4-((5R)-5-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]amino]azetidine-1-carboxylic acid benzyl ester 510729-17-6P, 3-[[4-((5R)-5-Azidomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]benzyloxycarbonylamino]azetidine-1-carboxylic acid benzyl ester 510729-18-7P, 3-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]benzyloxycarbonylamino]azetidine-1-carboxylic acid benzyl ester 510729-20-1P, N-[[(5S)-3-[4-(Azetidin-3-ylamino)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510729-25-6P, (3S*,4S*)-1-Benzyl-4-[(tert-butoxycarbonylamino)methyl]pyrrolidine-3-carboxylic acid ethyl ester 510729-29-0P, (3S*,4S*)-1-Benzyl-4-[(tert-butoxycarbonylamino)methyl]pyrrolidine-3-carboxylic acid 510729-31-4P, [[(3S*,4S*)-4-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazine-1-carbonyl]-1-benzylpyrrolidin-3-yl]methyl]carbamic acid tert-butyl ester 510729-33-6P, [[(3S*,4S*)-4-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazine-1-carbonyl]pyrrolidin-3-yl]methyl]carbamic acid tert-butyl ester 510729-40-5P, 4-(5-(Benzyloxycarbonylamino)pyridin-2-yl)piperazine-1-carboxylic acid tert-butyl ester 510729-43-8P, 4-[5-((5R)-5-Hydroxymethyl-2-oxooxazolidin-3-yl)pyridin-2-yl]piperazine-1-carboxylic acid tert-butyl ester 510729-45-0P, 4-[5-((5R)-5-Azidomethyl-2-oxooxazolidin-3-yl)pyridin-2-yl]piperazine-1-carboxylic acid tert-butyl ester 510729-47-2P, 4-[5-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]pyridin-2-yl]piperazine-1-carboxylic acid tert-butyl ester 510729-49-4P, N-[[(5S)-2-Oxo-3-(6-(piperazin-1-yl)pyridin-3-yl)oxazolidin-5-yl]methyl]acetamide 510729-53-0P, (3R)-3-[4-(2-Fluoro-4-nitrophenyl)piperazin-1-yl]pyrrolidine-1-carboxylic acid allyl ester 510729-54-1P, (3R)-3-[4-(2-Fluoro-4-nitrophenyl)piperazin-1-yl]pyrrolidine-1-carboxylic acid tert-butyl ester 510729-55-2P, (3R)-3-[4-[2-Fluoro-4-((5R)-5-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]piperazin-1-yl]pyrrolidine-1-carboxylic acid tert-butyl ester 510729-56-3P, (3R)-3-[4-(4-Benzyloxycarbonylamino-2-fluorophenyl)piperazin-1-yl]pyrrolidine-1-carboxylic acid tert-butyl ester 510729-57-4P, (3R)-3-[4-[4-((5R)-5-Azidomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]piperazin-1-yl]pyrrolidine-1-carboxylic acid tert-butyl ester 510729-58-5P, (3R)-3-[4-[4-((5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl)-2-fluorophenyl]piperazin-1-yl]pyrrolidine-1-carboxylic acid tert-butyl ester 510729-60-9P, N-[[(5S)-3-[3-Fluoro-4-[4-((3R)-pyrrolidin-3-yl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510729-62-1P, 4-[2-Fluoro-4-[(5R)-5-[(methanesulfonylamino)methyl]-2-oxooxazolidin-3-yl]phenyl]piperazin-1-carboxylic acid tert-butyl ester 510729-63-2P, N-[[(5R)-3-(3-Fluoro-4-(piperazin-1-yl)phenyl)-2-oxooxazolidin-5-yl]methyl]methanesulfonamide 510729-65-4P, (1-Benzylpiperidin-4-yl)(2-fluoro-4-nitrophenyl)amine 510729-66-5P, 2-Fluoro-N'-(piperidin-4-yl)benzene-1,4-diamine 510729-67-6P, 4-[(4-Benzyloxycarbonylamino-2-fluorophenyl)amino]piperidine-1-carboxylic acid benzyl ester 510729-68-7P, 4-[[2-Fluoro-4-((5R)-5-hydroxymethyl-2-oxooxazolidin-3-yl)phenyl]amino]piperidine-1-carboxylic acid benzyl ester 510729-69-8P, 4-[[4-((5R)-5-Azidomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]amino]piperidine-1-carboxylic acid benzyl ester 510729-70-1P, 4-[[4-((5S)-5-Aminomethyl-2-oxooxazolidin-3-yl)-2-fluorophenyl]amino]piperidine-1-carboxylic acid benzyl ester 510729-71-2P, 4-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]amino]piperidine-1-carboxylic acid benzyl ester 510729-72-3P, N-[[(5S)-3-[3-Fluoro-4-(piperidin-4-ylamino)phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 510729-75-6P, 4-[2-Fluoro-4-[(5S)-5-[[[(methylsulfanyl)thiocarbonyl]amino]methyl]-2-oxooxazolidin-3-yl]phenyl]piperazine-1-carboxylic acid tert-butyl ester 510729-76-7P,

[[(5S)-3-(3-Fluoro-4-(piperazin-1-yl)phenyl)-2-oxooxazolidin-5-yl]methyl]dithiocarbamic acid methyl ester 510729-78-9P, 4-[2-Fluoro-4-((5S)-2-oxo-5-thioureidomethyloxazolidin-3-yl)phenyl]piperazine-1-carboxylic acid tert-butyl ester 510729-79-0P, [[(5S)-3-(3-Fluoro-4-(piperazin-1-yl)phenyl)-2-oxooxazolidin-5-yl]methyl]thiourea 510729-83-6P, (S)-N-[[3-[3-Fluoro-4-(4-piperidinylsulfanyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

IT **510729-10-9P**, 7-[4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-10-9 HCAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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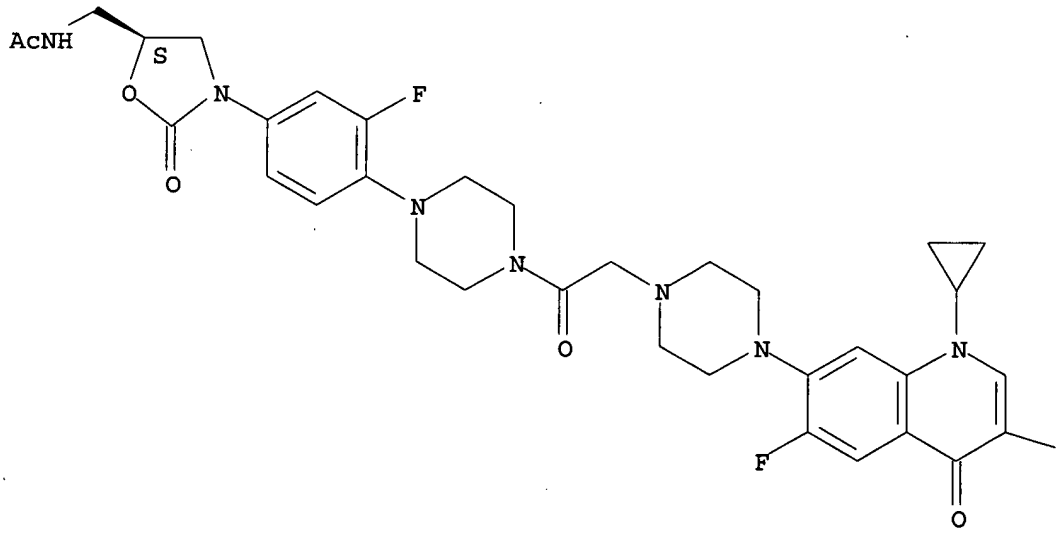


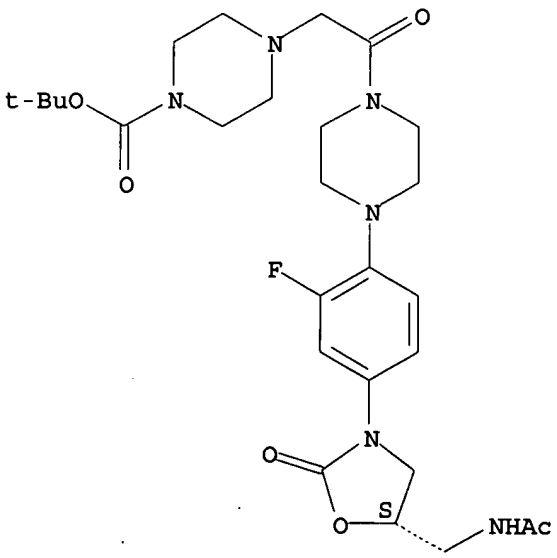
CO_2H

IT **510729-11-0P**, 4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl]piperazine-1-carboxylic acid tert-butyl ester **510729-12-1P**, N-[[(5S)-3-[3-Fluoro-4-[4-(2-(piperazin-1-yl)acetyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-11-0 HCAPLUS

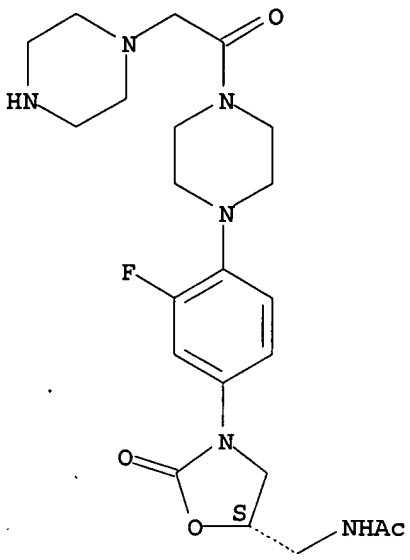
CN 1-Piperazinecarboxylic acid, 4-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 510729-12-1 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(1-piperazinylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

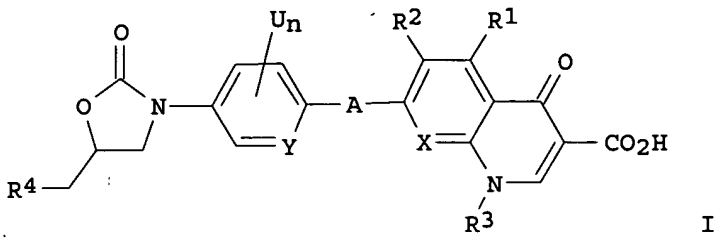
L37 ANSWER 10 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:301082 HCAPLUS
DN 138:304288
TI Preparation of dual action bactericides comprising a oxazolidinone and a quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria
IN Hubschwerlen, Christian; Specklin, Jean-Luc
PA Morphochen Aktiengesellschaft fuer Kombinatorische Chemie, Germany
SO PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003031441	A1	20030417	WO 2002-EP10765	20020925

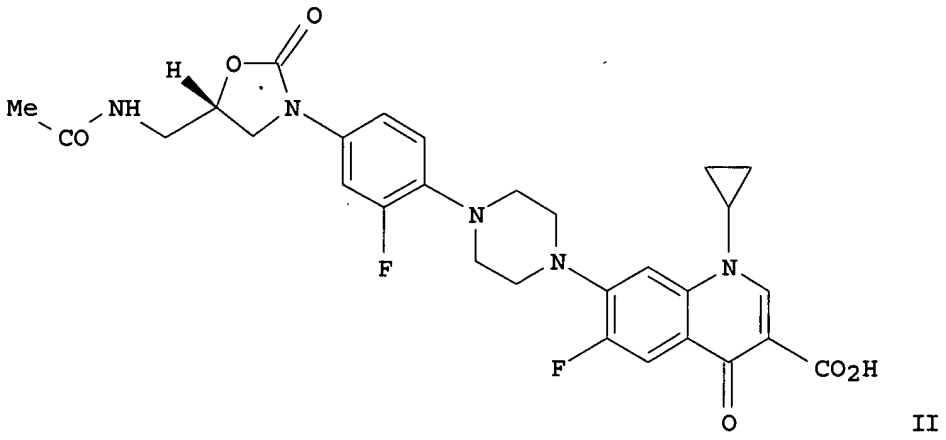
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-327208P P 20011004
OS MARPAT 138:304288

GI



I



II

AB The present invention refers to novel multiple action compds., i.e., to compds. which contain at least two pharmaceutically active components in one mol. The compds. have a higher stability than corresponding compds. of the prior art. Although the present invention does not claim any specific compds. or even a Markush expression, the examples involve oxazolidinones having a quinolone or naphthyridinone moiety (shown as I; variables defined below; e.g. 7-[4-[4-[(5S)-5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (shown as II)) that are useful antibacterial agents and effective against a variety of multi-drug resistant bacteria. For I: A is a bond, NH, O, S, SO, SO2, SO2NH, PO4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, alkylene, alkenylene, alkynylene, heteroalkylene, arylene, heteroarylene, cycloalkylene, heterocycloalkylene, alkylarylene or heteroarylalkylene or a combination of two or more of these atoms or groups. X is CR5 or N; Y is CR6 or N; U is F or Cl; n = 0-3; R1 is H, F, Cl, Br, I, OH, NH2, alkyl or heteroalkyl; R2 is H, F or Cl; R3 is H, alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R4 is heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R5 is H, F, Cl, OH, NH2, alkyl or heteroalkyl, or R3 and R5 can be linked via an alkylene, an alkenylene or heteroalkylene or be a part of a cycloalkylene or heterocycloalkylene group, in which case R3 is not H and R5 is not H, F, OH, NH2 or Cl; R6 is H, F, Cl or OMe. Although the methods of preparation are not claimed, 30 example prepns. are included. All examples were tested against several gram pos. and gram neg. bacteria; typical MIC ranges (mg/L) are: S. aureus (MRSA: 0.125-2; MSSA: 0.06-1), E. faecalis (≤0.03-1), E. faecium

(≤0.03-1), and S. pneumoniae (≤0.03-1). They all have a broader and more pronounced activity than the corresponding quinolone and oxazolidinone as well as a 1+1 combination of these two compds. The examples of this patent are the same as those of WO 03/031443 A1.

IC ICM C07D413-12
ICS C07D498-04; C07D413-14; C07D471-04; A61K031-496; A61K031-5383; A61K031-4709; A61K031-5395; A61K031-4375; A61K031-4545; A61P031-04

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 444335-12-0P 484639-31-8P 510728-57-1P 510728-58-2P 510728-61-7P
510728-69-5P 510728-73-1P 510728-75-3P 510728-77-5P 510728-79-7P
510728-89-9P 510728-93-5P 510729-00-7P **510729-10-9P**
510729-13-2P 510729-22-3P 510729-24-5P 510729-35-8P 510729-38-1P
510729-51-8P 510729-52-9P 510729-61-0P 510729-64-3P 510729-73-4P
510729-74-5P 510729-77-8P 510729-80-3P 510729-81-4P 510729-82-5P
510729-84-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

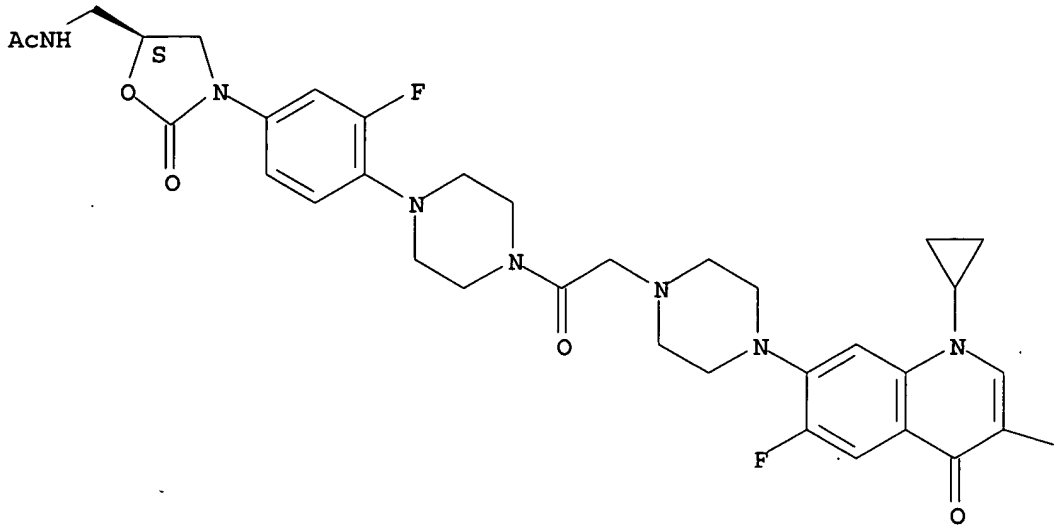
IT 98105-93-2P 98105-94-3P 510728-59-3P 510728-60-6P 510728-62-8P
510728-63-9P 510728-64-0P 510728-65-1P 510728-66-2P 510728-67-3P
510728-68-4P 510728-70-8P 510728-71-9P 510728-72-0P 510728-74-2P
510728-76-4P 510728-78-6P 510728-80-0P 510728-81-1P 510728-82-2P
510728-83-3P 510728-84-4P 510728-85-5P 510728-86-6P 510728-87-7P
510728-88-8P 510728-90-2P 510728-91-3P 510728-92-4P 510728-94-6P
510728-95-7P 510728-96-8P 510728-97-9P 510728-98-0P 510728-99-1P
510729-01-8P 510729-02-9P 510729-03-0P 510729-04-1P 510729-05-2P
510729-06-3P 510729-07-4P 510729-08-5P 510729-09-6P
510729-11-0P 510729-12-1P 510729-14-3P 510729-15-4P
510729-16-5P 510729-17-6P 510729-18-7P 510729-20-1P 510729-25-6P
510729-29-0P 510729-31-4P 510729-33-6P 510729-40-5P 510729-43-8P
510729-45-0P 510729-47-2P 510729-49-4P 510729-53-0P 510729-54-1P
510729-55-2P 510729-56-3P 510729-57-4P 510729-58-5P 510729-60-9P
510729-62-1P 510729-63-2P 510729-65-4P 510729-66-5P 510729-67-6P
510729-68-7P 510729-69-8P 510729-70-1P 510729-71-2P 510729-72-3P
510729-75-6P 510729-76-7P 510729-78-9P 510729-79-0P 510729-83-6P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

IT **510729-10-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-10-9 HCAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

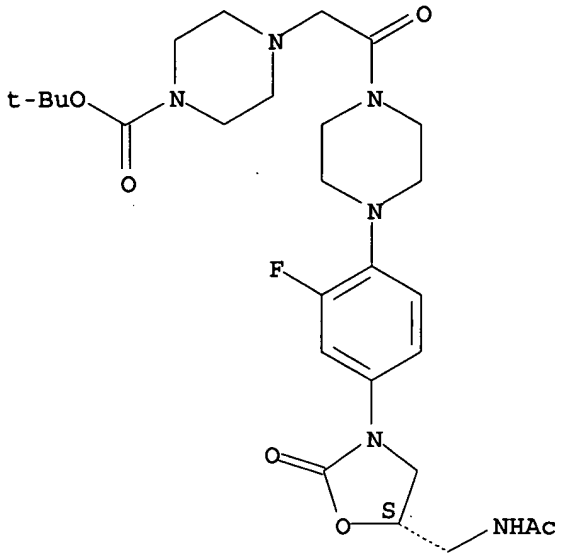
CO_2H

IT **510729-11-0P 510729-12-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-11-0 HCAPLUS

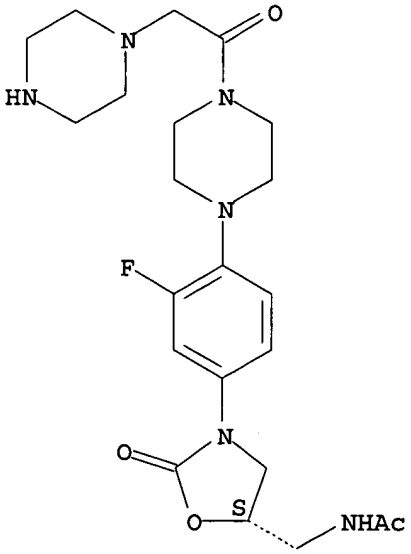
CN 1-Piperazinecarboxylic acid, 4-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 510729-12-1 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(1-piperazinylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



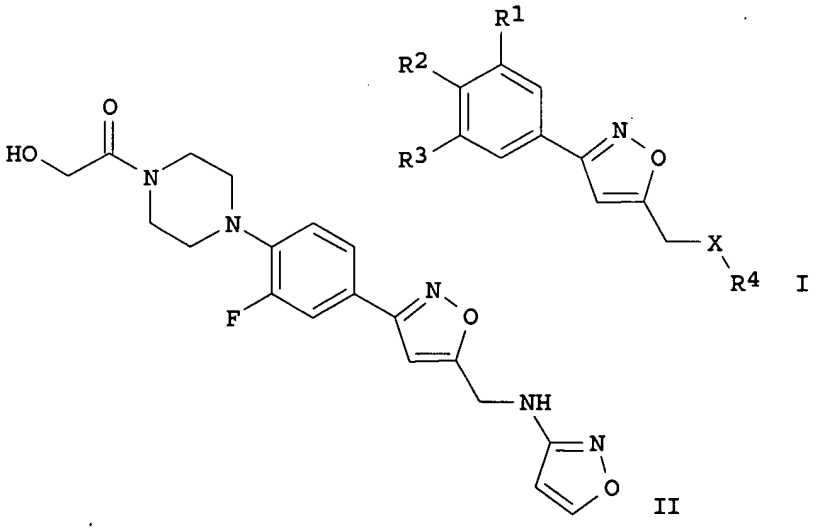
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 11 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:76763 HCAPLUS
DN 138:137295
TI Phenyl-substituted isoxazoles and the use thereof as antibiotics and antitumor agents
IN Farrerons Gallemi, Carles; Lagunas Arnal, Carmen; Fernandez, Serrat Anna; Catena Ruiz, Juan Lorenzo; Miquel Bono, Ignacio Jose; Balsa Lopez, Dolors;

Salcedo Roca, Carolina; Toledo Mesa, Natividad; Fernandez Garcia, Andres
PA Laboratorios S.A.L.V.A.T., S.A., Spain
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA Spanish
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003008395	A1	20030130	WO 2002-ES358	20020717
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	ES 2180456	A1	20030201	ES 2001-1793	20010720
	ES 2180456	B1	20040501		
	CA 2453846	AA	20030130	CA 2002-2453846	20020717
	BR 2002011588	A	20040713	BR 2002-11588	20020717
	EP 1437349	A1	20040714	EP 2002-748888	20020717
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	CN 1556797	A	20041222	CN 2002-818517	20020717
	JP 2005502634	T2	20050127	JP 2003-513955	20020717
	US 2005014806	A1	20050120	US 2004-484027	20040728
PRAI	ES 2001-1793	A	20010720		
	WO 2002-ES358	W	20020717		

OS MARPAT 138:137295
GI



I

II

AB The invention relates to title compds. I [wherein: X is O, S, NH, OCO, NHCO, NHCOO, NHCONH, NHCS, or NHCSNH; R1 and R3 are H or F; R2 is a selected (un)substituted (primarily N-bound) heterocyclic radical; R4 is H, C1-3 alkyl (un)substituted by 1-3 halogens, or a member of selected (un)substituted 5- or 6-membered heterocycles]. The invention includes stereoisomers, mixts., polymorphs, N-oxides, solvates, and/or pharmaceutically acceptable addition salts. I can be used to treat microbial infections or (pre)cancerous pathologies in humans or animals. As analogs of similar isoxazolidine derivs., I are of interest due to the absence of chirality in the isoxazole ring. Approx. 35 examples of I were prepared and tested. For instance, invention compound II was prepared by a 6-step sequence: (1) N-protection of 3-aminoisoxazole with Boc2O (69%), (2) N-alkylation of the Boc-protected amine with NaH and 3-(3,4-difluorophenyl)isoxazole-5-Me methylsulfonate (88%), (3) removal of Boc with H2SO4 in dioxane (79%), (4) aminolysis of 4-fluoro with piperazine and K2CO3 (42%), (5) N-acylation of the piperazine moiety with AcOCH2COCl (88%), and (6) methanolysis of the acetate ester with K2CO3 in MeOH (73%). In tests against strains of Streptococcus faecalis, Staphylococcus aureus, and Moraxella catarrhalis, II had MIC values of 4, 2, and 8 μg/mL, resp., which was comparable to the known, structurally similar antibiotics linezolid (4, 2, 4) and eperezolid (4, 2, 8). Other compds. I showed similar or even higher potency. Several I had antitumor activity comparable to exisulind against 2 lines of human colon adenocarcinoma, HT-29 and HCT-116.

IC ICM C07D261-08
ICS A61K031-42; A61P031-00; A61P035-00

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10

IT 492992-10-6P, 3-[3-Fluoro-4-[4-(hydroxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole 492992-11-7P, 3-[3-Fluoro-4-(imidazol-1-yl)phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole 492992-12-8P, 3-[3-Fluoro-4-[4-(5-isoxazolylcarbonyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole 492992-13-9P, 3-[3-Fluoro-4-[4-(hydroxymethyl)imidazol-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole 492992-14-0P, 1-[2-Fluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]-1H-pyrrole-3-carboxaldehyde **492992-15-1P**, 3-[3-Fluoro-4-[4-[(1-pyrazolyl)acetyl]piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-16-2P**, 1-[4-[2-Fluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]piperazin-1-yl]-2-phenoxyethanone **492992-17-3P**, 3-[3-Fluoro-4-[4-[(1,2,4-triazol-1-yl)acetyl]piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole 492992-18-4P, 3-[3-Fluoro-4-[4-(3-pyridylcarbonyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-19-5P**, 3-[3-Fluoro-4-[4-[(1-pyrrolyl)acetyl]piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole 492992-20-8P, 3-[3-Fluoro-4-[4-[[(3-pyridyl)oxy]acetyl]piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole 492992-21-9P, 3-[3-Fluoro-4-[4-(2-pyridyloxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-22-0P**, 3-[3-Fluoro-4-[4-(3-nitrophenyloxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-23-1P**, 3-[3-Fluoro-4-[4-(4-nitrophenyloxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole 492992-24-2P, 3-[3-Fluoro-4-[4-(2-furylmethoxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole 492992-25-3P, 3-[3-Fluoro-4-[4-(2-pyridylmethoxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-26-4P**, 3-[3-Fluoro-4-[4-(4-cyanophenoxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-

yl)amino]methyl]isoxazole 492992-27-5P, 3-[3-Fluoro-4-[4-(2-propyn-1-yloxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-28-6P**, 3-[3-Fluoro-4-[4-(4-formylphenyloxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole 492992-29-7P, 3-[3-Fluoro-4-(imidazol-1-yl)phenyl]-5-(N-acetylaminomethyl)isoxazole 492992-30-0P, 3-[3-Fluoro-4-(imidazol-1-yl)phenyl]-5-[[N-(thioacetyl)amino]methyl]isoxazole 492992-31-1P, 1-[4-[2-Fluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]piperazin-1-yl]-2-(quinolin-6-yloxy)ethanone 492992-32-2P, [1-[2-Fluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]-1H-pyrrol-3-yl]methanol 492992-33-3P, 1-[2-Fluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]-1H-pyrrole-3-carboxaldehyde oxime 492992-34-4P, 1-[2-Fluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]-1H-pyrrole-3-carbonitrile **492992-35-5P**, 4-[2-(4-[2,6-Difluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]piperazin-1-yl)-2-oxoethoxy]benzaldehyde 492992-36-6P, 1-[2-Fluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]-1H-imidazole-4-carboxaldehyde 492992-37-7P, 3-[1-[2-Fluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]-1H-imidazol-4-yl]acrylonitrile 492992-38-8P, [[3-[3-Fluoro-4-[4-[[(2-methoxyphenyl)amino]methyl]imidazol-1-yl]phenyl]isoxazol-5-yl]methyl](isoxazol-3-yl)amine 492992-39-9P, [[3-[3-Fluoro-4-[3-[(o-tolylamino)methyl]pyrrol-1-yl]phenyl]isoxazol-5-yl]methyl](isoxazol-3-yl)amine **492992-40-2P**, 4-[2-(4-[2,6-Difluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]piperazin-1-yl]-2-oxoethoxy]benzaldoxime 492992-41-3P, [[3-[3-Fluoro-4-(imidazol-1-yl)phenyl]isoxazol-5-yl]methyl](3-methylisothiazol-5-yl)amine 492992-42-4P, 1-[2-Fluoro-4-[5-[[(3-methylisothiazol-5-yl)amino]methyl]isoxazol-3-yl]phenyl]-1H-imidazole-4-carboxaldehyde 492992-43-5P, [[3-[3-Fluoro-4-(4-methylimidazol-1-yl)phenyl]isoxazol-5-yl]methyl](3-methylisothiazol-5-yl)amine 492992-44-6P, 1-[2-Fluoro-4-[5-[[(isoxazol-3-yl)oxy]methyl]isoxazol-3-yl]phenyl]-1H-imidazole-4-carboxaldehyde 492992-45-7P, 3-[1-[2-Fluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]-1H-pyrrol-3-yl]acrylonitrile 492992-46-8P, 3-[1-[2-Fluoro-4-[5-[[(3-methylisothiazol-5-yl)amino]methyl]isoxazol-3-yl]phenyl]-1H-pyrrol-3-yl]acrylonitrile 492992-47-9P, 3-[3-Fluoro-4-(4-methylimidazol-1-yl)phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole

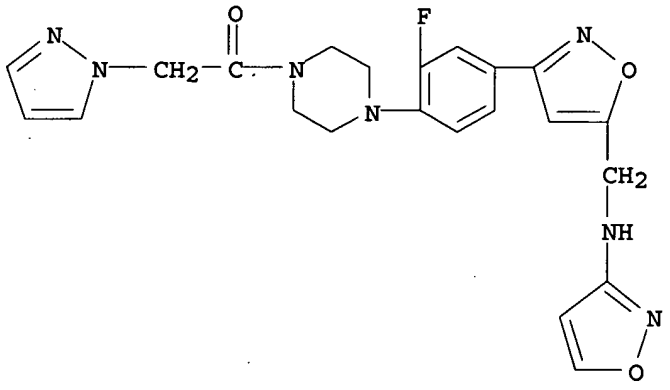
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(drug candidate; preparation of phenylisoxazoles as antibiotics and antitumor agents)

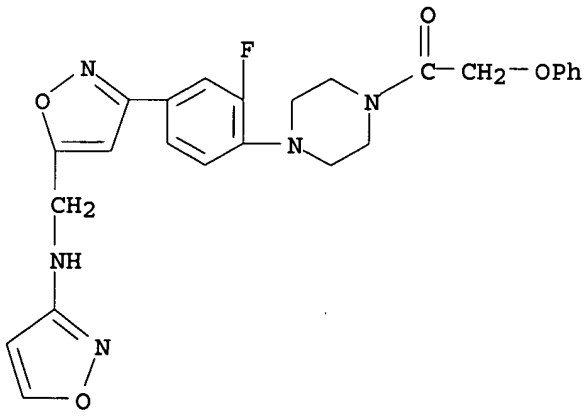
IT **492992-15-1P**, 3-[3-Fluoro-4-[4-[(1-pyrazolyl)acetyl]piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-16-2P**, 1-[4-[2-Fluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]piperazin-1-yl]-2-phenoxyethanone **492992-17-3P**, 3-[3-Fluoro-4-[4-[(1,2,4-triazol-1-yl)acetyl]piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-19-5P**, 3-[3-Fluoro-4-[4-[(1-pyrrolyl)acetyl]piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-22-0P**, 3-[3-Fluoro-4-[4-(3-nitrophenyloxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-23-1P**, 3-[3-Fluoro-4-[4-(4-nitrophenyloxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-26-4P**, 3-[3-Fluoro-4-[4-(4-cyanophenoxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-28-6P**, 3-[3-Fluoro-4-[4-(4-formylphenyloxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-35-5P**, 4-[2-(4-[2,6-Difluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]piperazin-1-yl)-2-

oxoethoxy]benzaldehyde **492992-40-2P**, 4-[2-(4-[2,6-Difluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]piperazin-1-yl]-2-oxoethoxy]benzaldoxime
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(drug candidate; preparation of phenylisoxazoles as antibiotics and antitumor agents)

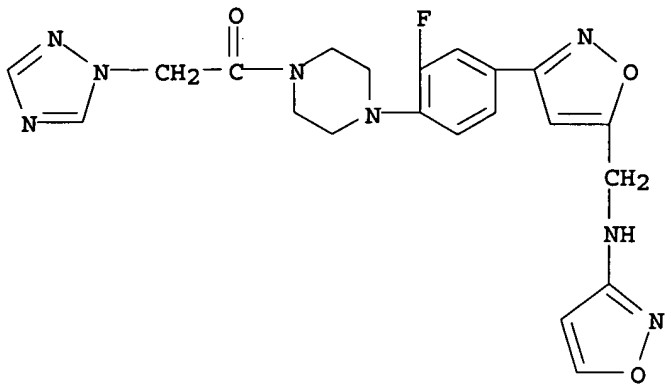
RN 492992-15-1 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-(1H-pyrazol-1-ylacetyl)- (9CI) (CA INDEX NAME)



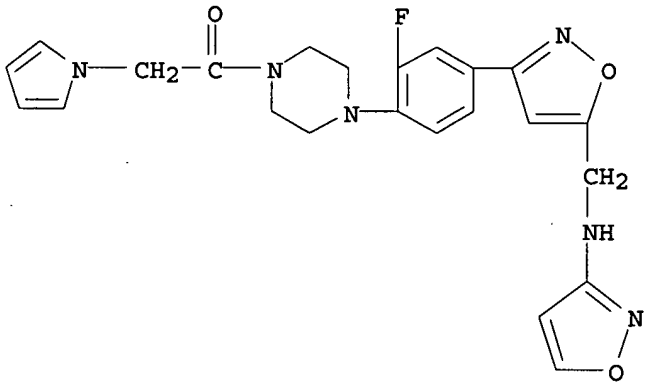
RN 492992-16-2 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-(phenoxyacetyl)- (9CI) (CA INDEX NAME)



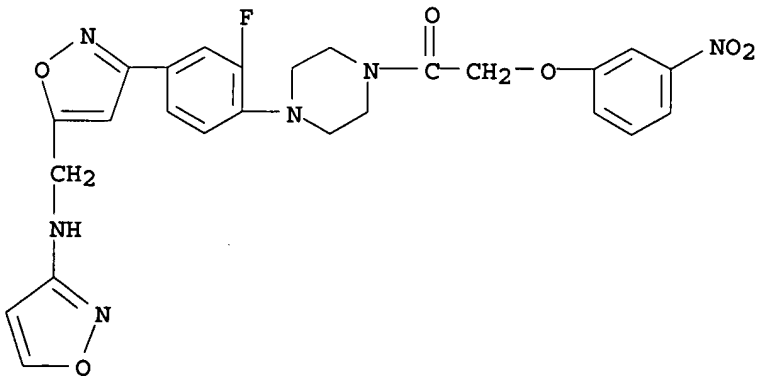
RN 492992-17-3 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-(1H-1,2,4-triazol-1-ylacetyl)- (9CI) (CA INDEX NAME)



RN 492992-19-5 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-(1H-pyrrol-1-ylacetyl)- (9CI) (CA INDEX NAME)

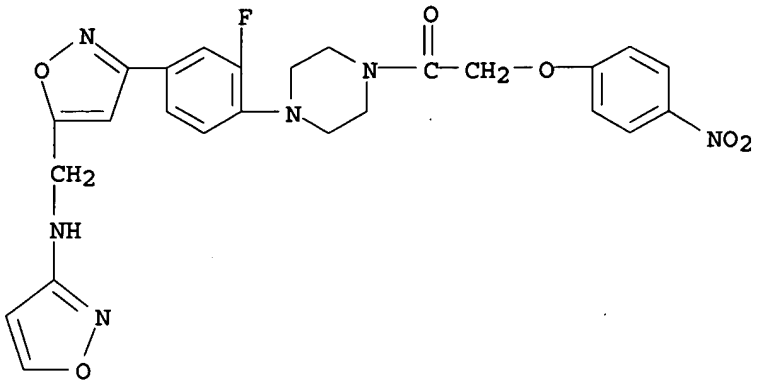


RN 492992-22-0 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-[(3-nitrophenoxy)acetyl]- (9CI) (CA INDEX NAME)

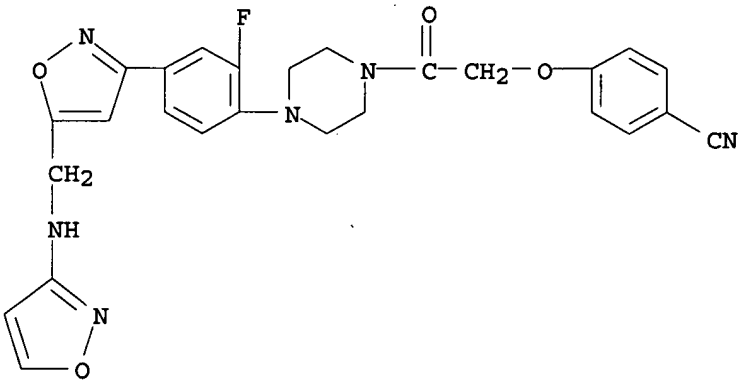


RN 492992-23-1 HCAPLUS

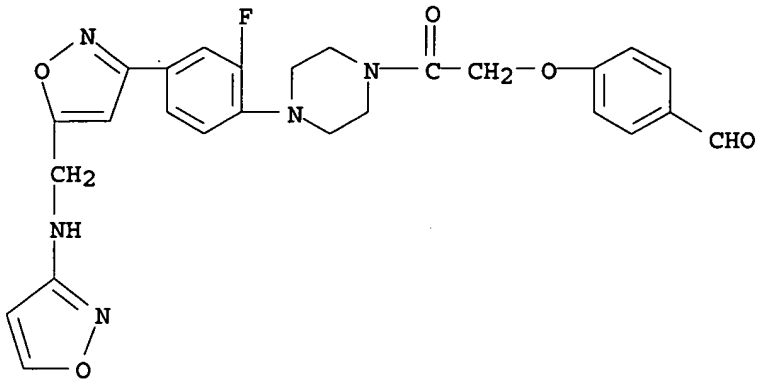
CN Piperazine, 1-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-[(4-nitrophenoxy)acetyl]- (9CI) (CA INDEX NAME)



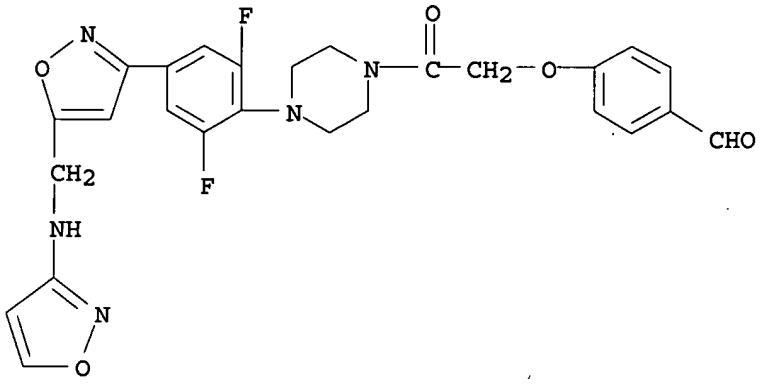
RN 492992-26-4 HCAPLUS
CN Piperazine, 1-[(4-cyanophenoxy)acetyl]-4-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)



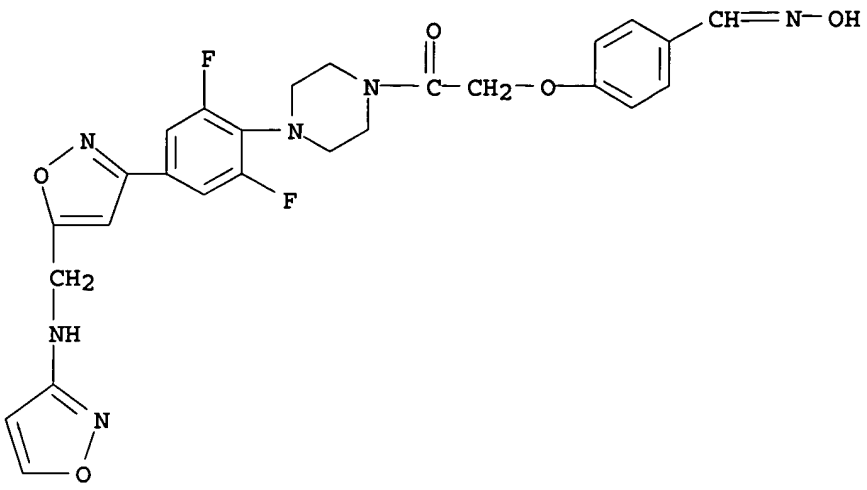
RN 492992-28-6 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-[(4-formylphenoxy)acetyl]- (9CI) (CA INDEX NAME)



RN 492992-35-5 HCAPLUS
CN Piperazine, 1-[2,6-difluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-[(4-formylphenoxy)acetyl]- (9CI) (CA INDEX NAME)



RN 492992-40-2 HCAPLUS
CN Piperazine, 1-[2,6-difluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-[[4-[(hydroxyimino)methyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)

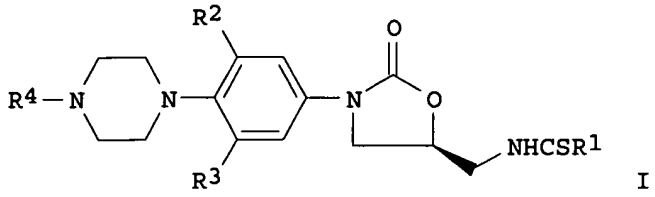


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

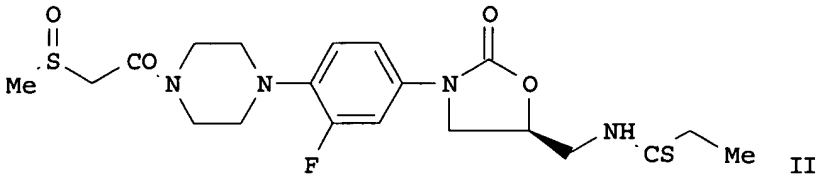
L37 ANSWER 12 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:736895 HCAPLUS
DN 137:247686
TI Preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections
IN Hester, Jackson B.
PA Pharmacia and Upjohn Co., USA
SO U.S. Pat. Appl. Publ., 22 pp., Cont.-in-part of U.S. Ser. No. 778,603, abandoned.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002137754	A1	20020926	US 2002-42916	20020109
	US 6642238	B2	20031104		
	US 2001047004	A1	20011129	US 2001-778603	20010207
PRAI	US 2000-181640P	P	20000210		
	US 2001-778603	B2	20010207		

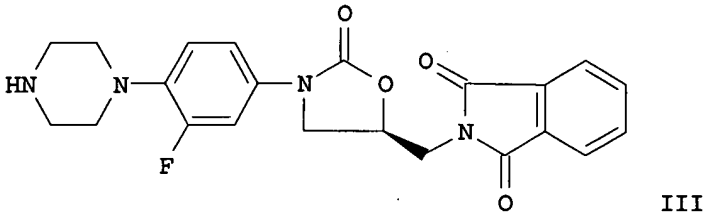
OS MARPAT 137:247686
GI



I



II



III

AB Oxazolidinone thioamides, such as I [R1 = H, NH2, alkylamino, alkenyl, alkyloxy, alkylthio, cycloalkyl, alkyl; R2, R3 = H, F, Cl, alkyl; R4 = CN, acyl, thioacyl, alkyloxyacyl, sulfonylmethylacyl, etc.] which have potent activities against gram-pos. and gram-neg. bacteria, were prepared for therapeutic use in the treatment of bacterial infections particularly of the skin and eye. Thus, PNU 255889 (II) was prepared via a multistep synthetic sequence which included N-acylation of III with MeSCH2CO2H, S-oxidation with sodium periodate, conversion of the phthalimido group to NH2 and N-thioacylation with MeCH2CS2Me. The prepared oxazolidinone thioamides were evaluated for min. inhibitory concns. of antibacterial activity against bacterial strains such as Staphylococcus aureus, S. epidermidis, Streptococcus pneumoniae, Enterococcus faecalis Moraxella catarrhalis and H. influenzae. Pharmaceutical formulations for oral, topical, transdermal, and parenteral delivery were discussed.

IC ICM A61K031-496
ICS C07D413-02; C07D045-02

INCL 514254020

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10, 63

IT 345224-19-3P 354578-45-3P 354578-46-4P 354578-47-5P 354578-48-6P
354578-49-7P 354578-50-0P 354578-51-1P 354578-52-2P 354578-53-3P
354578-54-4P 354578-55-5P 354578-56-6P 354578-61-3P 354578-62-4P
354578-65-7P 354578-66-8P **354578-67-9P** 354578-68-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

IT 354578-63-5P **354578-64-6P** 354819-74-2P 354819-77-5P
354819-82-2P 354819-83-3P 354819-85-5P 354819-86-6P 354819-87-7P
354819-94-6P 354819-96-8P 354820-02-3P 354820-03-4P 354820-05-6P
354820-07-8P **354987-17-0P** 354987-18-1P 354987-21-6P
354987-23-8P 354987-24-9P 354987-25-0P 354987-26-1P 354987-30-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

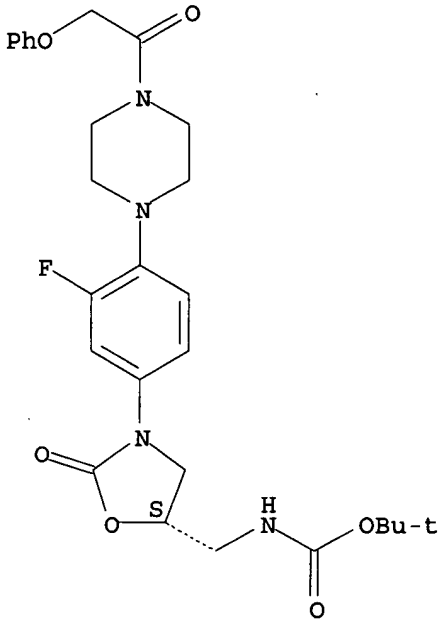
(Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

IT 10303-88-5P 27912-85-2P 93652-31-4P **345224-18-2P**
354578-57-7P 354578-58-8P 354578-59-9P 354578-60-2P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

IT **354578-67-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

RN 354578-67-9 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-(phenoxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

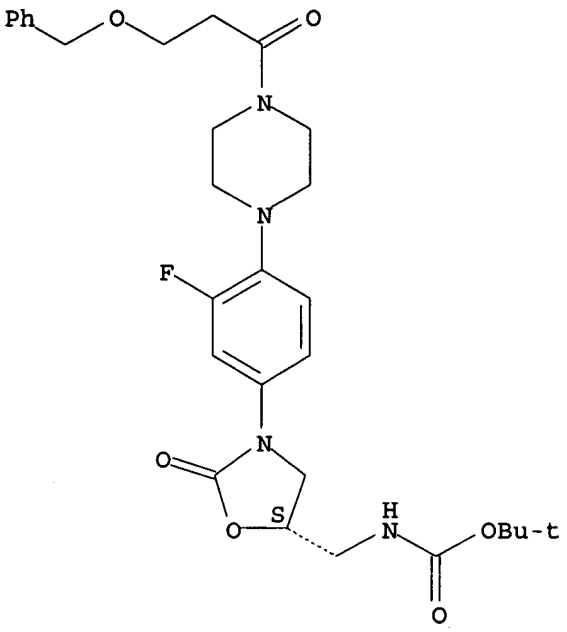
Absolute stereochemistry.



IT **354578-64-6P 354987-17-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

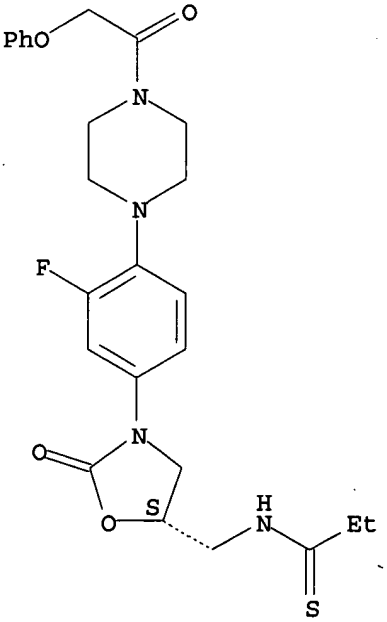
RN 354578-64-6 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[1-oxo-3-(phenylmethoxy)propyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 354987-17-0 HCAPLUS
CN Propanethioamide, N-[[(5S)-3-[3-fluoro-4-[4-(phenoxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

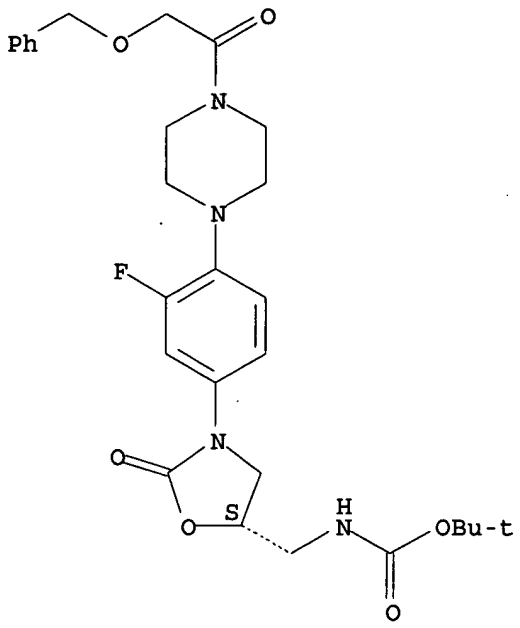
Absolute stereochemistry.



IT **345224-18-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)
RN 345224-18-2 HCAPLUS

CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

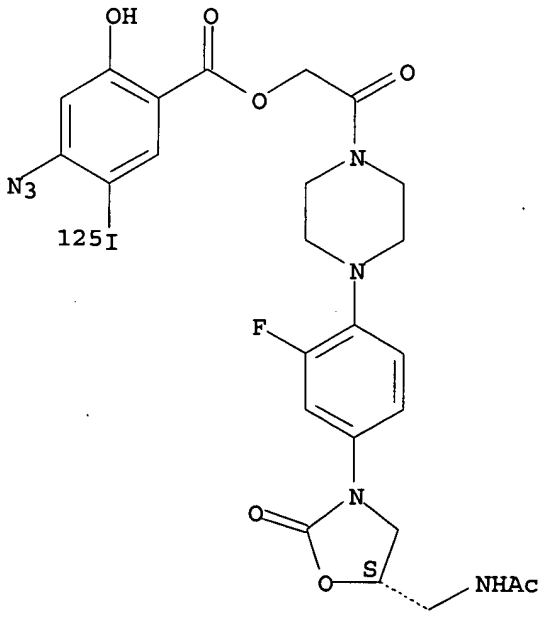


L37 ANSWER 13 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:539929 HCAPLUS
DN 137:106476
TI Oxazolidinone photoaffinity probes, uses and compounds
IN Colca, Jerry R.; McDonald, William Gerald; Shinabarger, Dean L.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002056013	A2	20020718	WO 2001-US48455	20011214
	WO 2002056013	A3	20031106		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2432162	AA	20020718	CA 2001-2432162	20011214
	EP 1386153	A2	20040204	EP 2001-993282	20011214
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

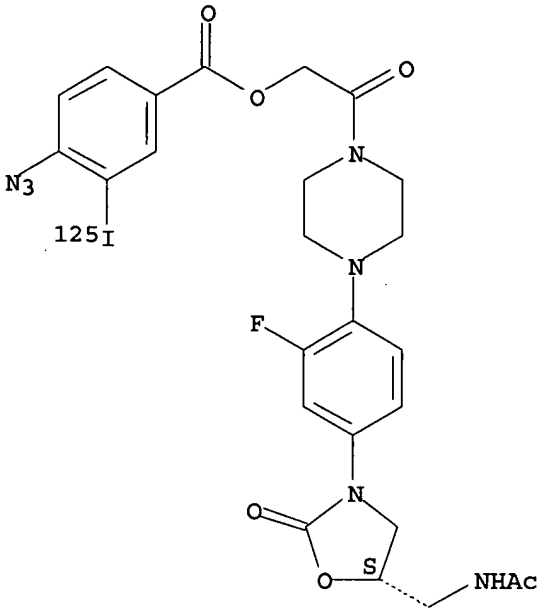
JP 2004537265 T2 20041216 JP 2002-556217 20011214
PRAI US 2000-256053P P 20001215
WO 2001-US48455 W 20011214
OS MARPAT 137:106476
AB Disclosed are novel methods of identifying biol. targets of compds. that have antimicrobial activity. Also disclosed are novel methods of identifying compds. that can have antimicrobial activity.
IC ICM G01N033-53
CC 10-5 (Microbial, Algal, and Fungal Biochemistry)
IT **437717-86-7P** 437717-87-8P **437717-88-9P** 437717-89-0P
437717-90-3P 437717-91-4P 437717-92-5P 437717-93-6P 437717-94-7P
437717-95-8P 437717-96-9P
RL: BSU (Biological study, unclassified); IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**
(oxazolidinone photoaffinity probes, uses and compds.)
IT **437717-97-0P** 437717-98-1P **437717-99-2P**
437718-00-8P 437718-01-9P 437718-02-0P 437718-03-1P
437718-04-2P 437718-05-3P 437718-07-5P 437718-08-6P 437718-11-1P
437718-12-2P 437718-14-4P 442844-61-3P 442844-62-4P 442844-63-5P
442844-64-6P 442844-65-7P 442844-66-8P 442844-67-9P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(oxazolidinone photoaffinity probes, uses and compds.)
IT **437717-86-7P 437717-88-9P**
RL: BSU (Biological study, unclassified); IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**
(oxazolidinone photoaffinity probes, uses and compds.)
RN 437717-86-7 HCAPLUS
CN Benzoic acid, 4-azido-2-hydroxy-5-(iodo-125I)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



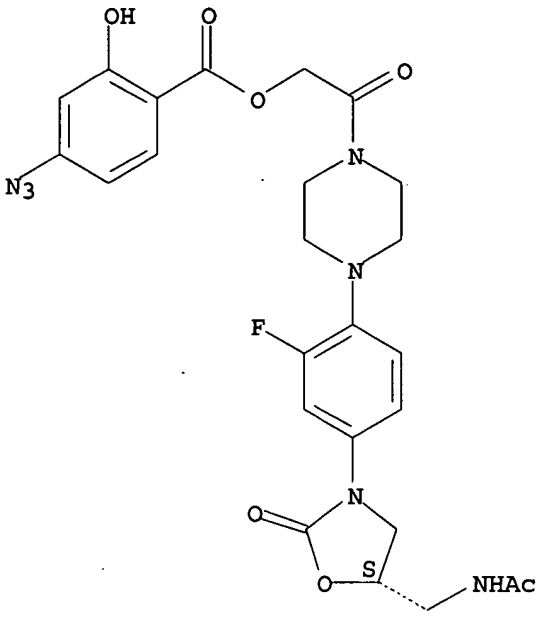
RN 437717-88-9 HCAPLUS
CN Benzoic acid, 4-azido-3-(iodo-125I)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



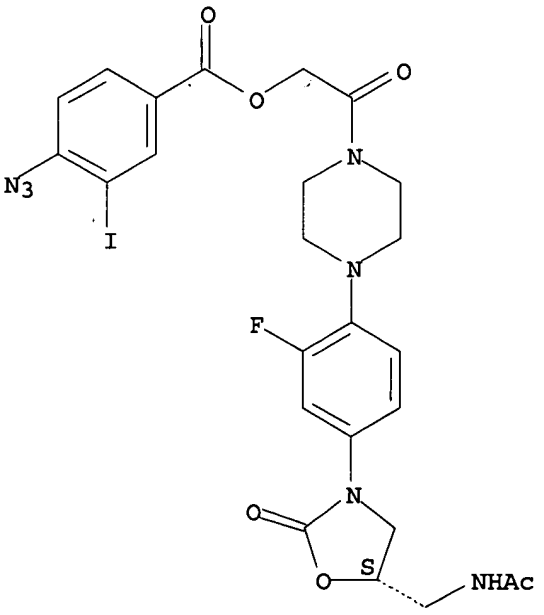
IT **437717-97-0P 437717-99-2P 437718-00-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(oxazolidinone photoaffinity probes, uses and compds.)
RN 437717-97-0 HCAPLUS
CN Benzoic acid, 4-azido-2-hydroxy-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



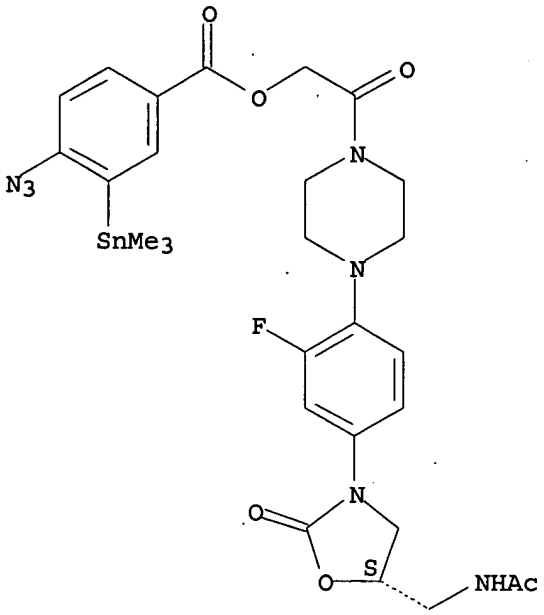
RN 437717-99-2 HCAPLUS
CN Benzoic acid, 4-azido-3-iodo-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 437718-00-8 HCAPLUS
CN Benzoic acid, 4-azido-3-(trimethylstannyl)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L37 ANSWER 14 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:465999 HCAPLUS
DN 137:33287
TI Preparation of oxazolidinone photoaffinity probes
IN Thomasco, Lisa Marie; Gadwood, Robert C.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002048139	A2	20020620	WO 2001-US48063	20011214
	WO 2002048139	A3	20031002		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003073696	A1	20030417	US 2000-738022	20001215
	US 6861433	B2	20050301		
	CA 2432739	AA	20020620	CA 2001-2432739	20011214
	AU 2002034016	A5	20020624	AU 2002-34016	20011214
	EP 1368326	A2	20031210	EP 2001-985023	20011214
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

	JP 2004520298	T2	20040708	JP 2002-549670	20011214
	US 2003232840	A1	20031218	US 2003-359766	20030206
	US 6858635	B2	20050222		
	US 2003232008	A1	20031218	US 2003-359767	20030206
	US 6875871	B2	20050405		
PRAI	US 2000-738022	A	20001215		
	WO 2001-US48063	W	20011214		

OS MARPAT 137:33287
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X, Y = F, H, CH3; R1 = H, F, I; R2 = H, F, OH; R16 = H, F; R17 = H, F; R3 = H, alkyl; L = bond, OCH2C(O); Q = e.g., II; R4 = H, CH3, CH2CH3, cyclopropyl; Z = O, S and related analogs] were prepared For instance, (S)-N-[[3-[3-fluoro-4-[4-(hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide was coupled to 4-azidosalicylic acid (DMF, EDCI, DMAP). This intermediate was reacted with chloramine-T/NaOH/125I2 to afford III. I are useful as photoaffinity probes.

IC ICM C07D413-00

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **437717-97-0P** 437717-98-1P **437717-99-2P**
437718-00-8P 437718-01-9P 437718-02-0P 437718-03-1P
437718-04-2P 437718-05-3P 437718-06-4P 437718-07-5P 437718-08-6P
437718-09-7P 437718-10-0P 437718-11-1P 437718-12-2P 437718-13-3P
437718-14-4P 437718-15-5P 437718-16-6P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(intermediate; preparation of oxazolidinone photoaffinity probes)

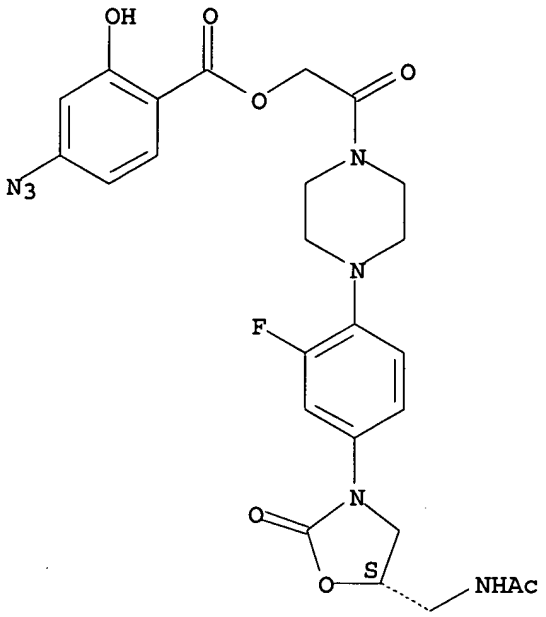
IT **437717-86-7P** 437717-87-8P **437717-88-9P** 437717-89-0P
437717-90-3P 437717-91-4P 437717-92-5P 437717-93-6P 437717-94-7P
437717-95-8P 437717-96-9P
RL: ANT (Analyte); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); **PREP (Preparation)**
(photoaffinity probe; preparation of oxazolidinone photoaffinity probes)

IT **437717-97-0P 437717-99-2P 437718-00-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(intermediate; preparation of oxazolidinone photoaffinity probes)

RN 437717-97-0 HCAPLUS

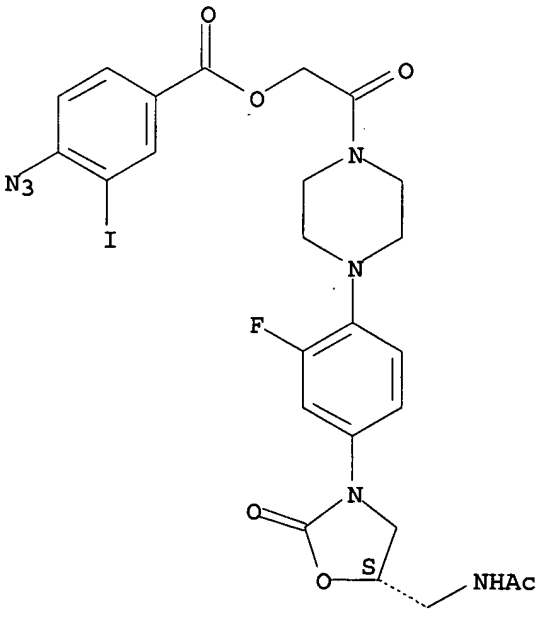
CN Benzoic acid, 4-azido-2-hydroxy-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



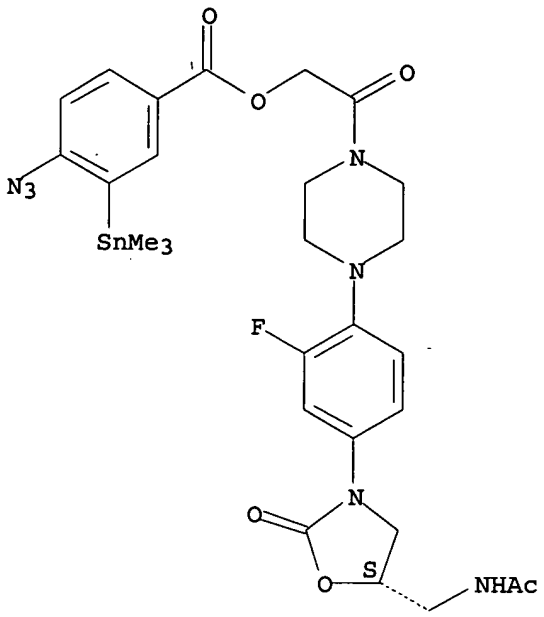
RN 437717-99-2 HCAPLUS
CN Benzoic acid, 4-azido-3-iodo-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



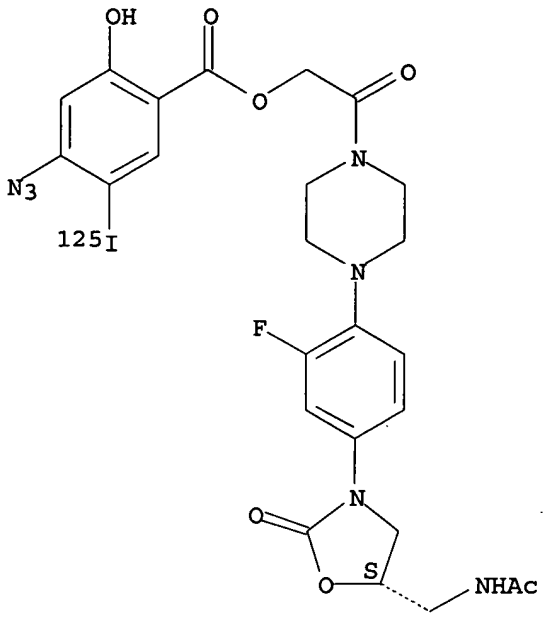
RN 437718-00-8 HCAPLUS
CN Benzoic acid, 4-azido-3-(trimethylstannyl)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



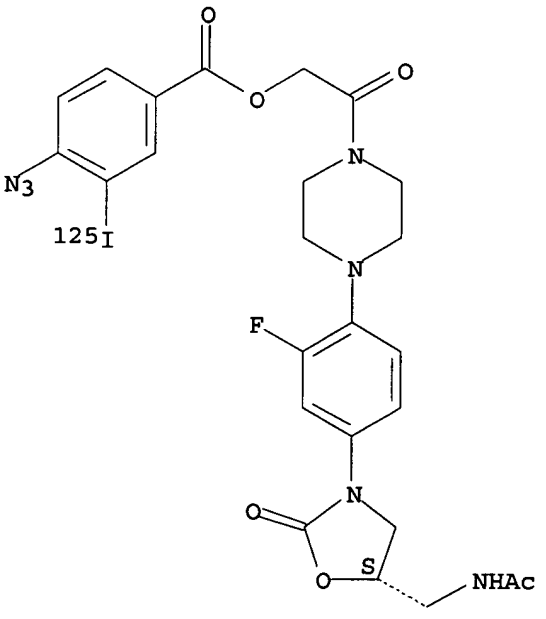
IT **437717-86-7P 437717-88-9P**
RL: ANT (Analyte); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); **PREP (Preparation)**
(photoaffinity probe; preparation of oxazolidinone photoaffinity probes)
RN 437717-86-7 HCAPLUS
CN Benzoic acid, 4-azido-2-hydroxy-5-(iodo-125I)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 437717-88-9 HCAPLUS
CN Benzoic acid, 4-azido-3-(iodo-125I)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L37 ANSWER 15 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:72093 HCAPLUS
DN 136:134748

TI Oxazolidinone derivatives as antimicrobials
IN Mehta, Anita; Arora, Sudershan K.; Das, Biswajit; Ray, Abhijit; Rudra, Sonali; Rattan, Ashok
PA Ranbaxy Laboratories Limited, India
SO PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002006278	A1	20020124	WO 2001-IB1262	20010716
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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	IN 193550	A	20040724	IN 2000-DE654	20000717
	CA 2415965	AA	20020124	CA 2001-2415965	20010716
	AU 2001069370	A5	20020130	AU 2001-69370	20010716
	EP 1303511	A1	20030423	EP 2001-947730	20010716
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	BR 2001012826	A	20030624	BR 2001-12826	20010716
	JP 2004504321	T2	20040212	JP 2002-512181	20010716
	NZ 523700	A	20041126	NZ 2001-523700	20010716
	WO 2003008389	A1	20030130	WO 2002-IB167	20020118
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	EP 1409464	A1	20040421	EP 2002-787165	20020118
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	WO 2003007870	A2	20030130	WO 2002-IB1609	20020510
	WO 2003007870	A3	20030530		
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	EP 1409465	A2	20040421	EP 2002-727869	20020510
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	ZA 2003000471	A	20031029	ZA 2003-471	20030117
	US 2004242591	A1	20041202	US 2004-483905	20040713

	US 2004254162	A1	20041216	US 2004-483904	20040713
PRAI	IN 2000-DE654	A	20000717		
	WO 2001-IB1262	W	20010716		
	WO 2002-IB167	W	20020118		
	WO 2002-IB1609	W	20020510		

OS MARPAT 136:134748

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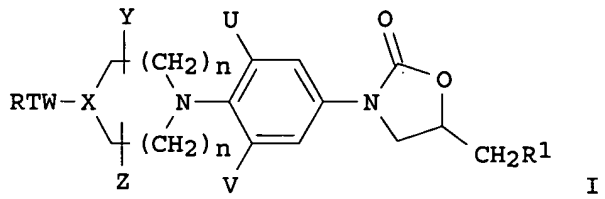

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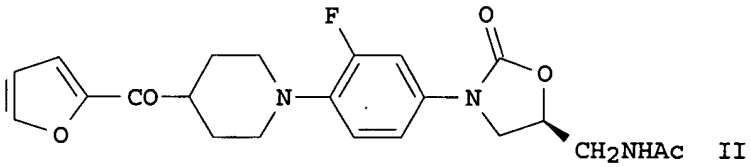


II

AB Oxazolidinones I [T = 5-7-membered heterocyclic ring, aryl; R = CN, acyl, (un)substituted CO2H, NH2, CONH2, alkyl, CH2CH:NOH, CH:CH2, NO2; X = CH, CHS, CHO, N; Y, Z = H, alkyl, cycloalkyl, C0-3 bridging group; U, V = (un)substituted alkyl, H, F, Cl, Br; W = CH2, CO, CH2NH, NHCH2, (un)substituted CH2NHCH2, S, CH2CO, NH; R1 = acylamino, (un)substituted NH2, NHCSR2, NHCS2R2; R2 = H, (un)substituted alkyl, cycloalkyl, alkoxy; n = 0-3] were prepared The compds. are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-pos. aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacterioides spp. and Clostridia spp. species, and acid fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp. Thus, the furoyl derivative II was prepared from the 4-unsubstituted piperdine fragment and furoyl chloride. II had min. inhibitory concns. against methicillin-resistant Staph. aureus 15187 and against Enteroccus fecalis 29212 of 2 μg/mL.

IC ICM C07D413-14
ICS C07D413-12; A61K031-42

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10

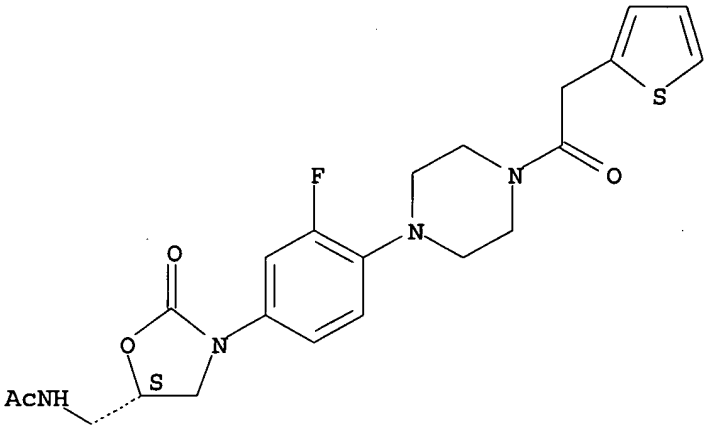
IT 392659-25-5P 392659-31-3P 392659-33-5P **392659-36-8P**
392659-41-5P 392659-43-7P 392659-44-8P 392659-47-1P 392659-48-2P
392659-49-3P 392659-51-7P 392659-52-8P 392659-53-9P 392659-58-4P
392659-59-5P 392659-60-8P 392659-64-2P 392659-65-3P 392659-66-4P
392659-68-6P 392659-69-7P 392659-70-0P 392659-71-1P 392659-75-5P
392659-76-6P 392659-77-7P **392659-79-9P** 392659-80-2P
392659-81-3P 392659-82-4P 392659-85-7P 392659-86-8P 392659-87-9P
392659-89-1P 392659-90-4P 392659-91-5P 392659-95-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(preparation of azacycloalkylphenyloxazolidinones as antimicrobials)

IT **392659-36-8P 392659-79-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of azacycloalkylphenyloxazolidinones as antimicrobials)

RN 392659-36-8 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(2-thienylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

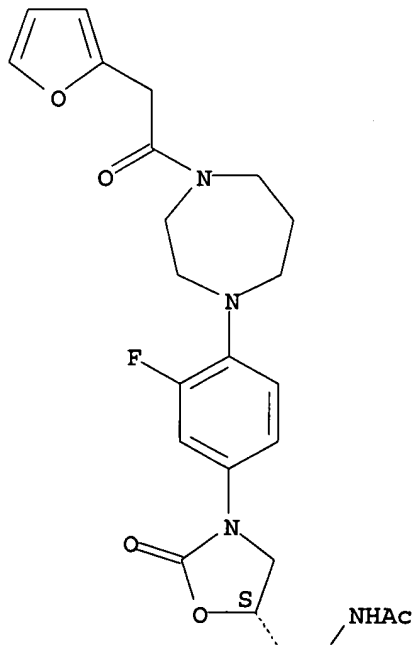
Absolute stereochemistry.



RN 392659-79-9 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(2-furanylacetyl)hexahydro-1H-1,4-diazepin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 16 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:31444 HCAPLUS
DN 136:102377
TI Novel isoxazolinone antibacterial agents
IN Springer, Dane M.; Goodrich, Jason T.; Meng, Zhaoxing; Snyder, Lawrence B.
PA Bristol-Myers Squibb Co., USA
SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002555	A1	20020110	WO 2001-US20850	20010629
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002040142	A1	20020404	US 2001-893845	20010628
	US 6465456	B2	20021015		
PRAI	US 2000-214977P	P	20000629		

OS MARPAT 136:102377
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel isoxazolinone derivs. of formula I [L = O or S; L1 = R4(CH2)mCR5(NR6R7)C(O)-, R8R9N(CH2)nC(O)-, C1-6alkylC(O)CH2C(O)-, R10XCH2C(O)-, R10CH=CHC(O)-, R10NHC(O)CH2-, R10(CH2)p-, and R10S(O)2-, (m = 0-4; n = 1-4; p = 2-6; X = a bond, S, O, NH, and N(C1-4alkyl); R4 = H, OH, C1-6thioalkoxy, imidazolyl, indolyl, -CO2H, and -NHC(=NH)NH2; R5 = H or C1-6alkyl (R4 and R5 taken together can be -CH2- when m = 1); R6,R7 = independently H or C1-6alkyl (R4 and R6 taken together can be -(CH2)q- when m = 1 and wherein q = 2 or 3); R8,R9 = independently H or C1-6alkyl (R8 and R9 taken together with the nitrogen to which they are attached = morpholin-4-yl, piperazin-1-yl, piperidin-1-yl, or -NHC(=NH)NH2; R10 = heteroaryl)); R1 = H, (un)substituted C1-8alkyl, C3-6cycloalkyl and C1-8alkoxy; R2, R3 = independently H, halo, OH, nitro, amino, cyano, C1-6alkyl, C1-6alkoxy, and trifluoromethyl] or a pharmaceutically acceptable salt, which possess antibacterial activity and are useful in

the treatment of bacterial diseases, were prepared Thus, amine II was reacted with Boc-L-tryptophan-Boc-OH in the presence of DCC to give III (R = Boc), which was deprotected with TFA to afford III (R = H) which was isolated as its dihydrochloride salt in combined 53% yield.

IC ICM C07D413-10
ICS C07D413-14; A61K031-404; A61K031-4178; A61K031-4192; A61K031-42

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 34, 63

IT 388086-50-8P **388086-52-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of novel isoxazolinone antibacterial agents)

IT 388086-35-9P 388086-38-2P 388086-40-6P 388086-45-1P 388086-46-2P
388086-53-1P 388086-54-2P 388086-55-3P 388086-56-4P 388086-57-5P
388086-58-6P 388086-59-7P 388086-60-0P 388086-61-1P 388086-62-2P
388086-63-3P 388086-64-4P **388086-65-5P** 388086-66-6P
388086-67-7P 388086-68-8P 388086-69-9P 388086-70-2P 388086-71-3P
388086-72-4P 388086-73-5P 388086-74-6P 388086-75-7P 388086-76-8P
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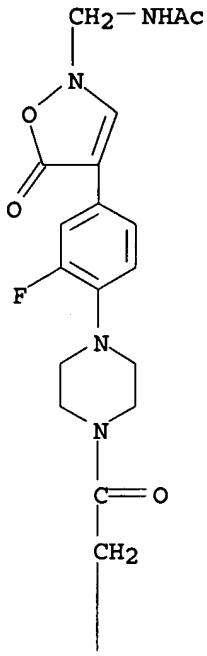
388086-82-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of novel isoxazolinone antibacterial agents)

IT **388086-52-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of novel isoxazolinone antibacterial agents)

RN 388086-52-0 HCAPLUS
CN Acetamide, N-[[4-[3-fluoro-4-[4-(4-morpholinylacetyl)-1-piperazinyl]phenyl]-5-oxo-2(5H)-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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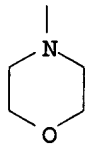
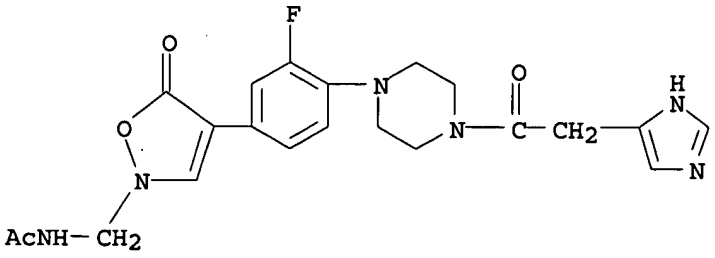


IT **388086-65-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of novel isoxazolinone antibacterial agents)
RN 388086-65-5 HCAPLUS
CN Acetamide, N-[[4-[3-fluoro-4-[4-(1H-imidazol-4-ylacetyl)-1-piperazinyl]phenyl]-5-oxo-2(5H)-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)

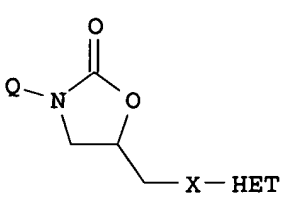


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 17 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:798227 HCAPLUS
DN 135:344473
TI Oxazolidinone derivatives with antibacterial activity
IN Gravestock, Michael Barry; Betts, Michael John; Griffin, David Alan; Matthews, Ian Richard
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001081350	A1	20011101	WO 2001-GB1815	20010423
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2405349	AA	20011101	CA 2001-2405349	20010423
	BR 2001010240	A	20030107	BR 2001-10240	20010423
	EP 1286998	A1	20030305	EP 2001-921669	20010423
	EP 1286998	B1	20040609		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003531211	T2	20031021	JP 2001-578439	20010423
	EE 200200598	A	20040415	EE 2002-598	20010423
	NZ 521765	A	20040528	NZ 2001-521765	20010423
	AT 268778	E	20040615	AT 2001-921669	20010423
	PT 1286998	T	20040930	PT 2001-921669	20010423
	ES 2220759	T3	20041216	ES 2001-1921669	20010423
	AU 781784	B2	20050616	AU 2001-48636	20010423
	ZA 2002008187	A	20040211	ZA 2002-8187	20021010
	NO 2002005091	A	20021209	NO 2002-5091	20021023
	US 2003216373	A1	20031120	US 2003-258355	20030506
	HK 1053114	A1	20050218	HK 2003-105394	20030725
PRAI	GB 2000-9803	A	20000425		
	WO 2001-GB1815	W	20010423		

OS MARPAT 135:344473
GI



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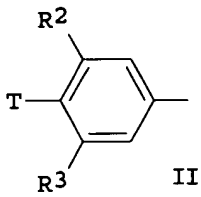

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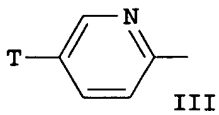

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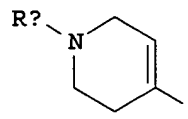

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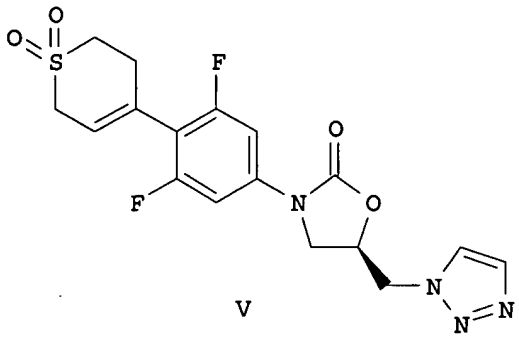

V

AB The title compds. [I; X = O, NH, S, etc.; HET = (un)substituted C-linked 5-membered heteroaryl ring containing 2-4 heteroatoms selected from N, O and S, etc.; Q = II, III, etc. (wherein R2, R3 = H, F; T = an N-linked (fully unsatd.) 5-membered heteroaryl ring system or IV; Rc = R13CO, R13SO2, R13CS, etc.; R13 = alkyl, etc.)], useful as antibacterial agents, were prepared and formulated. E.g., a multi-step synthesis of the oxazoline (R)-V which showed MIC of 0.125 μg/mL against Staphylococcus aureus (Oxford), was given.

IC ICM C07D491-10
ICS C07D413-14; A61K031-42; A61P031-04; C07D491-10; C07D317-00; C07D221-00

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 371194-21-7P 371194-22-8P 371194-24-0P 371194-25-1P 371194-27-3P
371194-28-4P **371194-29-5P** 371194-30-8P 371194-31-9P
371194-32-0P 371194-33-1P 371194-36-4P 371194-38-6P 371194-40-0P
371194-41-1P 371194-43-3P 371194-44-4P 371194-45-5P 371194-46-6P
371194-47-7P 371194-49-9P 371194-50-2P 371194-51-3P 371194-52-4P
371194-53-5P 371194-54-6P 371194-55-7P 371194-56-8P 371194-58-0P
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371194-65-9P 371194-66-0P 371194-68-2P 371194-70-6P 371194-72-8P
371194-74-0P 371194-76-2P 371194-77-3P 371194-78-4P 371194-81-9P
371194-83-1P 371194-84-2P 371194-85-3P 371194-86-4P 371194-87-5P
371194-91-1P 371194-92-2P 371194-93-3P 371194-94-4P 371194-95-5P
371194-96-6P 371194-97-7P 371194-98-8P 371194-99-9P 371195-00-5P
371195-02-7P 371195-03-8P 371195-04-9P 371195-05-0P 371195-07-2P
371195-09-4P 371195-10-7P 371195-11-8P 371195-12-9P 371195-13-0P
371195-14-1P 371195-16-3P **371195-17-4P** 371195-18-5P
371195-19-6P 371195-20-9P 371195-21-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(oxazolidinone derivs. with antibacterial activity)

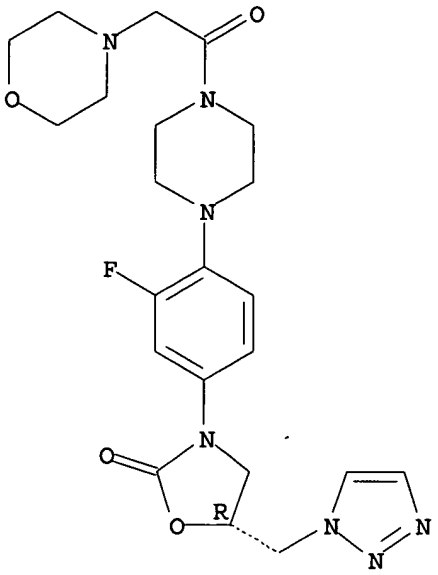
IT **371194-29-5P 371195-17-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(oxazolidinone derivs. with antibacterial activity)

RN 371194-29-5 HCAPLUS

CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

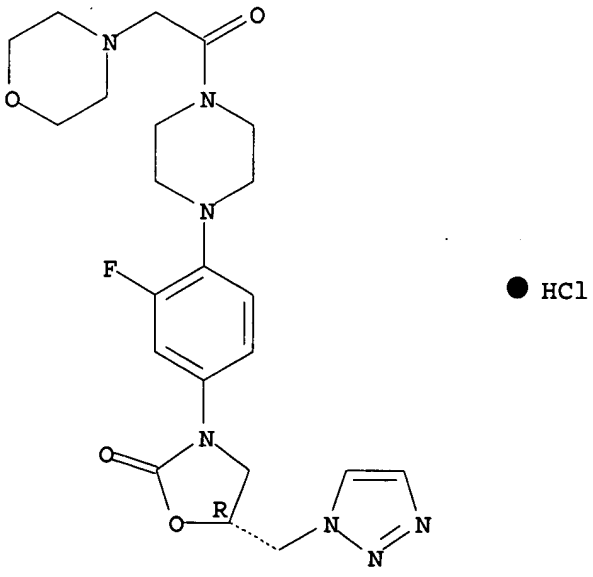
Absolute stereochemistry.



RN 371195-17-4 HCAPLUS

CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-(4-morpholinylacetyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 18 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:597972 HCAPLUS
DN 135:180754
TI Preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections
IN Hester, Jackson B., Jr.
PA Pharmacia & Upjohn Co., USA
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001058885	A1	20010816	WO 2001-US682	20010207
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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	CA 2395648	AA	20010816	CA 2001-2395648	20010207
	AU 2001034428	A5	20010820	AU 2001-34428	20010207
	BR 2001007645	A	20021008	BR 2001-7645	20010207
	EP 1263742	A1	20021211	EP 2001-906529	20010207
	EP 1263742	B1	20050824		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003522763	T2	20030729	JP 2001-558436	20010207
	NZ 520696	A	20040326	NZ 2001-520696	20010207
	AT 302762	E	20050915	AT 2001-906529	20010207
	ES 2248284	T3	20060316	ES 2001-1906529	20010207
PRAI	US 2000-181640P	P	20000210		
	WO 2001-US682	W	20010207		

OS MARPAT 135:180754
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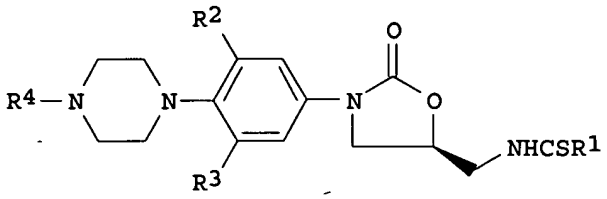

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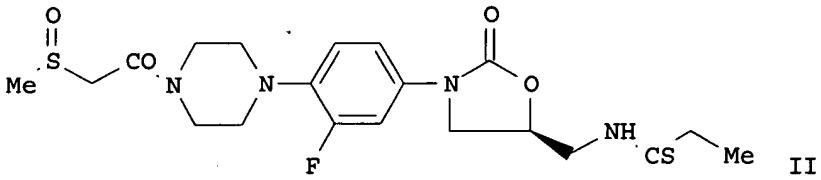

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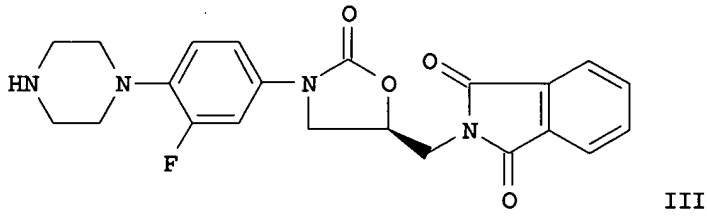


III

AB Oxazolidinone thioamides, such as I [R1 = H, NH2, alkylamino, alkenyl, alkyloxy, alkylthio, cycloalkyl, alkyl; R2, R3 = H, F, Cl, alkyl; R4 = CN, acyl, thioacyl, alkyloxyacyl, sulfonylmethylacyl, etc.] which have potent activities against gram-pos. and gram-neg. bacteria, were prepared for therapeutic use in the treatment of bacterial infections particularly of the skin and eye. Thus, PNU 255889 (II) was prepared via a multistep synthetic sequence which included N-acylation of III with MeSCH2CO2H, S-oxidation with sodium periodate, conversion of the phthalimido group to NH2 and N-thioacylation with MeCH2CS2Me. The prepared oxazolidinone thioamides were evaluated for min. inhibitory concns. of antibacterial activity against bacterial strains such as Staphylococcus aureus, S. epidermidis, Streptococcus pneumoniae, Enterococcus faecalis Moraxella catarrhalis and H. influenzae. Pharmaceutical formulations for oral, topical, transdermal, and parenteral delivery were discussed.

IC ICM C07D263-22
ICS A61K031-42; A61P031-00

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10, 63

IT 345224-19-3P 354578-45-3P 354578-46-4P 354578-47-5P 354578-48-6P
354578-49-7P 354578-50-0P 354578-51-1P 354578-52-2P 354578-53-3P
354578-54-4P 354578-55-5P 354578-56-6P 354578-61-3P 354578-62-4P
354578-65-7P 354578-66-8P **354578-67-9P** 354578-68-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

IT 354578-63-5P **354578-64-6P** 354819-74-2P 354819-77-5P
354819-82-2P 354819-83-3P 354819-85-5P 354819-86-6P 354819-87-7P
354819-94-6P 354819-96-8P 354820-02-3P 354820-03-4P 354820-05-6P
354820-07-8P **354987-17-0P** 354987-18-1P 354987-21-6P
354987-23-8P 354987-24-9P 354987-25-0P 354987-26-1P 354987-30-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

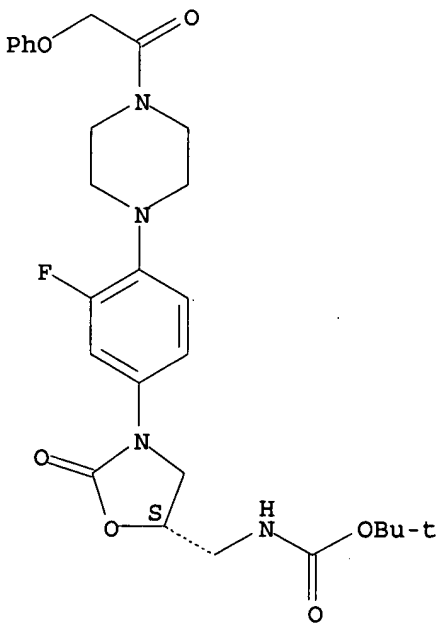
IT 10303-88-5P 27912-85-2P 93652-31-4P **345224-18-2P**
354578-57-7P 354578-58-8P 354578-59-9P 354578-60-2P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

IT **354578-67-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

RN 354578-67-9 HCAPLUS

CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-(phenoxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

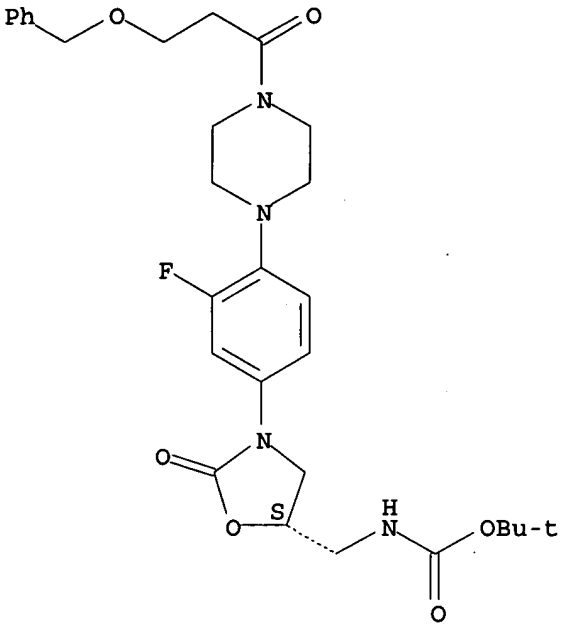


IT **354578-64-6P 354987-17-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

RN 354578-64-6 HCAPLUS

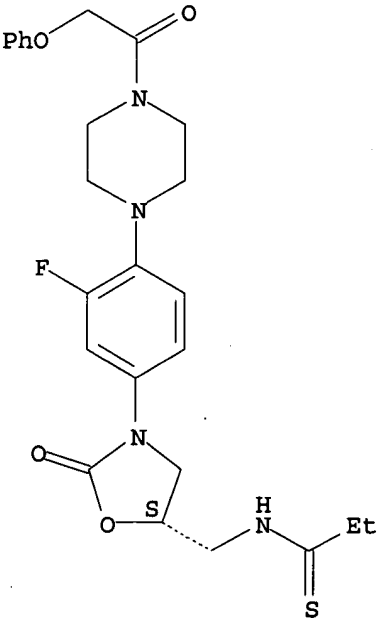
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[1-oxo-3-(phenylmethoxy)propyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 354987-17-0 HCAPLUS
CN Propanethioamide, N-[[(5S)-3-[3-fluoro-4-[4-(phenoxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

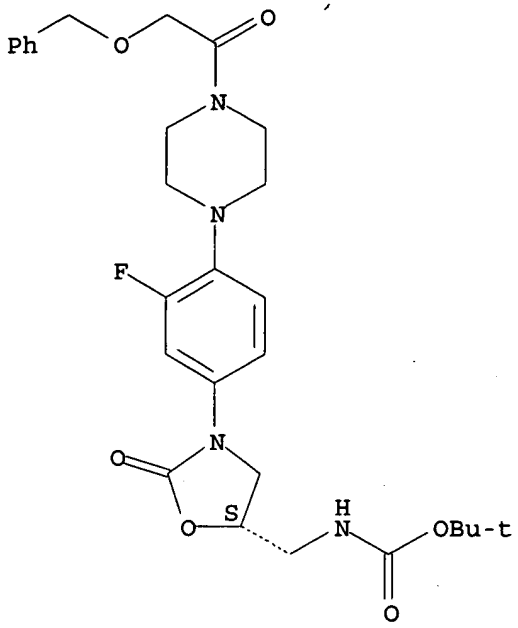
Absolute stereochemistry.



IT **345224-18-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

RN 345224-18-2 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 19 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:482178 HCAPLUS
DN 135:76881
TI Preparation of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides
IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-Jo
PA Pharmacia & Upjohn Company, USA
SO U.S., 93 pp., Cont.-in-part of U.S. 6,218,413.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6255304	B1	20010703	US 1998-200904	19981127
	US 6218413	B1	20010417	US 1998-80751	19980518
	US 6362189	B1	20020326	US 2000-712055	20001114
	US 6342513	B1	20020129	US 2000-713739	20001115
	US 2001041728	A1	20011115	US 2001-822072	20010330
	US 6537986	B2	20030325		
	US 2002016323	A1	20020207	US 2001-822666	20010330
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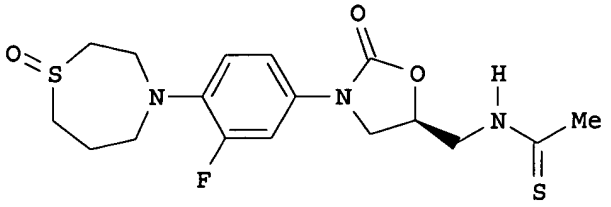
	US 1998-200904	A3	19981127		

OS MARPAT 135:76881

GI



II

AB RZZ1CH2NHCSR1 [I; R = e.g., N-attached-(oxo)thiaazacycloalkyl; R1 = H, (alkyl)amino, alkyl, alkoxy, etc.; Z = e.g., fluorophenylene; Z1 = e.g., 2-oxooxazolidine-3,5-diyl] were prepared Thus, 1,4-hexahydrothiazepine was N-arylated by 3,4-F2C6H3NO2 and the reduced and N-protected product cyclocondensed with (R)-glycidyl butyrate to give, in 4 addnl. steps, title compound II. Data for biol. activity of I were given.

IC ICM A61K031-54
ICS A61K031-535; C07D417-00; C07D413-00

INCL 514227800

CC 28-14 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 5415-95-2P 101184-85-4P, 1,4-Hexahydrothiazepine 168828-65-7P
168828-67-9P 168828-90-8P 198410-25-2P 216869-05-5P 216869-07-7P
216869-09-9P 216869-10-2P 216869-11-3P 216869-12-4P 216869-13-5P
216869-14-6P 216869-15-7P 216869-16-8P 216869-18-0P 216869-19-1P
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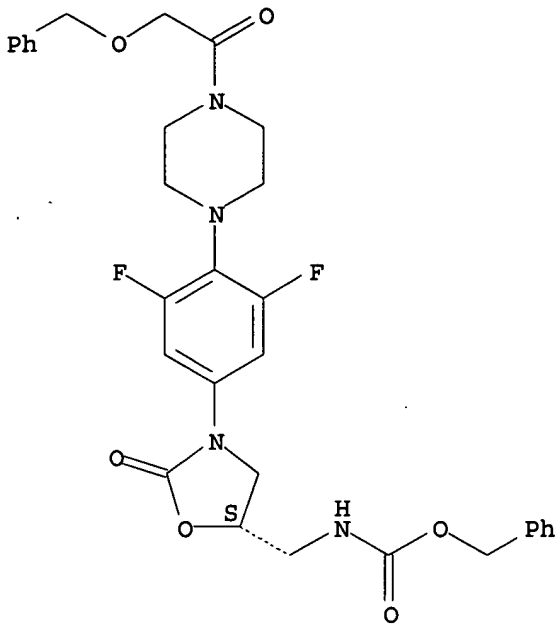
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides)

IT **216869-45-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides)

RN 216869-45-3 HCAPLUS

CN Carbamic acid, [[(5S)-3-[3,5-difluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 20 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:453039 HCAPLUS
DN 135:46171
TI Preparation of N-[[[(benzoyloxyacetyl)piperazino]phenyl]oxazolidinylmethyl]alkanthioamides and analogs as bactericides
IN Hester, Jackson B., Jr.
PA Pharmacia & Upjohn Co., USA
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001044212	A1	20010621	WO 2000-US32432	20001206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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CA 2387047	AA	20010621	CA 2000-2387047	20001206
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US 6281210	B1	20010828	US 2000-732088	20001206
BR 2000015177	A	20020618	BR 2000-15177	20001206
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

	JP 2003516977	T2	20030520	JP 2001-544702	20001206
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PRAI	US 1999-170675P	P	19991214		
	WO 2000-US32432	W	20001206		

OS MARPAT 135:46171

AB R4Z4CO2CH2COZ1Z2Z3CH2R [I; R = NHC(:X)R1 or ZR9; R1 = H, (alkyl)amino, alkyl, alkoxy, etc.; R4 = NR5COCHR6NR7R8 or CHR5NR7R8; R5 = H or Me; R6 = H or (un)substituted alkyl; R7,R8 = H or alkyl; NR7R8 = heterocyclyl; R9 = heterocyclyl; Z = O, S, NH; Z1 = piperazine-1,4-diyl throughout; Z2 = 2,6-(un)substituted-1,4-phenylene; Z3 = e.g., 2-oxo-3,5-oxazolidinediyl; Z4 = 1,3- or 1,4-phenylene] were prepared for use against gram neg. bacteria. Thus, (S)-R10Z1Z2Z3CH2NHR11 (II; Z2 = 2-fluoro-1,4-phenylene, Z3 = 2-oxo-3,5-oxazolidinediyl)(III; R10 = H, R11 = Boc) was amidated by PhCH2OCH2COCl and the debenzylated product esterified by 4-(ClH2C)C6H4COCl to give, after amination and deprotection, III [R10 = 4-(Me2NH2C)C6H4CO2CH2CO](IV; R11 = H). The latter was amidated by EtCS2Me to give IV (R11 = CSEt). Data for biol. activity of I were given.

IC ICM C07D263-20
ICS A61K031-495; A61P031-04

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **345224-04-6P 345224-05-7P 345224-06-8P**
345224-07-9P 345224-08-0P 345224-09-1P
345224-10-4P 345224-12-6P 345224-13-7P
345224-14-8P 345224-15-9P 345224-16-0P
345224-17-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of N-[[[(benzoyloxyacetyl)piperazino]phenyl]oxazolidinylmethyl] alkanthioamides and analogs as bactericides)

IT **345224-18-2P** 345224-19-3P **345224-20-6P**
345224-21-7P 345224-22-8P **345224-23-9P**
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345224-35-3P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of N-[[[(benzoyloxyacetyl)piperazino]phenyl]oxazolidinylmethyl] alkanthioamides and analogs as bactericides)

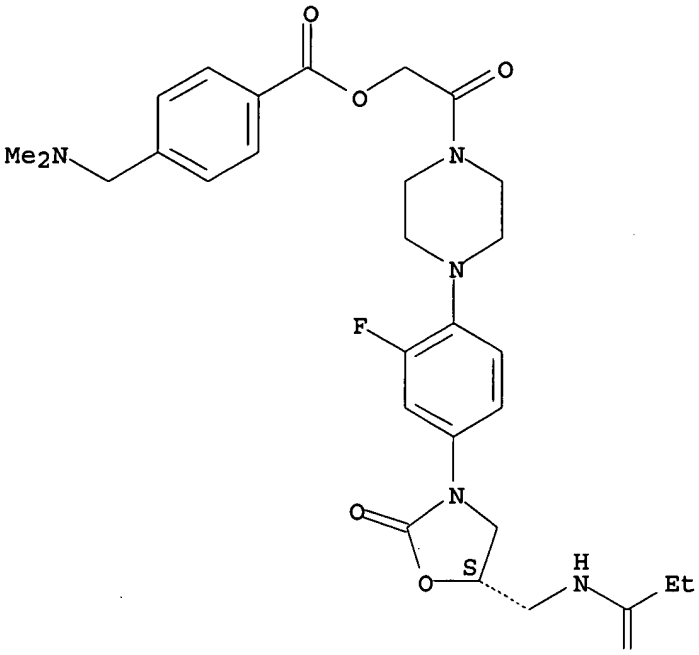
IT **345224-04-6P 345224-05-7P 345224-06-8P**
345224-07-9P 345224-08-0P 345224-09-1P
345224-10-4P 345224-12-6P 345224-13-7P
345224-14-8P 345224-15-9P 345224-16-0P
345224-17-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of N-[[[(benzoyloxyacetyl)piperazino]phenyl]oxazolidinylmethyl] alkanthioamides and analogs as bactericides)

RN 345224-04-6 HCAPLUS

CN Benzoic acid, 4-[(dimethylamino)methyl]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

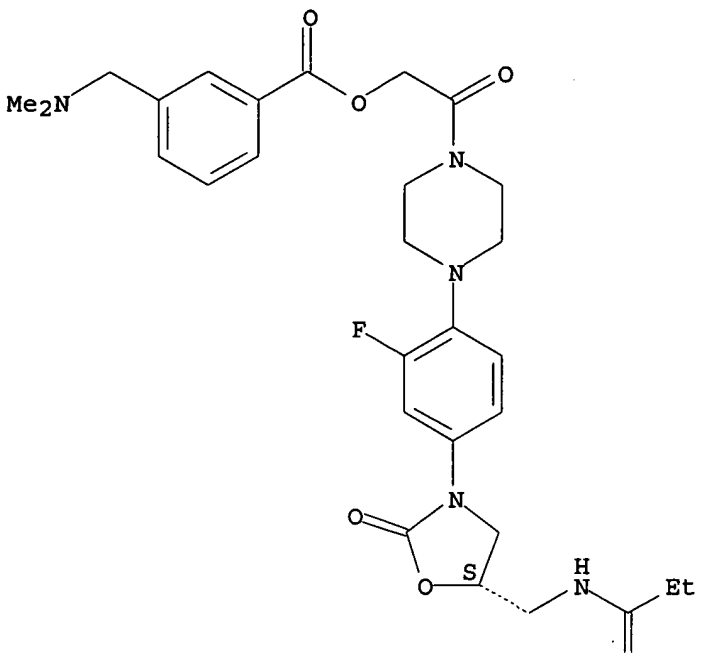


PAGE 2-A

RN 345224-05-7 HCAPLUS
CN Benzoic acid, 3-[(dimethylamino)methyl]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

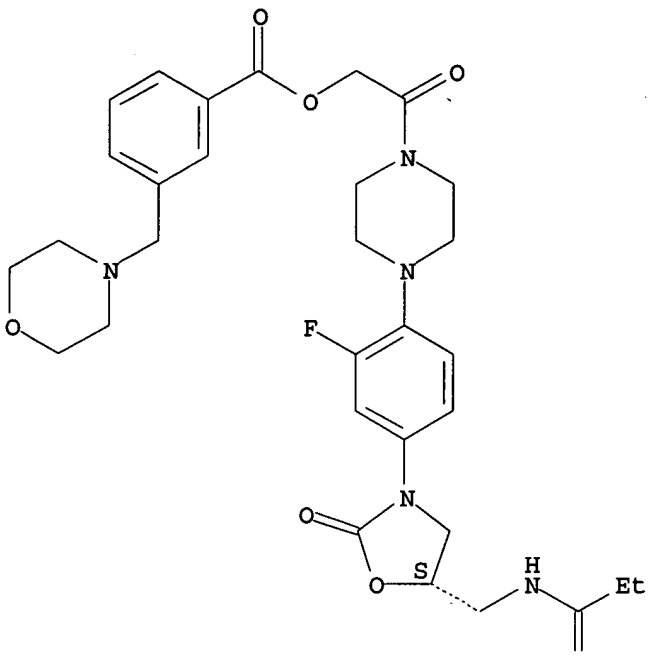


PAGE 2-A

RN 345224-06-8 HCAPLUS
CN Benzoic acid, 3-(4-morpholinylmethyl)-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

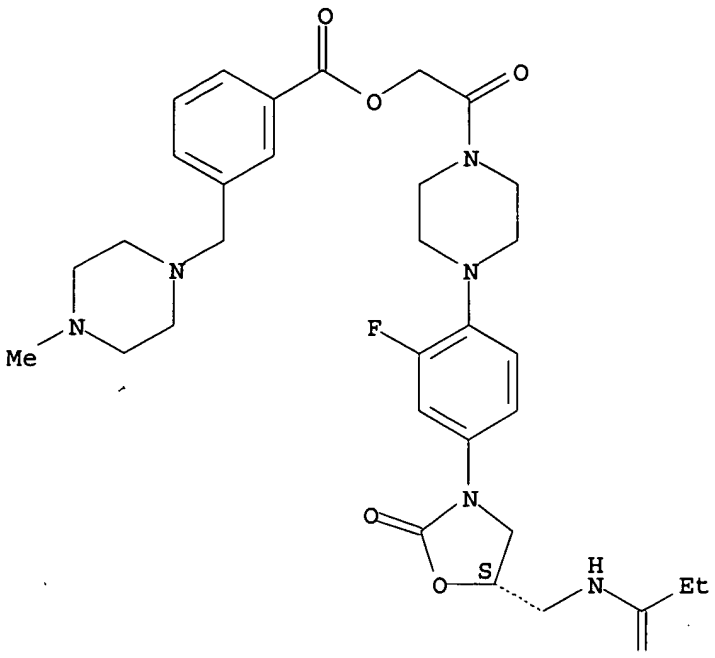


PAGE 2-A

RN 345224-07-9 HCAPLUS
CN Benzoic acid, 3-[(4-methyl-1-piperazinyl)methyl]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

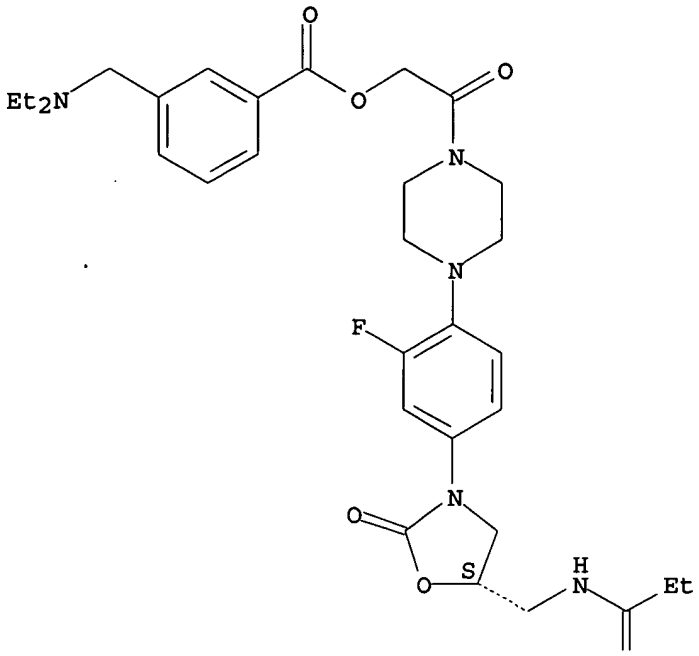


PAGE 2-A

RN 345224-08-0 HCAPLUS
CN Benzoic acid, 3-[(diethylamino)methyl]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

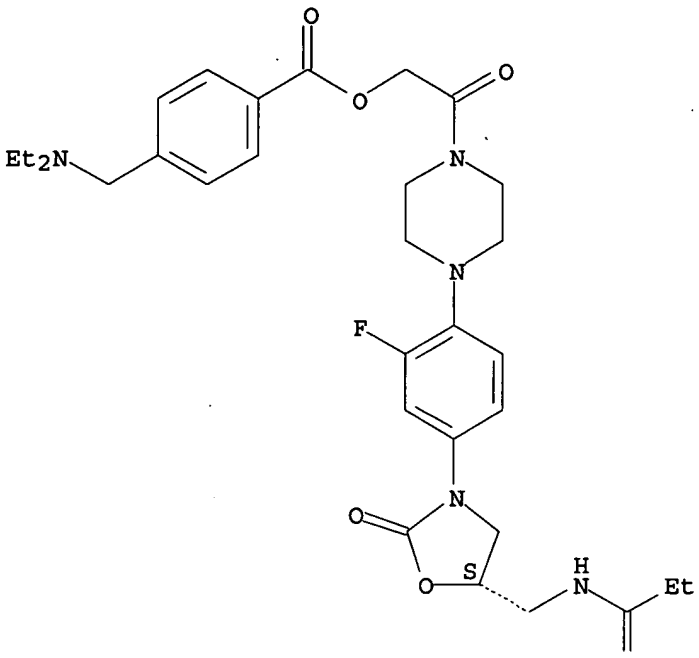


PAGE 2-A

RN 345224-09-1 HCAPLUS
CN Benzoic acid, 4-[(diethylamino)methyl]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

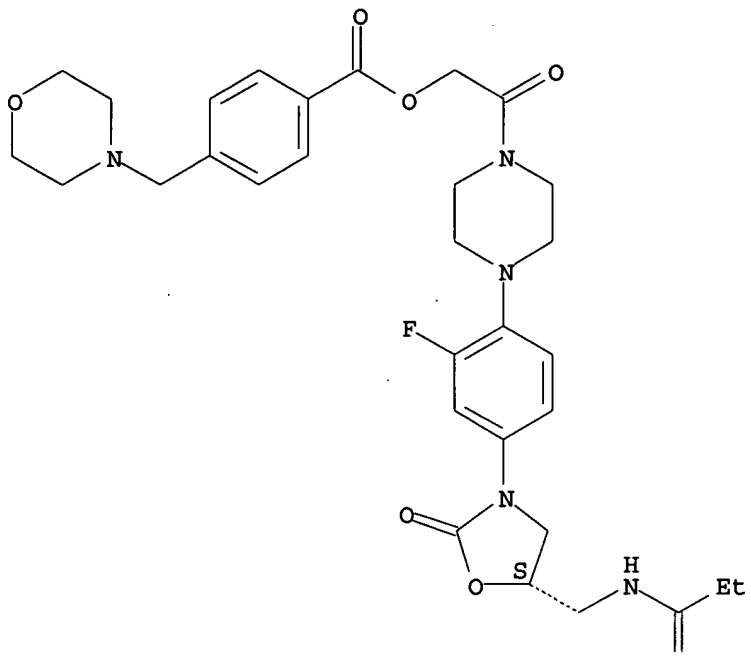


PAGE 2-A

RN 345224-10-4 HCAPLUS
CN Benzoic acid, 4-(4-morpholinylmethyl)-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

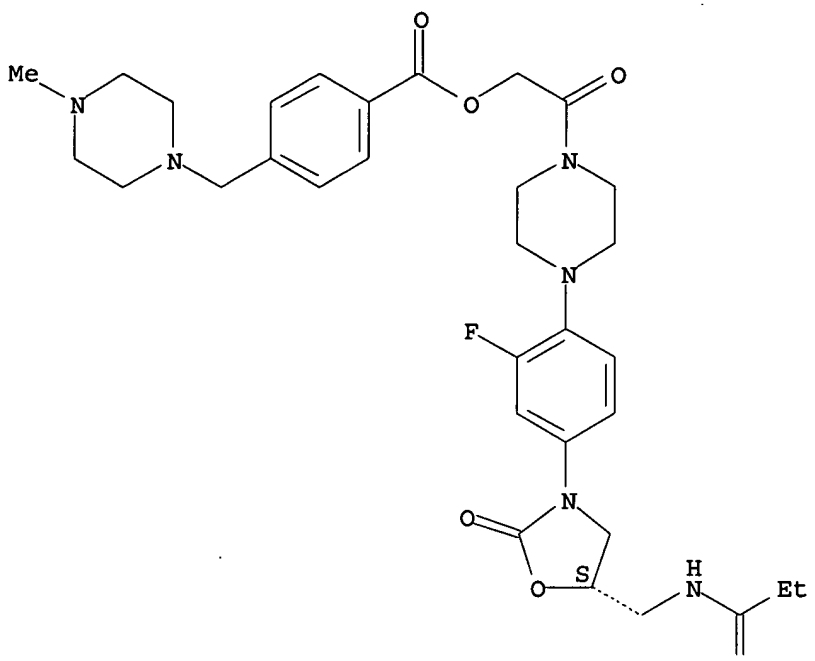


PAGE 2-A

RN 345224-12-6 HCAPLUS
CN Benzoic acid, 4-[(4-methyl-1-piperazinyl)methyl]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

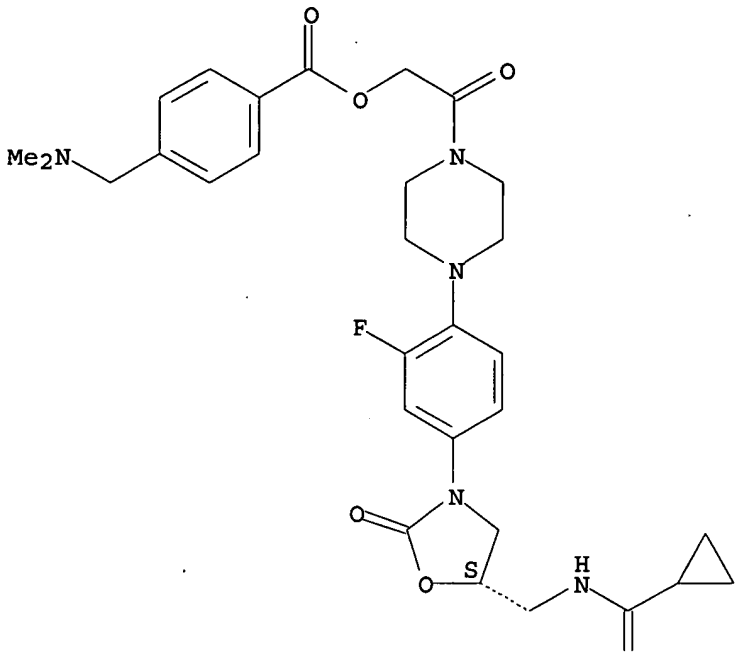


PAGE 2-A

RN 345224-13-7 HCAPLUS
CN Benzoic acid, 4-[(dimethylamino)methyl]-, 2-[4-[4-[(5S)-5-[[(cyclopropylthioxomethyl)amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

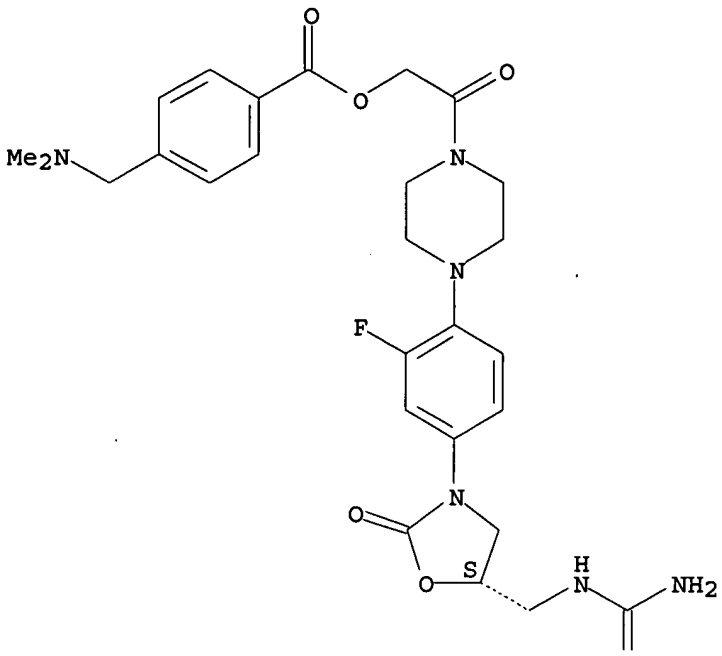


PAGE 2-A

RN 345224-14-8 HCAPLUS
CN Benzoic acid, 4-[(dimethylamino)methyl]-, 2-[4-[4-[(5S)-5-[[(aminothioxomethyl)amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

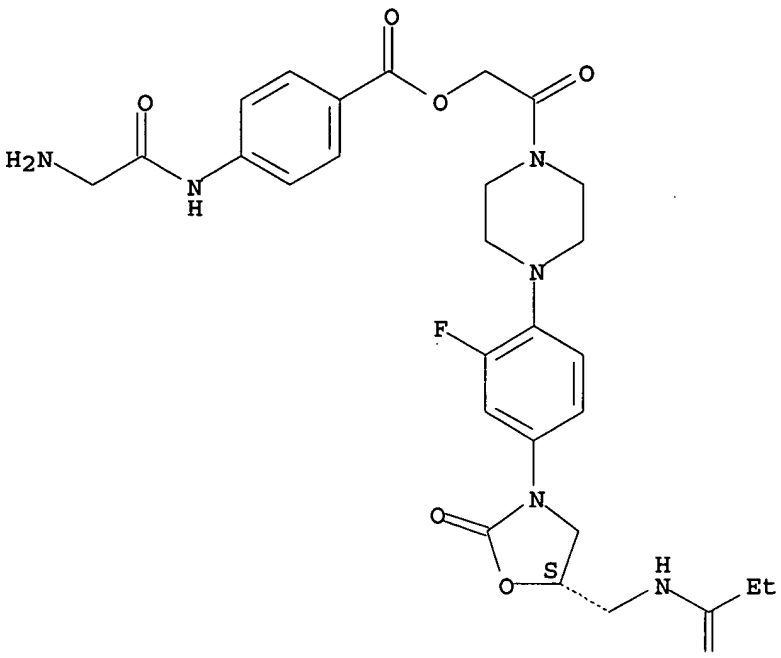


PAGE 2-A

RN 345224-15-9 HCAPLUS
CN Benzoic acid, 4-[(aminoacetyl)amino]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

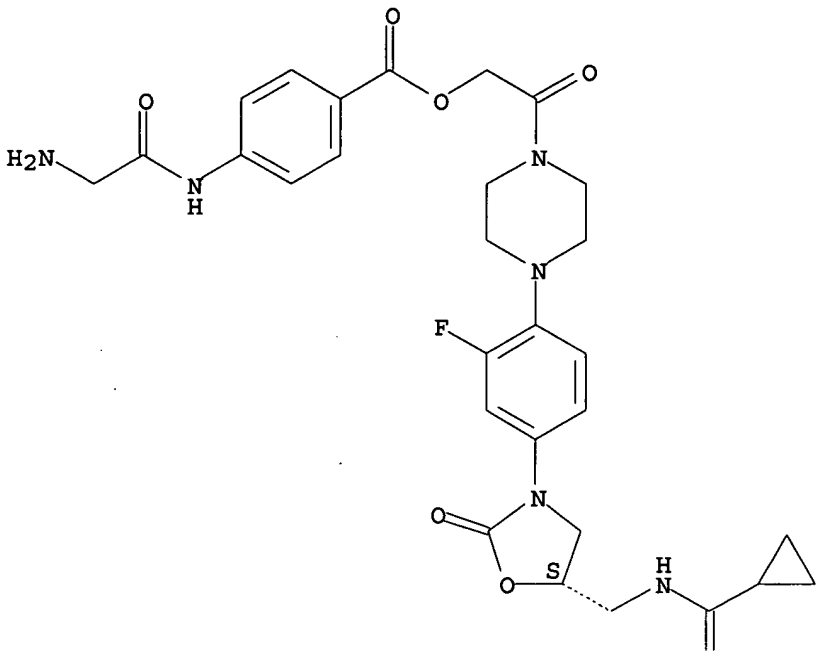


PAGE 2-A

RN 345224-16-0 HCAPLUS
CN Benzoic acid, 4-[(aminoacetyl)amino]-, 2-[4-[4-[(5S)-5-[[(cyclopropylthioxomethyl)amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

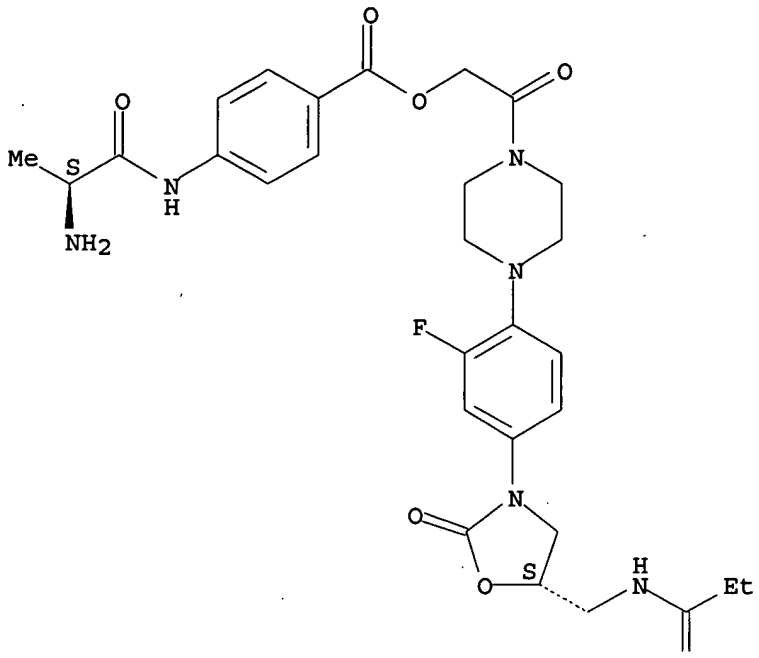


PAGE 2-A

RN 345224-17-1 HCAPLUS
CN Benzoic acid, 4-[[(2S)-2-amino-1-oxopropyl]amino]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



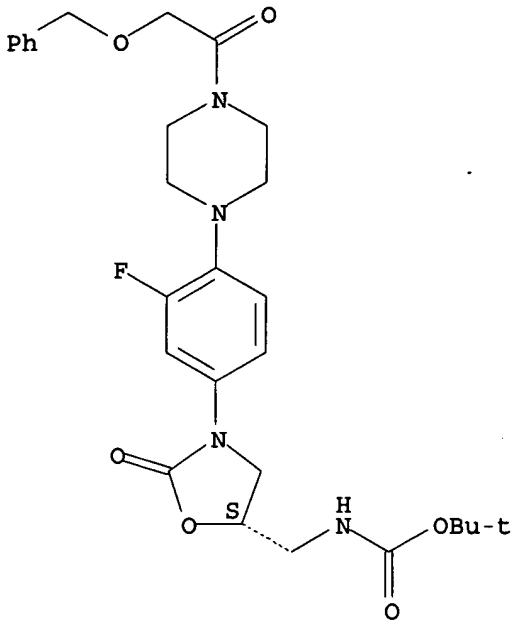
PAGE 2-A

IT **345224-18-2P 345224-20-6P 345224-21-7P**
345224-23-9P 345224-24-0P 345224-28-4P
345224-29-5P 345224-30-8P 345224-32-0P
345224-33-1P 345224-35-3P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of N-[[[(benzoyloxyacetyl)piperazino]phenyl]oxazolidinylmethyl] alkanthioamides and analogs as bactericides)

RN 345224-18-2 HCAPLUS

CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

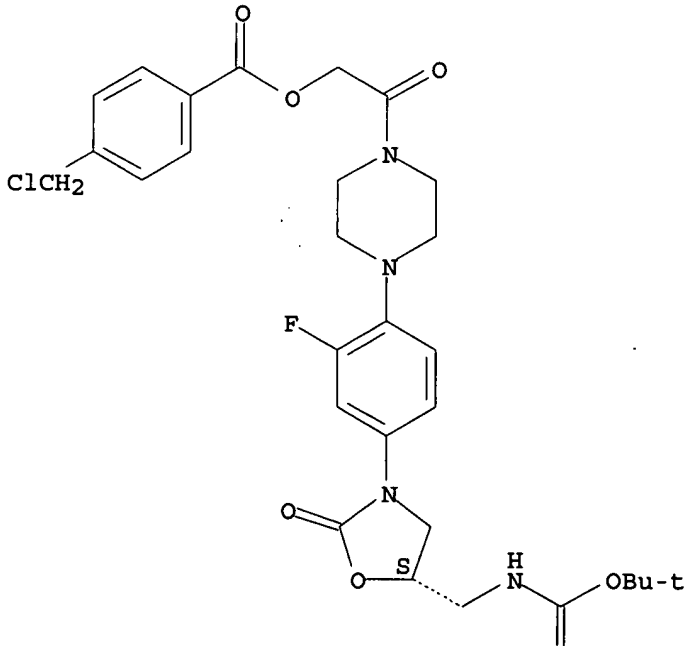
Absolute stereochemistry.



RN 345224-20-6 HCAPLUS
CN Benzoic acid, 4-(chloromethyl)-, 2-[4-[4-[(5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

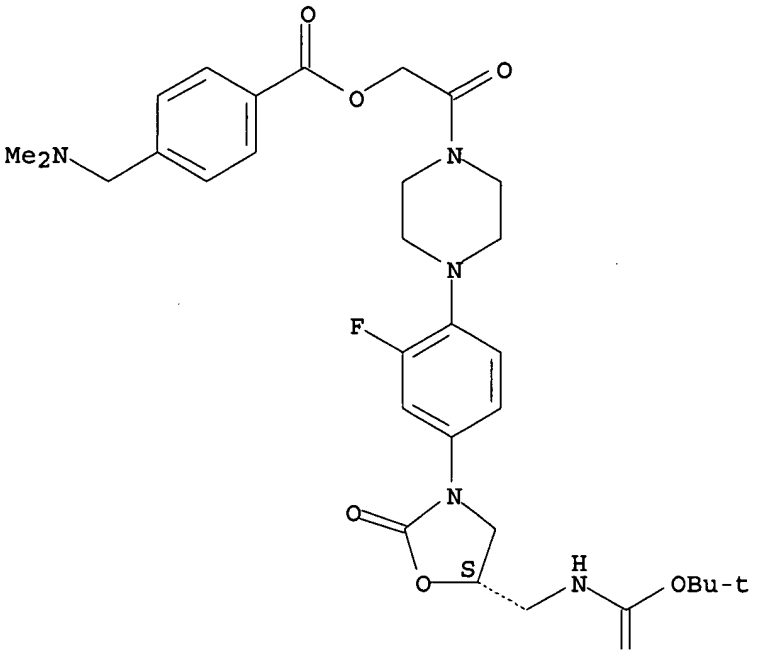


PAGE 2-A

RN 345224-21-7 HCAPLUS
CN Benzoic acid, 4-[(dimethylamino)methyl]-, 2-[4-[4-[(5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

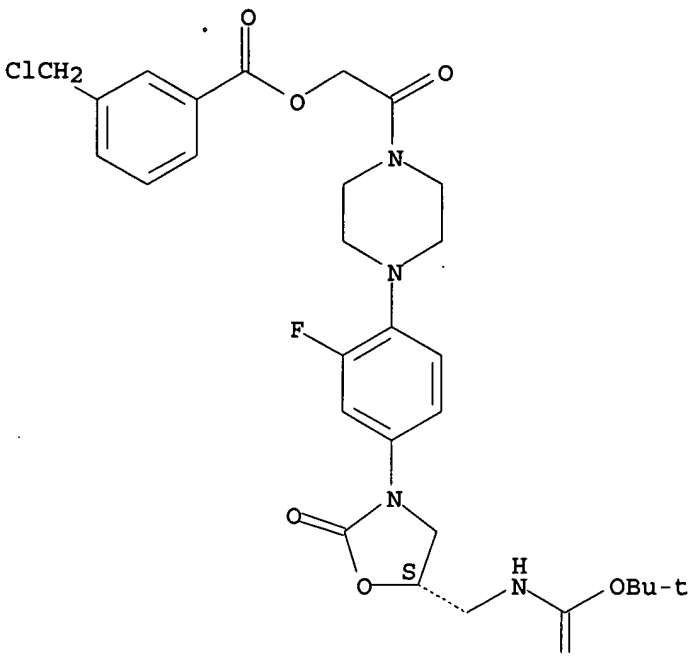


PAGE 2-A

RN 345224-23-9 HCAPLUS
CN Benzoic acid, 3-(chloromethyl)-, 2-[4-[4-[(5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

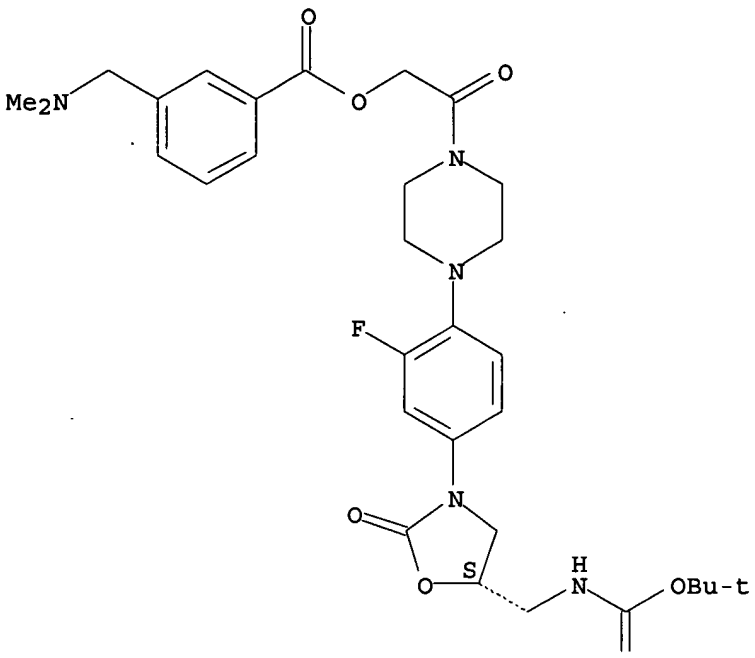


PAGE 2-A

RN 345224-24-0 HCAPLUS
CN Benzoic acid, 3-[(dimethylamino)methyl]-, 2-[4-[4-[(5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

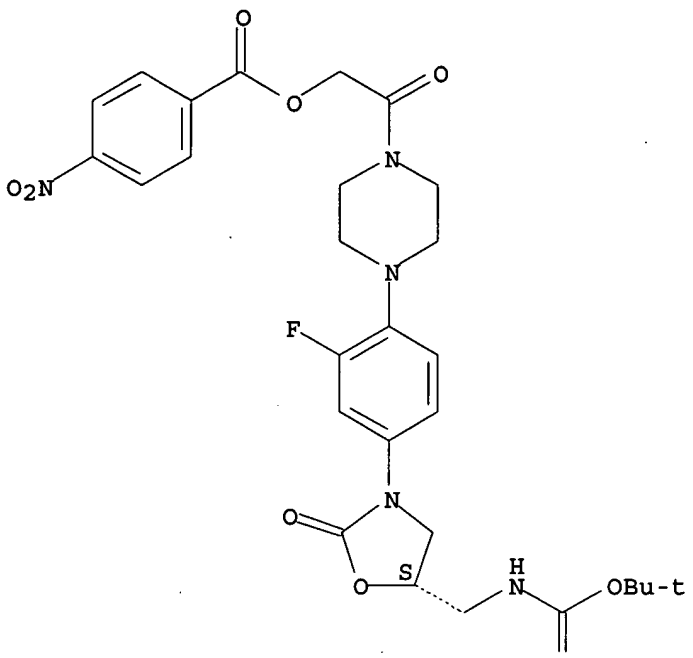


PAGE 2-A

RN 345224-28-4 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[[(4-nitrobenzoyl)oxy]acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

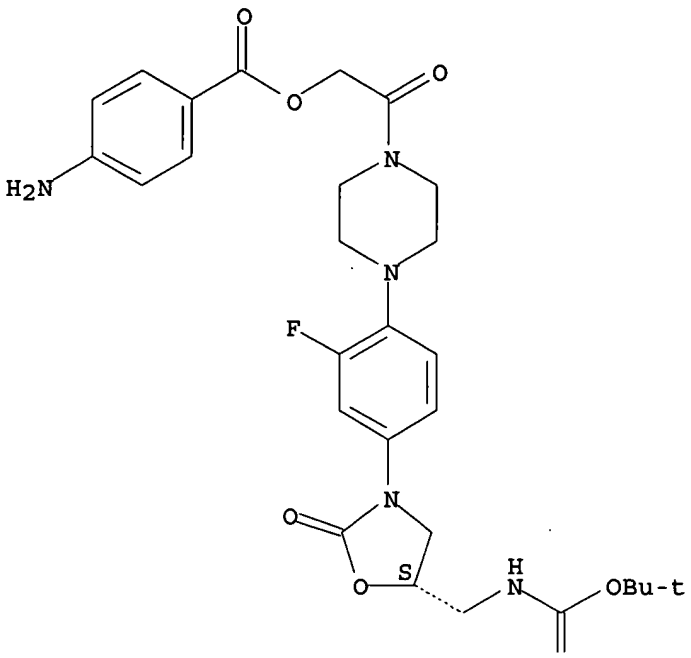


PAGE 2-A

RN 345224-29-5 HCAPLUS
CN Carbamic acid, [[(5S)-3-[4-[4-[[(4-aminobenzoyl)oxy]acetyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

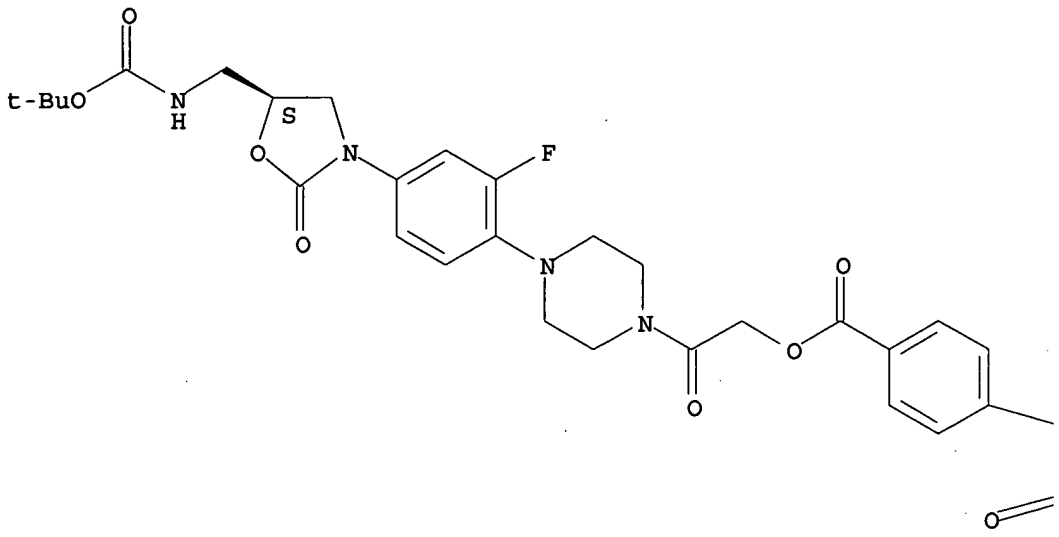


PAGE 2-A

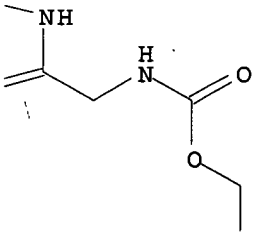
RN 345224-30-8 HCAPLUS
CN Benzoic acid, 4-[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]-, 2-[4-[4-[(5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

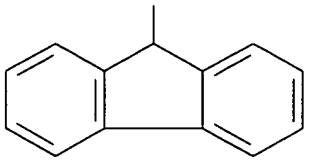
PAGE 1-A



PAGE 1-B



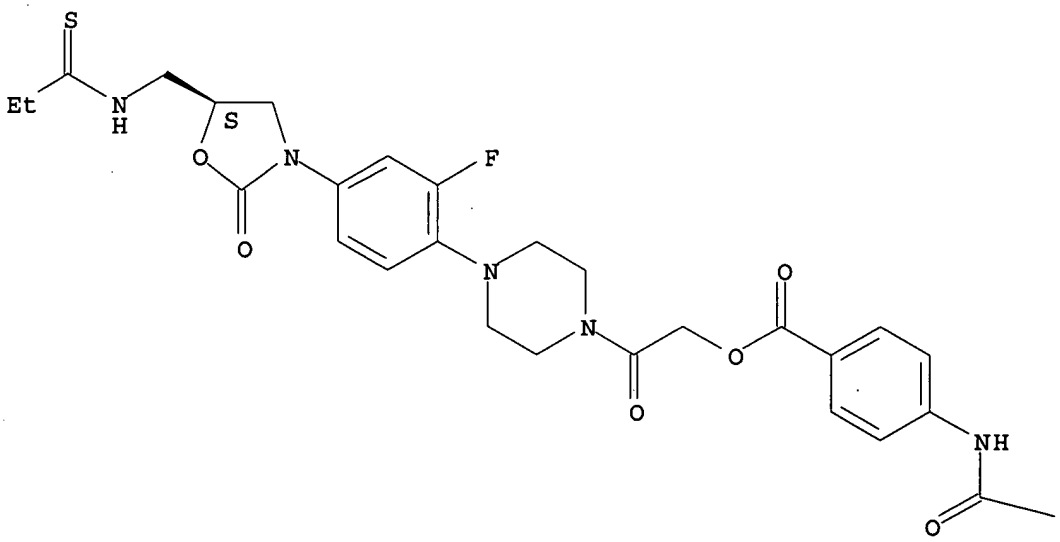
PAGE 2-B



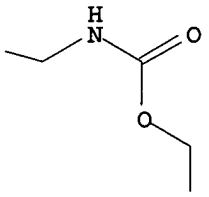
RN 345224-32-0 HCAPLUS
CN Benzoic acid, 4-[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

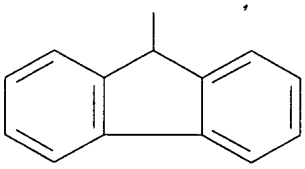
PAGE 1-A



PAGE 1-B



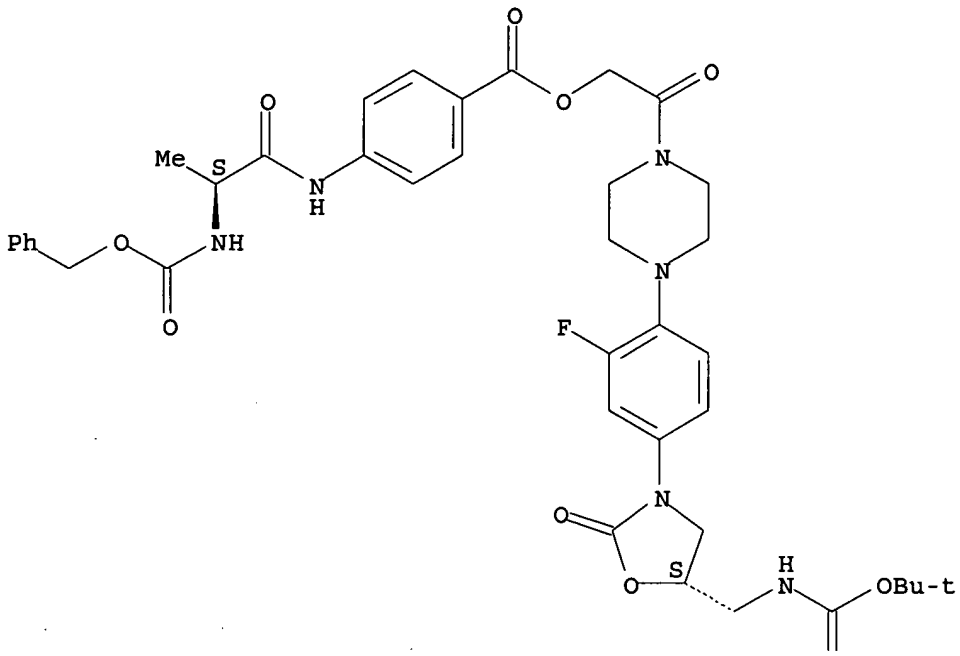
PAGE 2-B



RN 345224-33-1 HCAPLUS
CN Benzoic acid, 4-[[(2S)-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, 2-[4-[4-[(5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

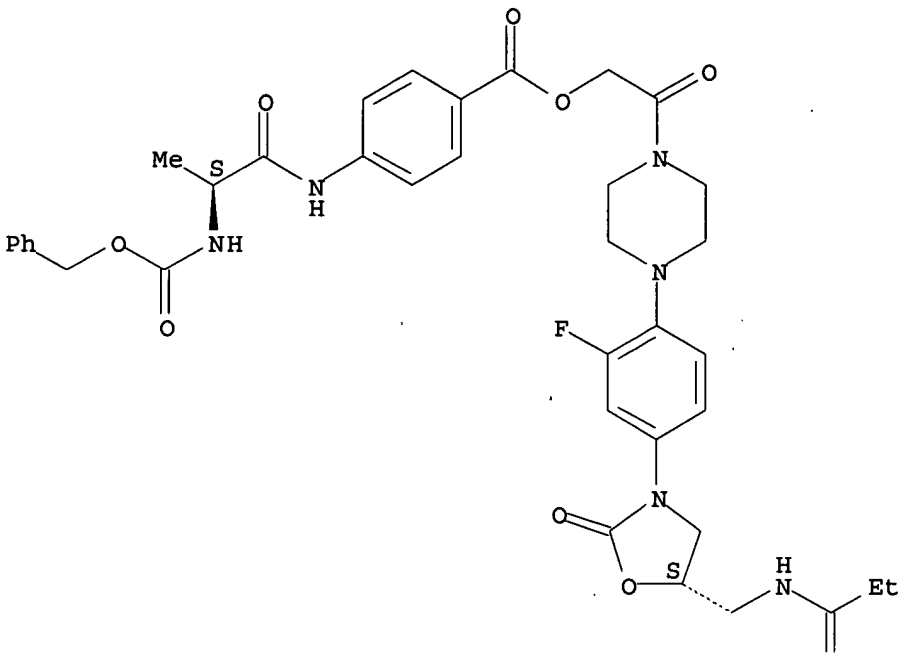


PAGE 2-A

RN 345224-35-3 HCAPLUS
CN Benzoic acid, 4-[[(2S)-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

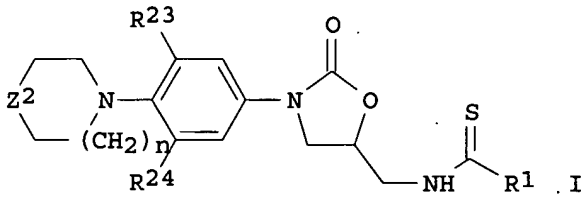
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 21 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:384192 HCAPLUS
DN 133:30719
TI Oxazolidinone antibacterial agents having a thiocarbonyl functionality
IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-jo
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000032599	A1	20000608	WO 1998-US25308	19981127

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA 2351062 AA 20000608 CA 1998-2351062 19981127
AU 9917053 A1 20000619 AU 1999-17053 19981127
AU 764980 B2 20030904
EP 1133493 A1 20010919 EP 1998-961822 19981127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO
JP 2002531455 T2 20020924 JP 2000-585241 19981127
NZ 511963 A 20031031 NZ 1998-511963 19981127
PRAI WO 1998-US25308 W 19981127
OS MARPAT 133:30719
GI



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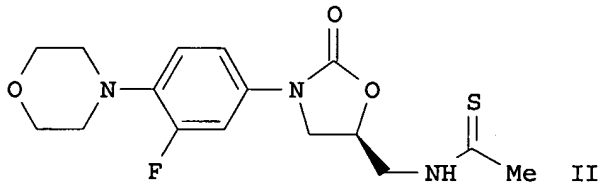


II

AB The title compds. (I) [wherein Z2 = SO2, S(O), S, O, or (un)substituted NH; n = 0-3; R23 and R24 = independently H or F; R1 = H, NH2, NH(alkyl), N(alkyl)2, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, alkyl(thio), alkoxy(carbonyl), CN, or cycloalkyl] were prepared by various methods, including conversion of the corresponding amides to (alkyl)thioureas or thioamides. Replacement of the O atom with S atom unexpectedly improved the antimicrobial properties of the compds. For example, II was prepared by treating the corresponding acetamide with Lawesson's Reagent. II inhibited growth of tested gram pos. organisms at concns. 2-4 times lower than the comparison carbonyl-containing compound

IC ICM C07D417-10
ICS A61K031-42; C07D263-20; C07D413-10
CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

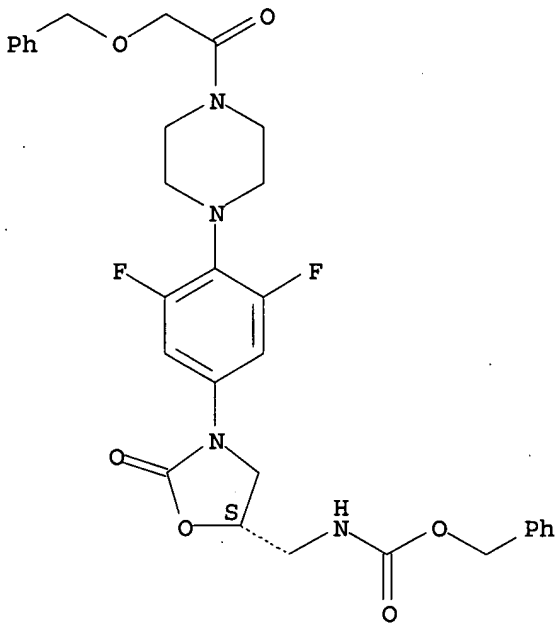
IT 5415-95-2P, Methyl dithiopropionate 101184-85-4P 168828-65-7P
168828-67-9P 198410-25-2P 216869-05-5P 216869-07-7P 216869-09-9P
216869-10-2P 216869-11-3P 216869-12-4P 216869-13-5P 216869-14-6P
216869-15-7P 216869-16-8P 216869-18-0P 216869-19-1P 216869-20-4P
216869-21-5P 216869-22-6P 216869-23-7P 216869-25-9P 216869-26-0P
216869-27-1P 216869-28-2P 216869-29-3P 216869-30-6P 216869-31-7P
216869-32-8P 216869-33-9P 216869-34-0P 216869-35-1P 216869-37-3P
216869-39-5P 216869-40-8P 216869-41-9P 216869-42-0P 216869-43-1P
216869-44-2P **216869-45-3P** 216869-47-5P 216869-48-6P
216869-49-7P 216869-50-0P 273376-93-5P 273376-94-6P 273376-95-7P
273376-96-8P 273376-97-9P 273376-98-0P 273376-99-1P 273377-00-7P
273377-01-8P 273377-02-9P 273377-03-0P 273377-04-1P 273377-08-5P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**

(Preparation); RACT (Reactant or reagent)
(preparation of antibacterial oxazolidinone (alkyl)thioamides or thioureas from the corresponding amides or amines)

IT **216869-45-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
(Preparation); RACT (Reactant or reagent)
(preparation of antibacterial oxazolidinone (alkyl)thioamides or thioureas from the corresponding amides or amines)

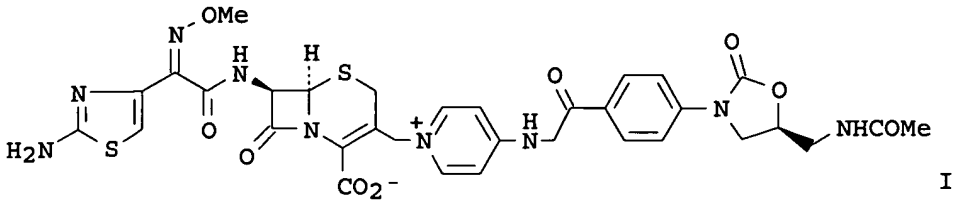
RN 216869-45-3 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3,5-difluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 22 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:26717 HCAPLUS
DN 132:207679
TI Synthesis and in vitro antibacterial activity of quaternary ammonium cephalosporin derivatives bearing oxazolidinone moiety
AU Chung, In Hwa; Kim, Choong Sup; Seo, Jae Hong; Chung, Bong Young
CS Biochemicals Research Center, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea
SO Archives of Pharmacal Research (1999), 22(6), 579-584
CODEN: APHRDQ; ISSN: 0253-6269
PB Pharmaceutical Society of Korea
DT Journal
LA English
GI



I

AB Several oxazolidinones having amine moiety were prepared to form a quaternary ammonium salt with cephalosporin nucleus, and antibacterial activity of the quaternary ammonium cephalosporin derivs. (e.g., I) bearing oxazolidinone moiety were examined particularly with expectation of dual activity. However, the cephalosporin-oxazolidinone compds. revealed rather weaker antibacterial activity in vitro than their parent oxazolidinone and cephalosporin without showing any characteristic activity as expected.

CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 10

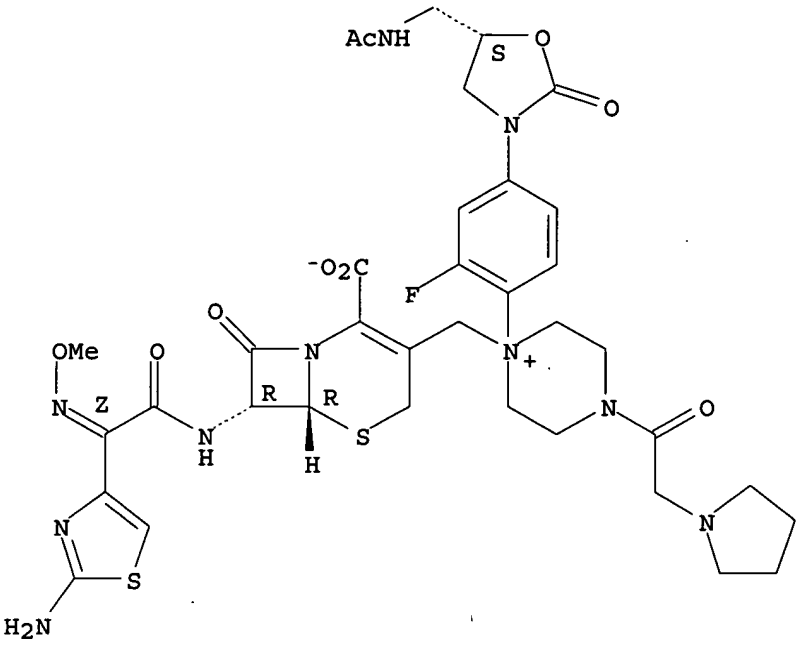
IT 260262-90-6P 260262-91-7P **260262-92-8P** 260262-93-9P
260262-94-0P 260262-95-1P 260262-96-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**
(synthesis and antibacterial activity of quaternary ammonium oxazolidinonocephalosporin derivs.)

IT **260262-92-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**
(synthesis and antibacterial activity of quaternary ammonium oxazolidinonocephalosporin derivs.)

RN 260262-92-8 HCAPLUS

CN Piperazinium, 1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-[[(6R,7R)-7-[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-4-(1-pyrrolidinylacetyl)-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

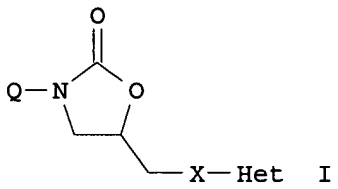
L37 ANSWER 23 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:795810 HCAPLUS
DN 132:35694
TI Oxazolidinone derivatives, process for their preparation and pharmaceutical compositions containing them as antibiotics
IN Gravestock, Michael Barry
PA Zeneca Limited, UK
SO PCT Int. Appl., 188 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9964417	A2	19991216	WO 1999-GB1753	19990603
	WO 9964417	A3	20000203		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2333332	AA	19991216	CA 1999-2333332	19990603
	AU 9941571	A1	19991230	AU 1999-41571	19990603
	AU 753988	B2	20021031		
	BR 9910971	A	20010213	BR 1999-10971	19990603
	EP 1082323	A2	20010314	EP 1999-925188	19990603
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

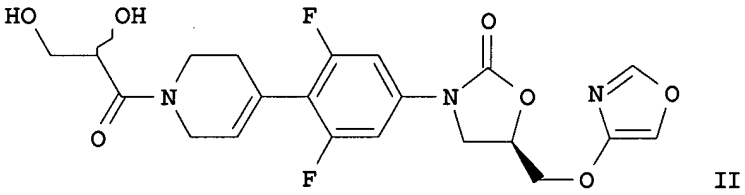
	IE, SI, LT, LV, FI, RO				
	TR 200003595	T2	20010723	TR 2000-200003595	19990603
	EE 200000707	A	20020415	EE 2000-707	19990603
	JP 2002517498	T2	20020618	JP 2000-553426	19990603
	NZ 508174	A	20031031	NZ 1999-508174	19990603
	ZA 2000006694	A	20020218	ZA 2000-6694	20001118
	BG 105001	A	20010928	BG 2000-105001	20001129
	NO 2000006152	A	20010202	NO 2000-6152	20001204
	US 6617339	B1	20030909	US 2000-719012	20001205
	US 2003144263	A1	20030731	US 2003-340526	20030109
PRAI	GB 1998-12021	A	19980605		
	GB 1998-20164	A	19980917		
	GB 1998-26066	A	19981128		
	WO 1999-GB1753	W	19990603		
	US 2000-719012	B1	20001205		

OS CASREACT 132:35694; MARPAT 132:35694

GI



I



II

AB Title compds. I and their pharmaceutically-acceptable salts and in-vivo-hydrolyzable esters are described [wherein, for example: X = O or S; Het = (un)substituted C-linked 5-membered heteroaryl ring containing 2 to 4 heteroatoms independently selected from N, O, and S; Q = (for example) certain substituted phenyls, 2-pyridyls, or 1,2,5,6-tetrahydropyrid-4-yls]. The compds. are useful as antibacterial agents, and have good activity against a broad range of Gram-pos. pathogens, including organisms known to be resistant to most commonly known antibiotics. For instance, 5(R)-[(isoxazol-3-yloxy)methyl]-3-[4-(1,2,5,6-tetrahydropyrid-4-yl)-3,5-difluorophenyl]oxazolidin-2-one (preparation given) underwent N-acylation by (R,S)-2,3-O-isopropylideneglyceric acid using EDC and Et3N in CH2Cl2 (39%), followed by deprotection with HCl in aqueous THF (80%), to give title compound II. Against coagulase-neg. staphylococci, II had an MIC (μg/mL) of 0.13 for methicillin-sensitive strains, and 0.50 for methicillin-resistant strains.

IC ICM C07D413-14
ICS C07D417-14; C07F009-6558; C07D413-12; C07D487-08; C07D451-02; A61K031-42; A61K031-44; C07D487-08; C07D209-00; C07D209-00

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10

IT 252259-87-3P 252259-88-4P 252259-89-5P 252259-91-9P 252259-92-0P
252259-93-1P 252259-94-2P 252259-98-6P 252260-00-7P 252260-03-0P
252260-04-1P 252260-06-3P 252260-07-4P 252260-08-5P 252260-09-6P
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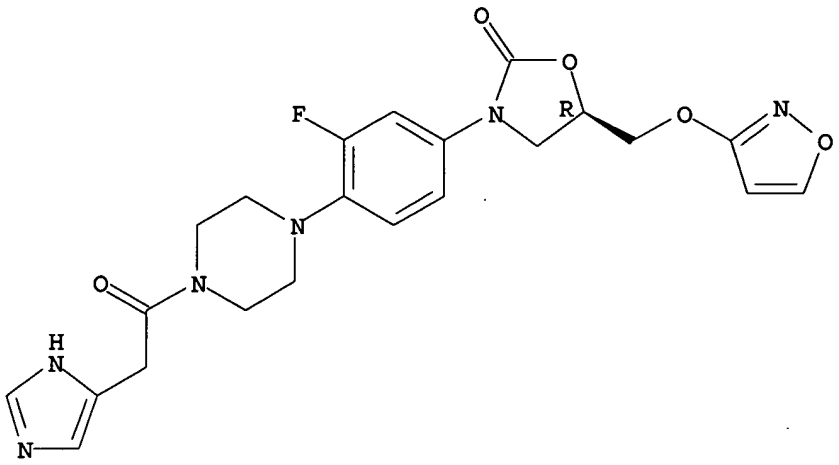
252328-76-0P 252328-78-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of antibiotic oxazolidinone derivs.)

IT **252279-95-1P 252279-99-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of antibiotic oxazolidinone derivs.)

RN 252279-95-1 HCAPLUS

CN Piperazine, 1-[2-fluoro-4-[(5R)-5-[(3-isoxazolyloxy)methyl]-2-oxo-3-oxazolidinyl]phenyl]-4-(1H-imidazol-4-ylacetyl)-, dihydrochloride (9CI) (CA INDEX NAME)

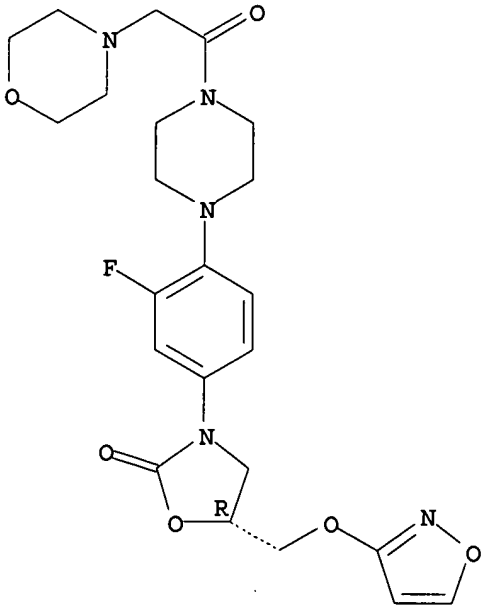
Absolute stereochemistry.



●2 HCl

RN 252279-99-5 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[(5R)-5-[(3-isoxazolyloxy)methyl]-2-oxo-3-oxazolidinyl]phenyl]-4-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

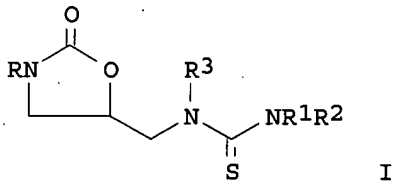


L37 ANSWER 24 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:194131 HCAPLUS
DN 130:223265
TI Preparation of N-(2-oxothiazolidin-5-ylmethyl)thiourea derivatives as antibacterial agents

IN Yoshida, Toshihiko; Tokuyama, Ryukou; Tomita, Yayoi
PA Hokuriku Seiyaku Co., Ltd., Japan
SO PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9912914	A1	19990318	WO 1998-JP4074	19980910
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	JP 11158164	A2	19990615	JP 1998-272500	19980909
	AU 9890015	A1	19990329	AU 1998-90015	19980910
PRAI	JP 1997-265054	A	19970911		
	WO 1998-JP4074	W	19980910		

OS MARPAT 130:223265
GI



I

AB Antimicrobial thiourea derivs. of general formula (I) or salts thereof: (wherein R1, R2, and R3 are each hydrogen, alkyl, cycloalkyl, nitrogen-protecting group, alkoxycarbonylalkyl or the like; and R is Ph which may be substituted by halogeno, hydroxyl, mercapto, amino, cyano, nitro, carboxyl, carbamoyl, alkyl, cycloalkyl, alkoxy, alkylamino, alkanoyl, arylcarbonyl, aryl, aralkyl, aryloxy, cycloalkyloxy containing a hetero-atom as a ring atom, a saturated heterocyclic group or the like) are prepared Also claim is an antibacterial agent, in particular against gram pos. bacteria, containing I as the active ingredient. These thiourea derivs. exhibit excellent antibacterial activity against not only normal bacteria but also resistant strains of bacteria, e.g. methicillin-resistant Staphylococcus aureus (MRSA). Thus, addition reaction of (R)-[2-oxo-3-[4-(thiomorpholin-4-yl)phenyl]oxazolidin-5-yl]methyl isothiocyanate with NH3 in MeOH at room temperature for 9 h gave I [R = 4-(thiomorpholin-4-yl)phenyl, R1 = R2 = R3 = H]. I [R = 3-fluoro-4-(pyrrolidino-1-yl)phenyl, R1 = R2 = R3 = H] showed min. inhibitory concentration of 0.39 μg/mL against MRSA HPC1336 and Enterococcus faecalis HPC948 and HPC975.

IC ICM C07D263-20
ICS C07D413-10; C07D417-10; A61K031-42; A61K031-425; A61K031-495; A61K031-535

CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 216868-65-4P 216868-66-5P 216868-92-7P 221201-99-6P 221202-01-3P
221202-04-6P 221202-06-8P 221202-08-0P 221202-11-5P 221202-13-7P
221202-15-9P 221202-17-1P 221202-19-3P 221202-21-7P 221202-25-1P
221202-27-3P 221202-28-4P 221202-30-8P 221202-31-9P 221202-33-1P
221202-35-3P 221202-37-5P 221202-39-7P 221202-40-0P 221202-41-1P
221202-42-2P 221202-43-3P 221202-44-4P 221202-45-5P 221202-46-6P
221202-47-7P 221202-48-8P 221202-49-9P 221202-50-2P 221202-51-3P
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221202-68-2P 221202-69-3P 221202-70-6P 221202-71-7P 221202-72-8P
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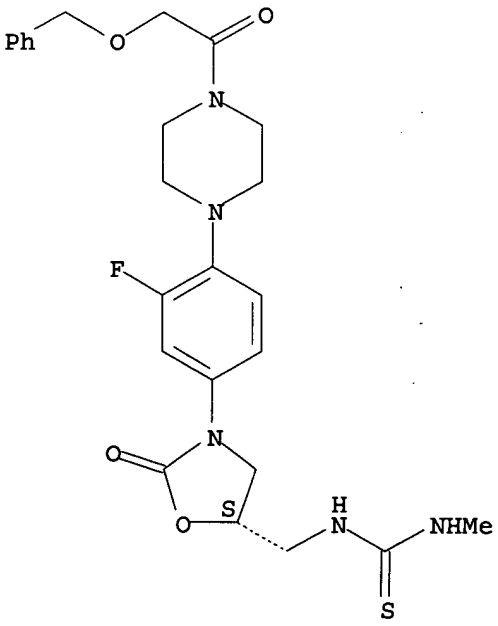
221202-88-6P 221202-89-7P 221202-90-0P 221202-91-1P 221202-92-2P
221202-93-3P 221202-94-4P 221202-96-6P **221202-97-7P**
221202-98-8P 221202-99-9P 221203-00-5P 221203-01-6P 221203-02-7P
221203-03-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of N-(oxothiazolidinylmethyl)thiourea derivs. as antibacterial agents)

IT **221202-97-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of N-(oxothiazolidinylmethyl)thiourea derivs. as antibacterial agents)

RN 221202-97-7 HCAPLUS

CN Piperazine, 1-[2-fluoro-4-[(5S)-5-[[[(methylamino)thioxomethyl]amino]methyl]-2-oxo-3-oxazolidinyl]phenyl]-4-[(phenylmethoxy)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

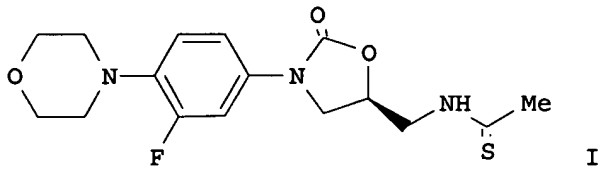


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 25 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:794995 HCAPLUS
DN 130:38373
TI Preparation of thiocarbonyloxazolidinones as antibacterial agents
IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-jo
PA Pharmacia & Upjohn Company, USA; Hester, Jackson B., Jr.
SO PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9854161	A1	19981203	WO 1998-US9889	19980518
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9874883	A1	19981230	AU 1998-74883	19980513
	AU 737995	B2	20010906		
	CA 2288750	AA	19981203	CA 1998-2288750	19980518
	EP 984947	A1	20000315	EP 1998-922303	19980518
	EP 984947	B1	20050420		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9815518	A	20001121	BR 1998-15518	19980518
	NZ 501412	A	20011130	NZ 1998-501412	19980518
	JP 2002501530	T2	20020115	JP 1999-500722	19980518
	RU 2208613	C2	20030720	RU 1999-128083	19980518
	AT 293609	E	20050515	AT 1998-922303	19980518
	ES 2242280	T3	20051101	ES 1998-922303	19980518
	NO 9905846	A	20000128	NO 1999-5846	19991129
	NO 315798	B1	20031027		
	FI 9902555	A	19991130	FI 1999-2555	19991130
	MX 9911069	A	20000430	MX 1999-11069	19991130
	HK 1027569	A1	20040618	HK 2000-106696	20001023
PRAI	US 1997-48342P	P	19970530		
	WO 1998-US9889	W	19980518		

OS MARPAT 130:38373
GI



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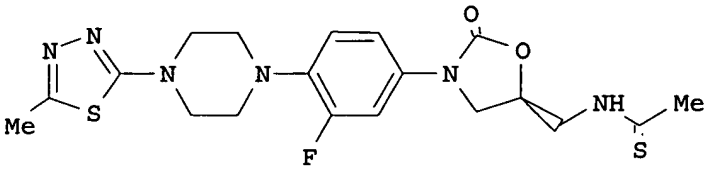

II

AB Chiral title compds. AGCH2NHCSR [A is (un)substituted Ph, indolinyl; G is 2-oxo-5-oxazolidinyl; R is H, NH2, alkyl, cycloalkyl, etc.] or pharmaceutical acceptable salts are prepared, from amines with Lawesson's Reagent or 1,1'-thiocarbonyldi-2(1H)-pyridone, as antibacterial agents. Title compds. I and II were tested in vitro by standard agar dilution method.

IC ICM C07D263-20
ICS C07D417-12; C07D413-10; C07D413-04; A61K031-42; C07D261-04; C07D307-32; C07D471-10; C07D471-10; C07D235-00; C07D221-00

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 168828-65-7P 168828-67-9P 188974-73-4P 216869-07-7P 216869-09-9P
216869-10-2P 216869-11-3P 216869-13-5P 216869-14-6P 216869-15-7P
216869-16-8P 216869-17-9P 216869-18-0P 216869-19-1P 216869-20-4P
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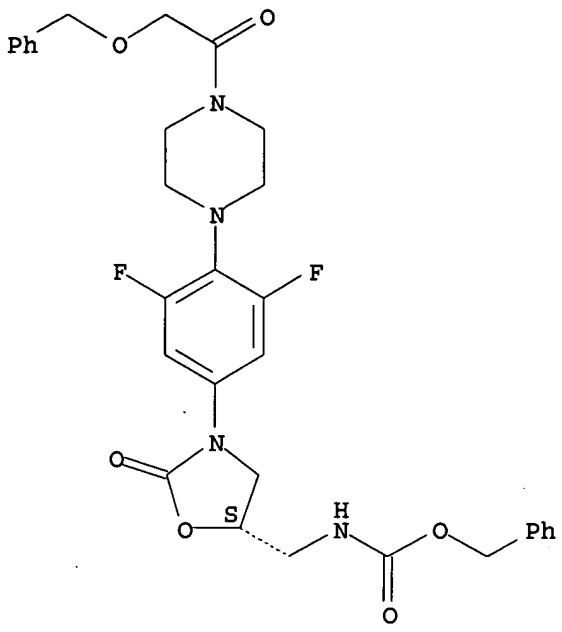
216869-27-1P 216869-28-2P 216869-29-3P 216869-30-6P 216869-31-7P
216869-32-8P 216869-33-9P 216869-34-0P 216869-35-1P 216869-37-3P
216869-38-4P 216869-39-5P 216869-40-8P 216869-41-9P 216869-42-0P
216869-43-1P 216869-44-2P **216869-45-3P** 216869-46-4P
216869-47-5P 216869-48-6P 216869-49-7P 216869-50-0P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of thiocarbonyloxazolidinones as antibacterial agents)

IT **216869-45-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of thiocarbonyloxazolidinones as antibacterial agents)

RN 216869-45-3 HCAPLUS

CN Carbamic acid, [[(5S)-3-[3,5-difluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

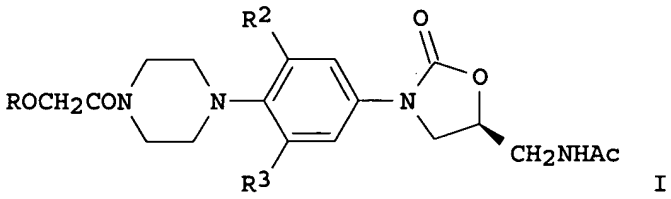


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 26 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:539252 HCAPLUS
DN 127:190756
TI Preparation of N-hydroxyacetyl-N'-oxooxazolidinylphenylpiperazines as antibacterials.
IN Brickner, Steven J.; Barbachyn, Michael R.; Hutchinson, Douglas K.
PA Pharmacia & Upjohn Co., USA
SO U.S., 12 pp., Cont.-in-part of U.S. Ser. No. 155,988, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5652238	A	19970729	US 1996-640899	19960509
	WO 9514684	A1	19950601	WO 1994-US10582	19940927
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
PRAI	US 1993-155988	B2	19931122		
	WO 1994-US10582	W	19940927		

OS MARPAT 127:190756
GI



I

AB Title compds. [I; R = COR1, PO32-, PO3H2; R1 = alkyl, N(R4)2, alkyl-N(R4)2, C6H4N(R4)2, C6H4NHC(O)CH2NH2, C2H4-morpholinyl, pyridinyl, hydroxyalkyl, methoxyalkyl, acetylalkyl, methoxyalkoxy, piperazinyl, piperazinylalkyl (optionally substituted with alkyl), imidazolyl, carboxyalkyl, C(CH2OH)2CH3; R2, R3 = H, F; ≥1 of R2, R3 = F; R4 = H, alkyl], were prepared Thus, hydroxyacetic acid, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2,6-difluorophenyl]-1-piperazinyl]-2-oxoethyl ester (preparation given) showed an ED50 = 1 mg/kg orally against Staphylococcus aureus.

IC ICM A61K031-495
ICS A61K031-535; C07D413-10; C07D413-14

INCL 514235800

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 170104-50-4P 170104-51-5P 170104-52-6P 170104-53-7P 170104-54-8P
170104-55-9P **170104-56-0P 170104-57-1P** 170104-58-2P
170104-59-3P 170104-60-6P 170104-61-7P 170104-62-8P 170104-63-9P
170104-64-0P 170104-65-1P 170104-67-3P 170104-68-4P 170104-69-5P
170104-70-8P 170104-71-9P 170104-72-0P 170104-73-1P
170104-74-2P 170104-75-3P 170104-76-4P **170104-77-5P**
170104-78-6P 170104-79-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of N-hydroxyacetyl-N'-oxooxazolidinylphenylpiperazines as antibacterials)

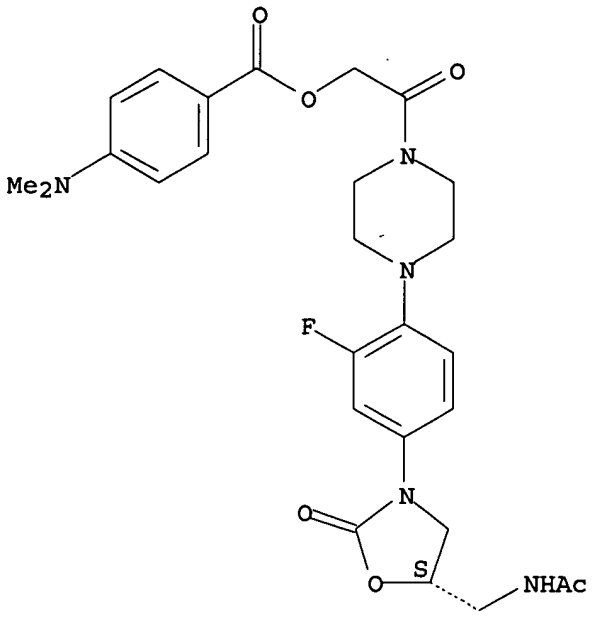
IT 154590-82-6P 154590-83-7P 154590-84-8P 154590-85-9P 154590-86-0P
154590-87-1P 154590-88-2P 154591-02-3P 165800-04-4P 170104-81-1P
170104-87-7P 170104-88-8P **170104-89-9P**
170104-90-2P 170104-92-4P 170104-93-5P
170104-94-6P 174649-08-2P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of N-hydroxyacetyl-N'-oxooxazolidinylphenylpiperazines as antibacterials)

IT **170104-56-0P 170104-57-1P 170104-70-8P**
170104-77-5P 170104-78-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of N-hydroxyacetyl-N'-oxooxazolidinylphenylpiperazines as antibacterials)

RN 170104-56-0 HCAPLUS

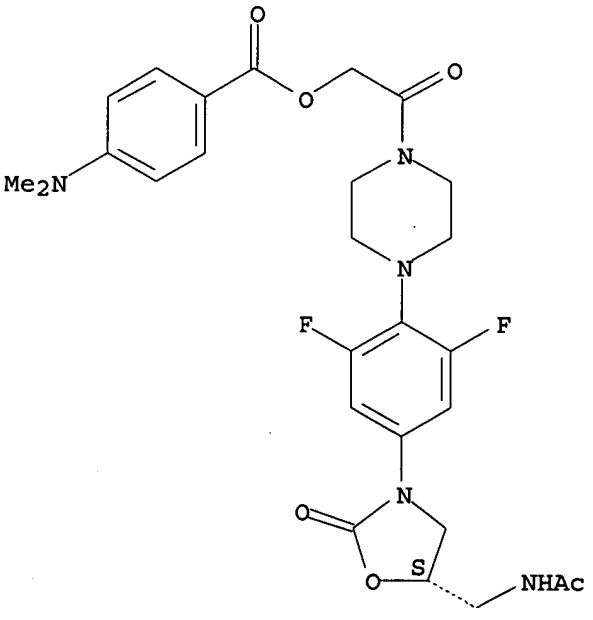
CN Benzoic acid, 4-(dimethylamino)-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



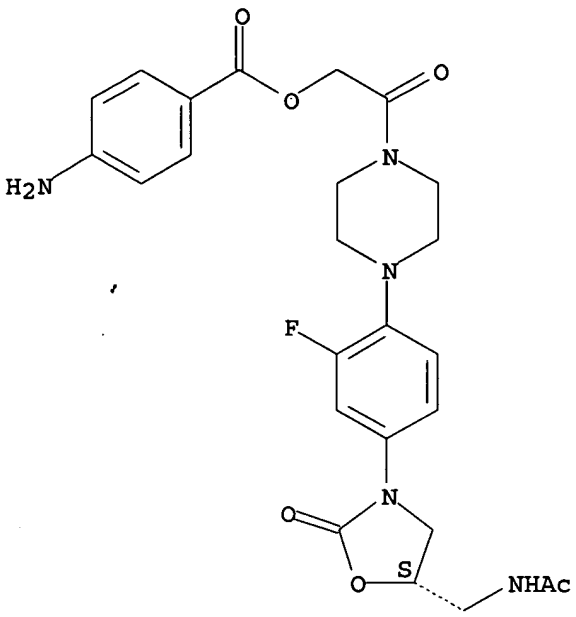
RN 170104-57-1 HCAPLUS
CN Benzoic acid, 4-(dimethylamino)-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2,6-difluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



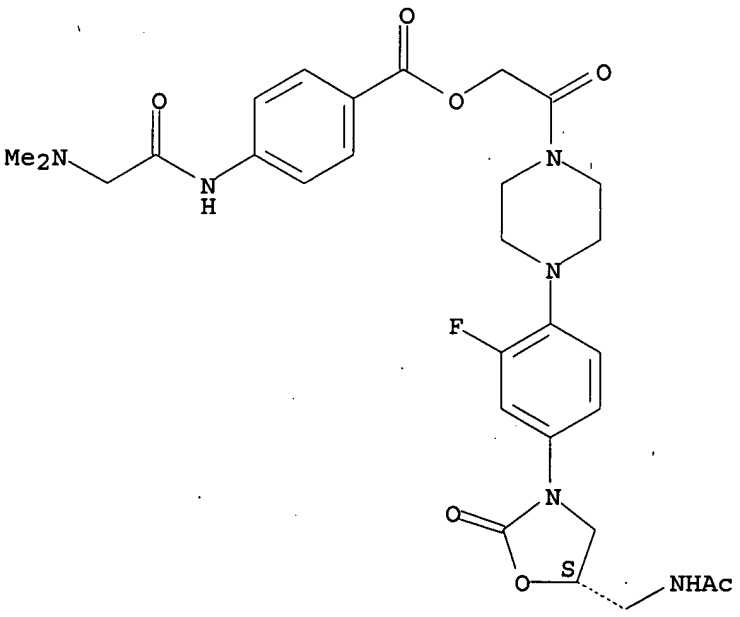
RN 170104-70-8 HCAPLUS
CN Acetamide, N-[[3-[4-[4-[[(4-aminobenzoyl)oxy]acetyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



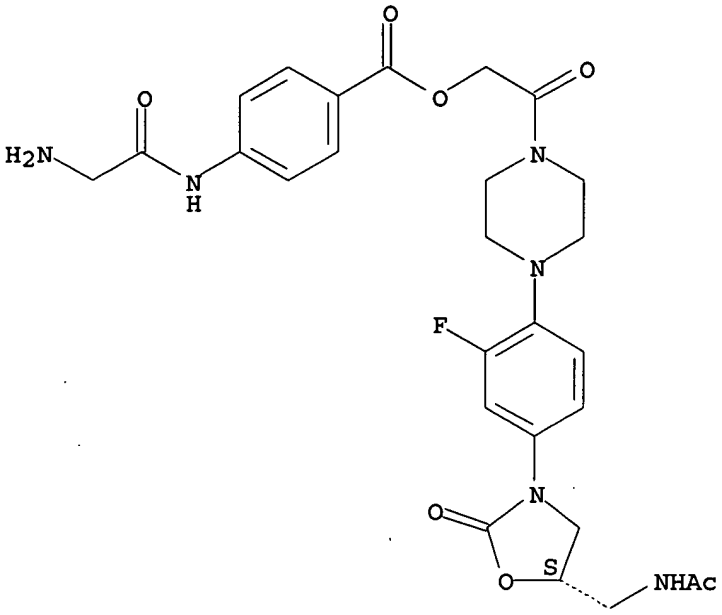
RN 170104-77-5 HCAPLUS
CN Benzoic acid, 4-[[(dimethylamino)acetyl]amino]-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170104-78-6 HCAPLUS
CN Benzoic acid, 4-[(aminoacetyl)amino]-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

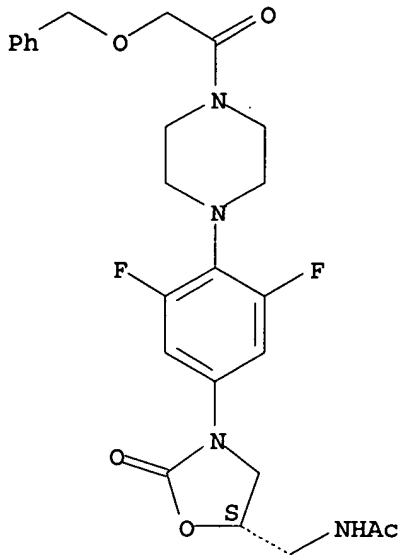


IT **170104-87-7P 170104-89-9P 170104-90-2P**
170104-92-4P 170104-93-5P 170104-94-6P
174649-08-2P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of N-hydroxyacetyl-N'-oxooxazolidinylphenylpiperazines as antibacterials)

RN 170104-87-7 HCAPLUS

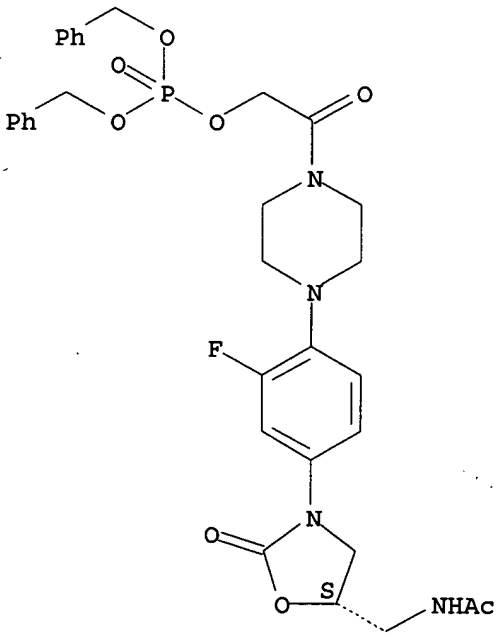
CN Acetamide, N-[[3-[3,5-difluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



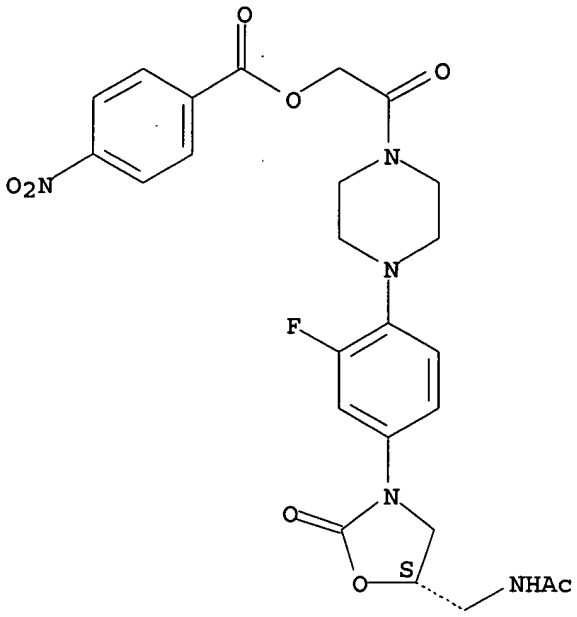
RN 170104-89-9 HCAPLUS
CN Phosphoric acid, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl bis(phenylmethyl) ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



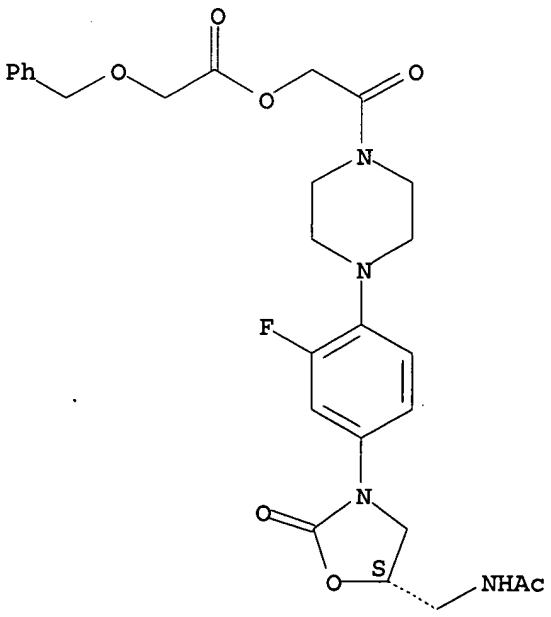
RN 170104-90-2 HCAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-[4-[[(4-nitrobenzoyl)oxy]acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170104-92-4 HCAPLUS
CN Acetic acid, (phenylmethoxy)-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

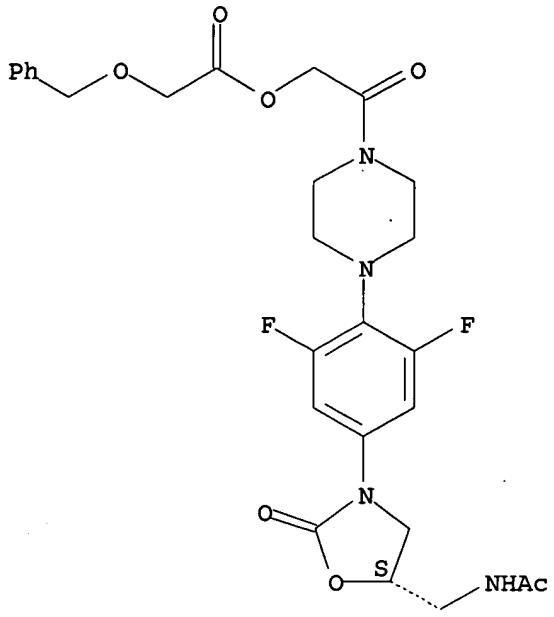
Absolute stereochemistry.



RN 170104-93-5 HCAPLUS
CN Acetic acid, (phenylmethoxy)-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2,6-difluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)-

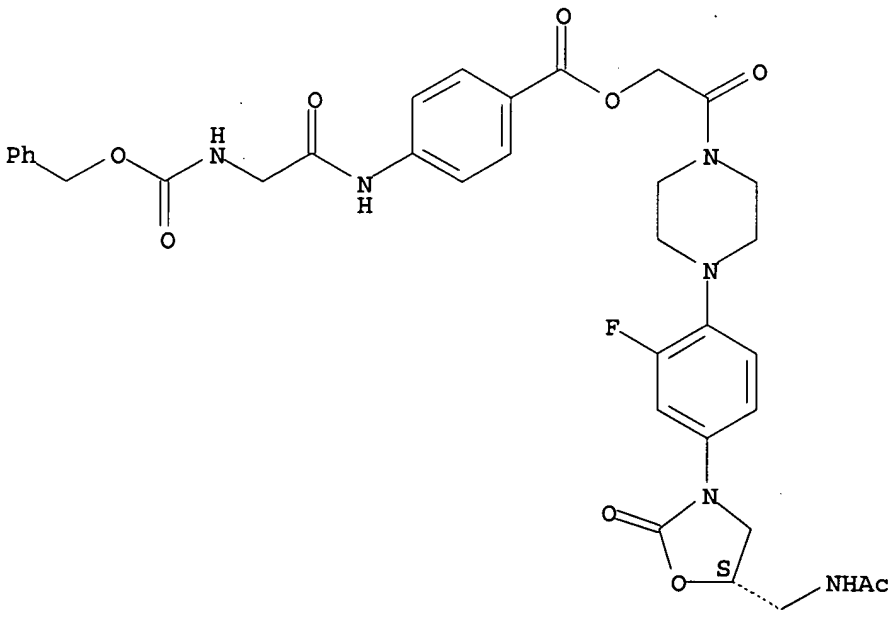
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170104-94-6 HCAPLUS
CN Benzoic acid, 4-[[[[(phenylmethoxy)carbonyl]amino]acetyl]amino]-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

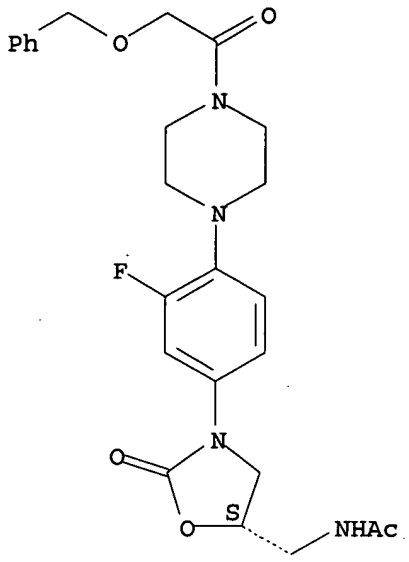
Absolute stereochemistry.



RN 174649-08-2 HCAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

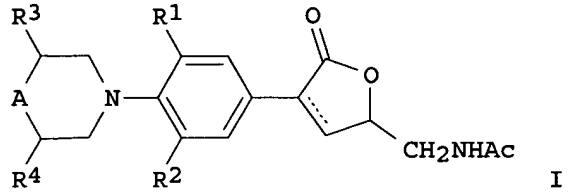
Absolute stereochemistry.



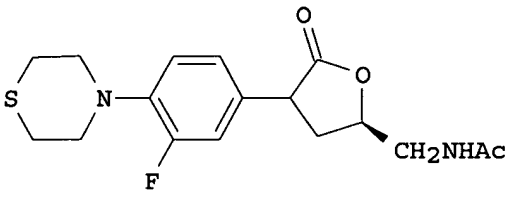
L37 ANSWER 27 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:369757 HCAPLUS
DN 126:343482
TI Preparation of 5-(acetamidomethyl)-3-aryldihydrofuran-2-one and tetrahydrofuran-2-one derivatives with antibiotic activity
IN Gravestock, Michael Barry
PA Zeneca Limited, UK; Gravestock, Michael Barry
SO PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9714690	A1	19970424	WO 1996-GB2504	19961015
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG				
	AU 9672248	A1	19970507	AU 1996-72248	19961015
	EP 858453	A1	19980819	EP 1996-933552	19961015
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 11513680	T2	19991124	JP 1996-515591	19961015
PRAI	GB 1995-21508	A	19951020		
	WO 1996-GB2504	W	19961015		

OS MARPAT 126:343482
GI



I



II

AB Furanone compds. of formula I [R1, R2 = H, F; R3, R4 = H, Me; A = O, S, SO, SO2, (substituted) NH] are prepared as antibacterial agents. Thus, II was prepared in 8 steps from thiomorpholine, 3,4-difluoroacetophenone, and (S)-(2,2-dimethyl-1,3-dioxan-4-yl)iodomethane. II showed activity against Staphylococcus aureus, coagulase neg. Staphylococcus, Streptococcus pyogenes, Enterococcus faecalis and Bacillus subtilus.

IC ICM C07D307-32
ICS C07D307-58; A61K031-34; A61K031-535; A61K031-54

CC 27-6 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1

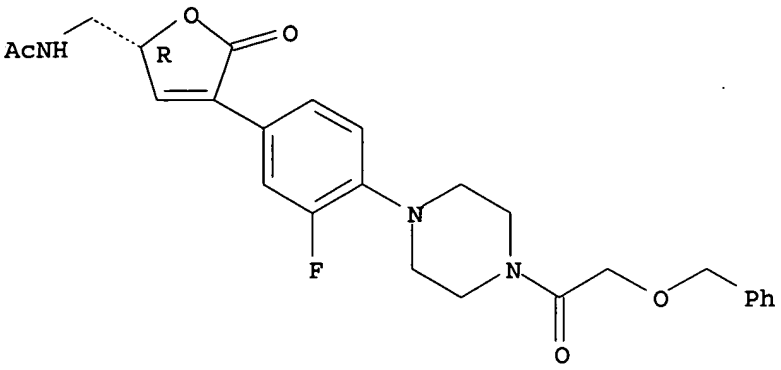
IT 163733-55-9P 189763-48-2P 189763-49-3P 189763-50-6P 189763-51-7P
189763-52-8P 189763-53-9P 189763-54-0P 189763-55-1P 189763-56-2P
189763-57-3P 189763-58-4P 189763-59-5P 189763-60-8P 189763-61-9P
189763-62-0P 189763-63-1P 189763-65-3P 189763-66-4P 189763-67-5P
189763-68-6P 189763-69-7P 189763-70-0P 189763-71-1P 189763-72-2P
189763-73-3P 189763-74-4P 189763-75-5P 189763-76-6P 189763-77-7P
189763-78-8P 189763-79-9P 189763-80-2P 189763-81-3P 189763-82-4P
189763-83-5P 189763-84-6P 189763-85-7P 189763-86-8P 189763-87-9P
189763-88-0P 189763-89-1P 189763-90-4P 189763-91-5P 189763-92-6P
189763-93-7P 189763-94-8P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of (acetamidomethyl)arylfuran-2-one derivs. with antibiotic activity)

IT **189763-93-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of (acetamidomethyl)arylfuran-2-one derivs. with antibiotic activity)

RN 189763-93-7 HCAPLUS

CN Acetamide, N-[[4-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2,5-dihydro-5-oxo-2-furanyl]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L37 ANSWER 28 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:302929 HCAPLUS
DN 126:277463
TI Phenyloxazolidinones having a C-C bond to 4-8 membered heterocyclic rings, and their use as antimicrobials.
IN Hutchinson, Douglas K.; Ennis, Michael D.; Hoffman, Robert L.; Thomas, Richard C.; Poel, Toni-Jo; Barbachyn, Michael Robert; Brickner, Steven J.; Anderson, David J.
PA Upjohn Co., USA
SO PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9709328	A1	19970313	WO 1996-US12766	19960813
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
	CA 2228647	AA	19970313	CA 1996-2228647	19960813
	AU 9667181	A1	19970327	AU 1996-67181	19960813
	AU 716493	B2	20000224		
	EP 856002	A1	19980805	EP 1996-927316	19960813
	EP 856002	B1	20011024		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
	CN 1197457	A	19981028	CN 1996-197155	19960813
	CN 1072222	B	20011003		
	BR 9610474	A	19990302	BR 1996-10474	19960813
	JP 11512386	T2	19991026	JP 1996-511190	19960813
	NZ 315469	A	20000128	NZ 1996-315469	19960813
	RU 2175324	C2	20011027	RU 1998-105678	19960813
	AT 207487	E	20011115	AT 1996-927316	19960813
	ES 2165516	T3	20020316	ES 1996-927316	19960813
	SK 283487	B6	20030805	SK 1998-195	19960813
	PL 186524	B1	20040130	PL 1996-325152	19960813
	ZA 9606935	A	19980216	ZA 1996-6935	19960815
	TW 419468	B	20010121	TW 1996-85110539	19960829

	FI 9800452	A	19980227	FI 1998-452	19980227
	NO 9800855	A	19980430	NO 1998-855	19980227
	NO 311520	B1	20011203		
	US 6166056	A	20001226	US 1998-138205	19980824
	HK 1014946	A1	20020301	HK 1999-100058	19990107
	US 6051716	A	20000418	US 1999-247346	19990210
	US 6043266	A	20000328	US 1999-313468	19990517
	US 6313307	B1	20011106	US 2000-518788	20000303
	US 6358942	B1	20020319	US 2000-713670	20001115
	US 2005054683	A1	20050310	US 2003-470575	20030322
PRAI	US 1995-3149P	P	19950901		
	US 1996-696313	A3	19960813		
	WO 1996-US12766	W	19960813		
	US 1998-138205	A3	19980824		
	US 1999-247347	A1	19990210		
	US 2000-518701	B1	20000303		

OS MARPAT 126:277463

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I, or their pharmaceutically acceptable salts, are claimed [wherein X = NR1, S(O)g, or O; R1 = H, C1-6 alkyl [(un)substituted with 1 or more OH, cyano, or halo], arylalkyl, acyl, CO2H or derivs., acyl, heterocyclyl, etc.; R2 = H, C1-6 alkyl, aralkyl, halo; R3, R4 = H or halo; R5 = H, C1-12 (halo)alkyl, C3-12 cycloalkyl, C1-6 alkoxy; m, n = 0-5; (m+n) = 1-5]. The compds. are useful as antimicrobial agents. For instance, Et cyanoacetate was arylated with 3,4-F2C6H3NO2 and alkylated with MeI (100%), followed by hydrogenation of the nitrile and nitro groups (97%), cyclization to an azetidinone (60%), reduction of the amide carbonyl, protection of both ring and sidechain N atoms as the di-Cbz derivative (51%), lithiation with BuLi, and reaction with (R)-glycidyl butyrate (64%), to give intermediate alc. II. This alc. was converted to its mesylate ester (100%), which was ammonolyzed, followed by N-acetylation (84%), hydrogenolysis (99%), and reaction with Me chloroformate (77%), to give title compound III. This compound had an ED50 comparable to vancomycin (5.00 mg/kg vs. 3.00 mg/kg, resp.) against Staphylococcus aureus, in vivo in mice.

IC ICM C07D413-10
ICS A61K031-42; C07D417-14

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 188974-03-0P 188974-04-1P 188974-24-5P 188974-26-7P
188974-27-8P 188974-29-0P **188974-30-3P** 188974-40-5P
188974-41-6P 188974-42-7P 188974-45-0P **188974-46-1P**
188974-48-3P 188974-49-4P 188974-51-8P 188974-52-9P
188974-53-0P 188974-54-1P 188974-57-4P 188974-59-6P
188974-60-9P 188974-62-1P 188974-64-3P 188974-65-4P 188974-68-7P
188974-69-8P 188974-77-8P 188974-80-3P 188974-82-5P 188974-85-8P
188974-86-9P 188974-87-0P 188974-91-6P 188974-92-7P 188975-89-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of (heterocyclylphenyl)oxazolidinone derivs. as antibacterials)

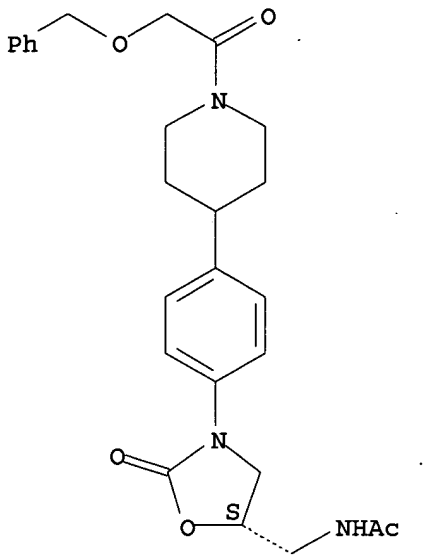
IT **188974-27-8P 188974-30-3P 188974-46-1P**
188974-53-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of (heterocyclylphenyl)oxazolidinone derivs. as antibacterials)

RN 188974-27-8 HCAPLUS

CN Acetamide, N-[[2-oxo-3-[4-[1-[(phenylmethoxy)acetyl]-4-piperidinyl]phenyl]-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

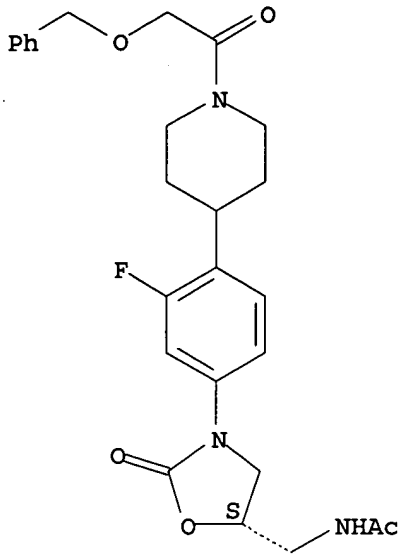
Absolute stereochemistry. Rotation (-).



RN 188974-30-3 HCAPLUS

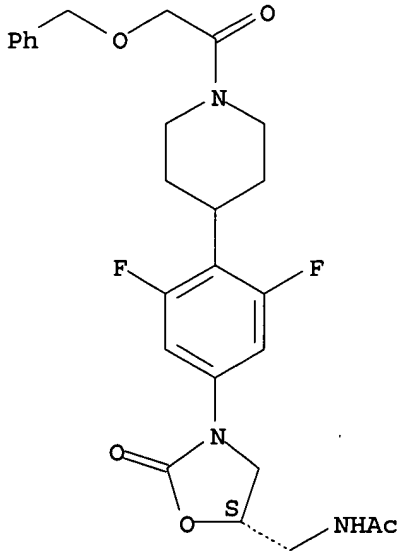
CN Acetamide, N-[[3-[3-fluoro-4-[1-[(phenylmethoxy)acetyl]-4-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



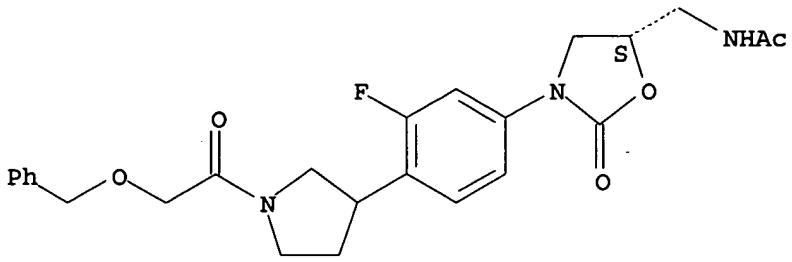
RN 188974-46-1 HCAPLUS
CN Acetamide, N-[[3-[3,5-difluoro-4-[1-[(phenylmethoxy)acetyl]-4-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 188974-53-0 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[1-[(phenylmethoxy)acetyl]-3-pyrrolidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

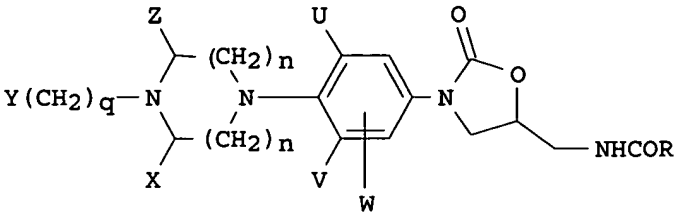
Absolute stereochemistry.



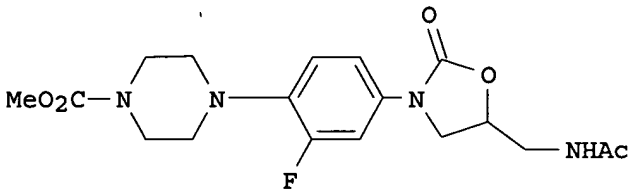
L37 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:537790 HCAPLUS
DN 125:221870
TI (Piperazinylphenyl)oxazolidinone antimicrobials
IN Hutchinson, Douglas K.; Barbachyn, Michael R.; Brickner, Steven J.; Gammill, Ronald B.; Patel, Mahesh V.
PA Upjohn Co., USA
SO U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 880, 432, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5547950	A	19960820	US 1994-332822	19941031
	HU 72296	A2	19960429	HU 1994-3208	19930421
	CZ 281884	B6	19970312	CZ 1994-2505	19930421
	PT 640077	T	20021129	PT 1993-912267	19930421
	ES 2180545	T3	20030216	ES 1993-912267	19930421
	ZA 9302855	A	19941024	ZA 1993-2855	19930422
	IL 105555	A1	19980715	IL 1993-105555	19930429
	CN 1079964	A	19931229	CN 1993-105039	19930508
	CN 1044236	B	19990721		
	US 5700799	A	19971223	US 1996-610031	19960304
	LV 13075	B	20040120	LV 2003-70	20030626
PRAI	US 1992-880432	B2	19920508		
	US 1994-332822	A3	19941031		

OS MARPAT 125:221870
GI



I



II

AB Title compds. I or pharmaceutically acceptable salts thereof wherein: each n is independently 1 to 3; Y is chosen from, e.g., (a) C(O)C1-6 alkyl, C(O)OC1-6 alkyl or benzoyl, (b) N(R3)2 where R3 is independently hydrogen, C1-4 alkyl or Ph which can be substituted with one to three F, Cl, OCH3, OH, NH2, or C1-4 alkyl, wherein each occurrence of said C1-6 alkyl may be substituted with one or more F, Cl, Br, I, OR1, CO2R1, CN, SR1, or R1 (where R1 is a hydrogen or C1-4 alkyl); X and Z are independently C1-6 alkyl, C3-12 cycloalkyl or hydrogen, or X and Z form a C0-3 bridging group, preferably X and Z are hydrogen; U, V and W are independently C1-6 alkyl, F, Cl, Br, hydrogen or a C1-6 alkyl substituted with one or more of F, Cl, Br or I, preferably U and V are F and W is hydrogen; R is hydrogen, C1-12 alkyl, C3-12 cycloalkyl, C1-6 alkoxy, C1-6 alkyl substituted with one or more F, Cl, Br, I or OH; and q is 0 to 4 inclusive, are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including multiply-resistant staphylococci and streptococci, as well as anaerobic organisms such as bacteroides and clostridia species, and acid-fast organisms such as Mycobacterium tuberculosis and Mycobacterium avium. Thus, e.g., arylation of piperazine with 3,4-difluoronitrobenzene afforded 1-(2-fluoro-4-nitrophenyl)piperazine; Boc protection followed by reduction provided 1-(tert-butoxycarbonyl)-4-(2-fluoro-4-aminophenyl)piperazine; the latter was converted to the Cbz derivative and then allylated to give 1-(tert-butoxycarbonyl)-4-(2-fluoro-4-benzyloxycarbonylallylamino)piperazine; dihydroxylation followed by cyclization afforded 3-[3-fluoro-4-(4-tert-butoxycarbonylpiperazin-1-yl)phenyl]-5-hydroxymethyl-2-oxazolidinone; the 5-hydroxymethyl group was converted to a 5-acetylaminomethyl group by mesylation, azidification, hydrogenation, and acetylation; finally, Boc deprotection followed by treatment with MeO2CCl afforded oxazolidinone II which exhibited antibacterial activity ED50 of 1.8 mg/kg PO against S. aureus vs. 1.8 mg/kg SC for vancomycin, and 2.3 mg/kg PO against S. pyogenes vs. 2.6 mg/kg SC for clindamycin.

IC ICM C07D413-00
ICS A61K031-495

INCL 514252000

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

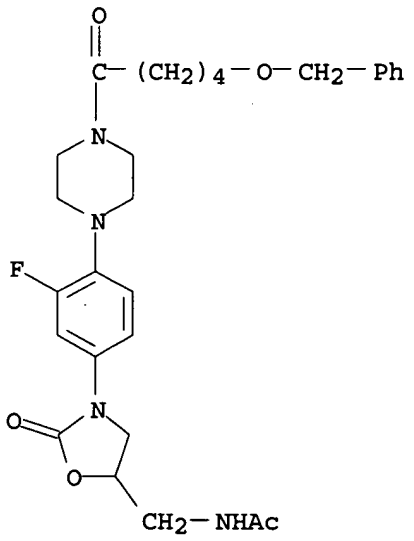
IT 154590-48-4P 154590-49-5P **181021-56-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
((piperazinylphenyl)oxazolidinone antimicrobials)

IT 154590-32-6P 154590-44-0P 154590-45-1P 154590-46-2P 154590-47-3P
154590-50-8P 154590-51-9P 154590-53-1P 154590-58-6P 154590-59-7P
154590-61-1P, U 97665 154590-67-7P 154590-70-2P 154590-72-4P
154590-73-5P 154590-75-7P 154590-77-9P 154590-79-1P 154590-81-5P
154590-90-6P 154590-91-7P 154590-92-8P 154590-93-9P 154590-94-0P
154590-95-1P 154590-97-3P 154590-99-5P 181021-53-4P 181021-58-9P
181021-64-7P 181021-76-1P 181021-80-7P 181021-87-4P
181228-27-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
((piperazinylphenyl)oxazolidinone antimicrobials)

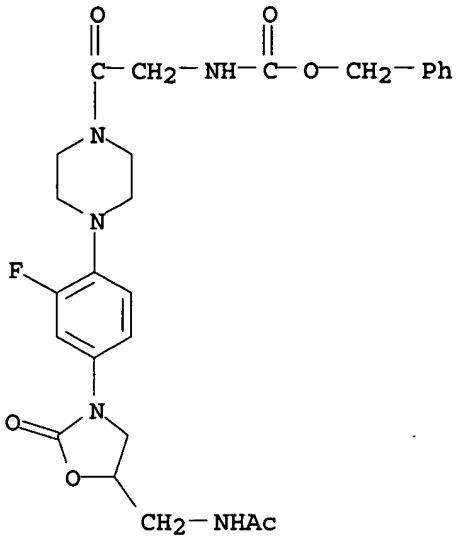
IT **181021-56-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
((piperazinylphenyl)oxazolidinone antimicrobials)

RN 181021-56-7 HCAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-[4-[1-oxo-5-(phenylmethoxy)pentyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)



IT **181021-64-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
((piperazinylphenyl)oxazolidinone antimicrobials)

RN 181021-64-7 HCAPLUS
CN Carbamic acid, [2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L37 ANSWER 30 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:58412 HCAPLUS
DN 124:232297
TI Synthesis and Antibacterial Activity of U-100592 and U-100766, Two Oxazolidinone Antibacterial Agents for the Potential Treatment of Multidrug-Resistant Gram-Positive Bacterial Infections
AU Brickner, Steven J.; Hutchinson, Douglas K.; Barbachyn, Michael R.; Manninen, Peter R.; Ulanowicz, Debra A.; Garmon, Stuart A.; Grega, Kevin C.; Hendges, Susan K.; Toops, Dana S.; et al.
CS Upjohn Laboratories, Upjohn Company, Kalamazoo, MI, 49001, USA
SO Journal of Medicinal Chemistry (1996), 39(3), 673-9
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Bacterial resistance development has become a very serious clin. problem for many classes of antibiotics. The 3-aryl-2-oxazolidinones are a relatively new class of synthetic antibacterial agents, having a new mechanism of action which involves very early inhibition of bacterial protein synthesis. Two potent, synthetic oxazolidinones, U-100592 [i.e., (S)-N-[[3-[3-fluoro-4-[4-(hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide] and U-100766 [i.e., (S)-N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide] were prepared, which are currently in clin. development for the treatment of serious multidrug-resistant Gram-pos. bacterial infections caused by strains of staphylococci, streptococci, and enterococci. The in vitro and in vivo (po and i.v.) activities of U-100592 and U-100766 against representative strains are similar to those of vancomycin. U-100592 and U-100766 demonstrate potent in vitro activity against Mycobacterium tuberculosis. A novel and practical asym. synthesis of (5S)-(acetamidomethyl)-2-oxazolidinones was developed and was employed for the synthesis of U-100592 and U-100766. This involved the reaction of N-lithioarylcarbamates with (R)-glycidyl butyrate, resulting in excellent yields and high enantiomeric purity of the intermediate (R)-5-(hydroxymethyl)-2-oxazolidinones.
CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10

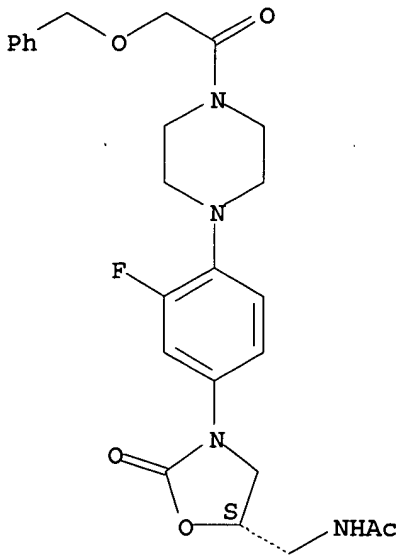
IT 2689-39-6P 93246-53-8P 154590-33-7P 168828-81-7P 168828-82-8P
168828-84-0P 174649-03-7P 174649-04-8P 174649-05-9P 174649-06-0P
174649-07-1P **174649-08-2P** 174649-09-3P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation and bactericidal activity of U-100592 and U-100766)

IT **174649-08-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation and bactericidal activity of U-100592 and U-100766)

RN 174649-08-2 HCAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L37 ANSWER 31 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:909447 HCAPLUS

DN 123:314020

TI Esters of substituted-hydroxyacetyl piperazine phenyl oxazolidinones as antimicrobials

IN Brickner, Steven J.; Barbachyn, Michel R.; Hutchinson, Douglas K.

PA Upjohn Co., USA

SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9514684	A1	19950601	WO 1994-US10582	19940927

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ

RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,

MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

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	AU 698699	B2	19981105		
	EP 730591	A1	19960911	EP 1994-931278	19940927
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	CN 1046276	B	19991110		
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	JP 3698724	B2	20050921		
	AT 182142	E	19990715	AT 1994-931278	19940927
	ES 2133588	T3	19990916	ES 1994-931278	19940927
	ZA 9407885	A	19960409	ZA 1994-7885	19941007
	TW 427987	B	20010401	TW 1994-83109509	19941013
	US 5652238	A	19970729	US 1996-640899	19960509
	GR 3031420	T3	20000131	GR 1999-402509	19991007
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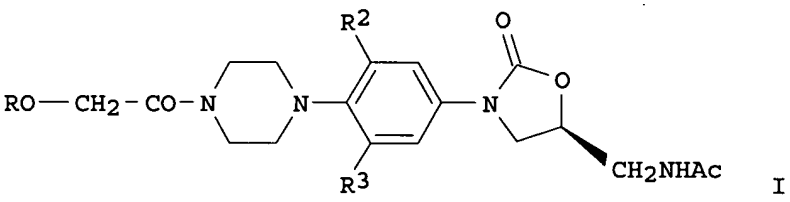


I

AB Compds. I and pharmaceutically acceptable salts are claimed [wherein R = COR1, PO3, or P(O)(OH)2; R1 = C1-6 alkyl, N(R4)2, C1-6 alkyl-N(R4)2, -C6H4N(R4)2, C6H4NHCOCH2NH2, C2H4-morpholinyl, pyridinyl, C1-6 alkyl-OH, C1-6 alkyl-OMe, C1-6 alkyl-Ac, OC1-6 alkyl-OMe, C0-3 alkyl-piperazinyl (optionally substituted with C1-3), imidazolyl, C1-6 alkyl-CO2H, C(CH2OH)2CH3; R2 and R3 = H or F (1 or both must = F); R4 = H or C1-6 alkyl], and 30 examples were prepared and tested. The compds. are water soluble (data given), and are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including multiply-resistant staphylococci, enterococci and streptococci, as well as anaerobic organisms such as bacteroides and clostridia species, and acid-fast organisms such as Mycobacterium tuberculosis. For example, reaction of (S)-N-[[3-[3-fluoro-4-(1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide with PhCH2OCH2COCl and Et3N gave I (R = PhCH2, R2 = H, R3 = F), which underwant hydrogenolysis over Pd/C to give 86.5% I (R = R2 = H, R3 = F). Reaction of this with carbonyldiimidazole in THF gave 82% I (R = Q, R2 = H, R3 = F) (II), which had aqueous solubility of 1.4 mg/mL in phosphate buffer at pH 7. In a test against lethal infection of mice with Staphylococcus aureus, II had an oral and s.c. ED50 of 2 mg/kg, equivalent to that of vancomycin s.c. in the same test.

IC ICM C07D263-20
ICS C07F009-6558; A61K031-42; A61K031-675

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 6300-04-5P, 3-(Dimethylamino)propanoic acid 154590-54-2P 154590-82-6P
154590-83-7P 154591-02-3P 165800-04-4P **170104-80-0P**
170104-81-1P 170104-82-2P 170104-83-3P 170104-84-4P 170104-85-5P
170104-86-6P **170104-87-7P** 170104-88-8P **170104-89-9P**
170104-90-2P 170104-91-3P **170104-92-4P**
170104-93-5P **170104-94-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(intermediate; preparation of esters of [[(hydroxyacetyl)piperazinyl]phenyl] oxazolidinones as antimicrobials)

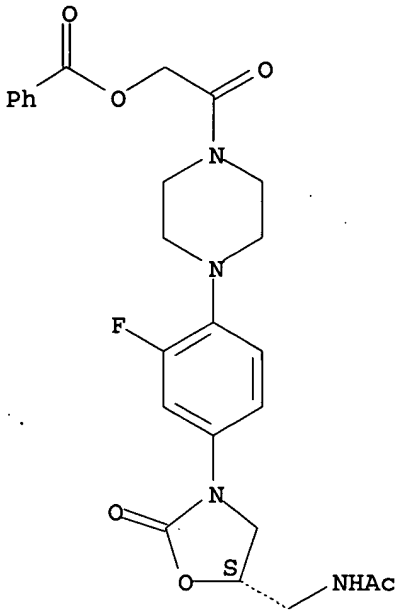
IT **170104-70-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of esters of [[(hydroxyacetyl)piperazinyl]phenyl]oxazolidinones as antimicrobials)

IT 170104-50-4P 170104-51-5P 170104-52-6P 170104-53-7P 170104-55-9P
170104-56-0P **170104-57-1P** 170104-58-2P 170104-59-3P
170104-61-7P 170104-62-8P 170104-63-9P 170104-64-0P 170104-66-2P
170104-67-3P 170104-68-4P 170104-69-5P 170104-71-9P 170104-72-0P
170104-74-2P 170104-75-3P 170104-76-4P **170104-77-5P**
170104-78-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of esters of [[(hydroxyacetyl)piperazinyl]phenyl]oxazolidinones as antimicrobials)

IT **170104-80-0P** **170104-87-7P** **170104-89-9P**
170104-90-2P **170104-92-4P** **170104-93-5P**
170104-94-6P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(intermediate; preparation of esters of [[(hydroxyacetyl)piperazinyl]phenyl] oxazolidinones as antimicrobials)

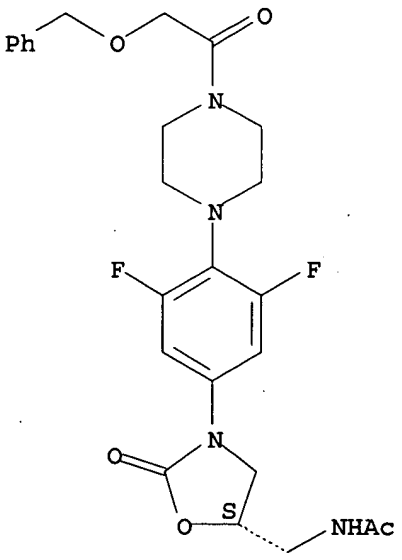
RN 170104-80-0 HCAPLUS
CN Acetamide, N-[[3-[4-[4-[(benzoyloxy)acetyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



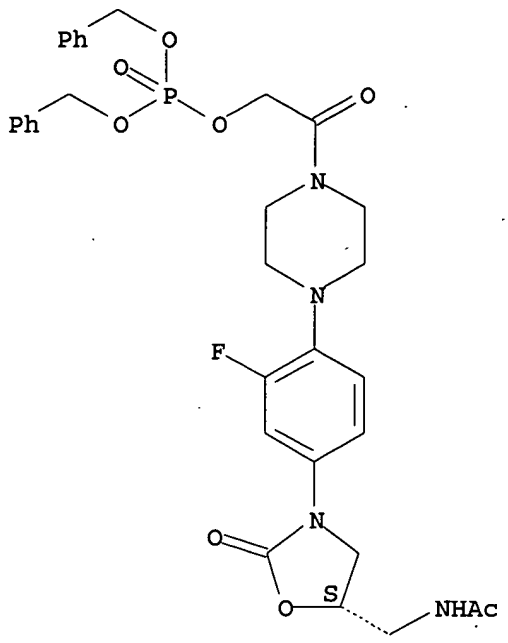
RN 170104-87-7 HCAPLUS
CN Acetamide, N-[[3-[3,5-difluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



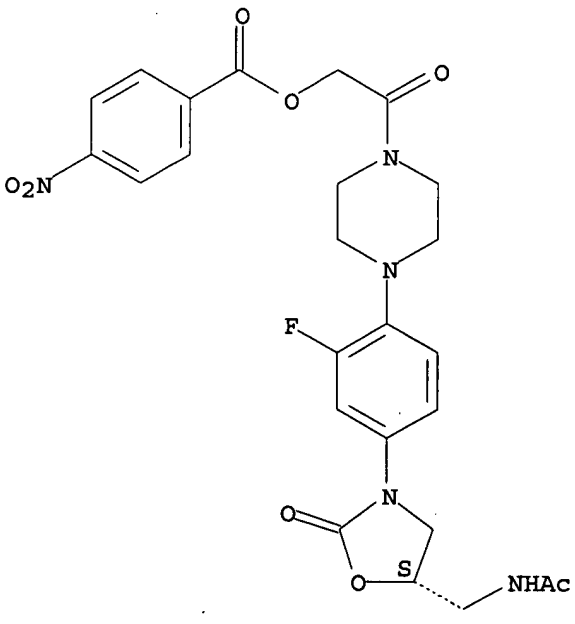
RN 170104-89-9 HCAPLUS
CN Phosphoric acid, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl bis(phenylmethyl) ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170104-90-2 HCAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-[4-[[(4-nitrobenzoyl)oxy]acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

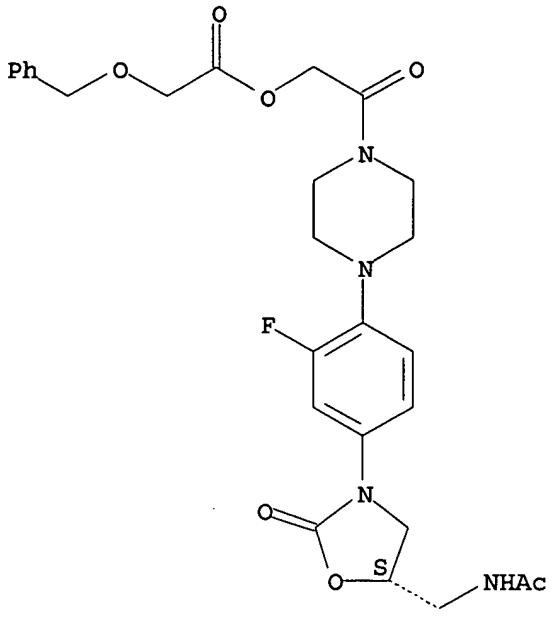
Absolute stereochemistry.



RN 170104-92-4 HCAPLUS
CN Acetic acid, (phenylmethoxy)-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI)

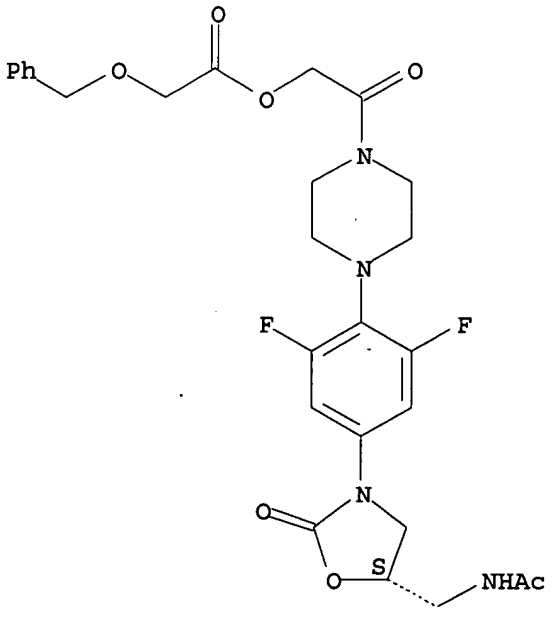
(CA INDEX NAME)

Absolute stereochemistry.



RN 170104-93-5 HCAPLUS
CN Acetic acid, (phenylmethoxy)-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2,6-difluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)-(9CI) (CA INDEX NAME)

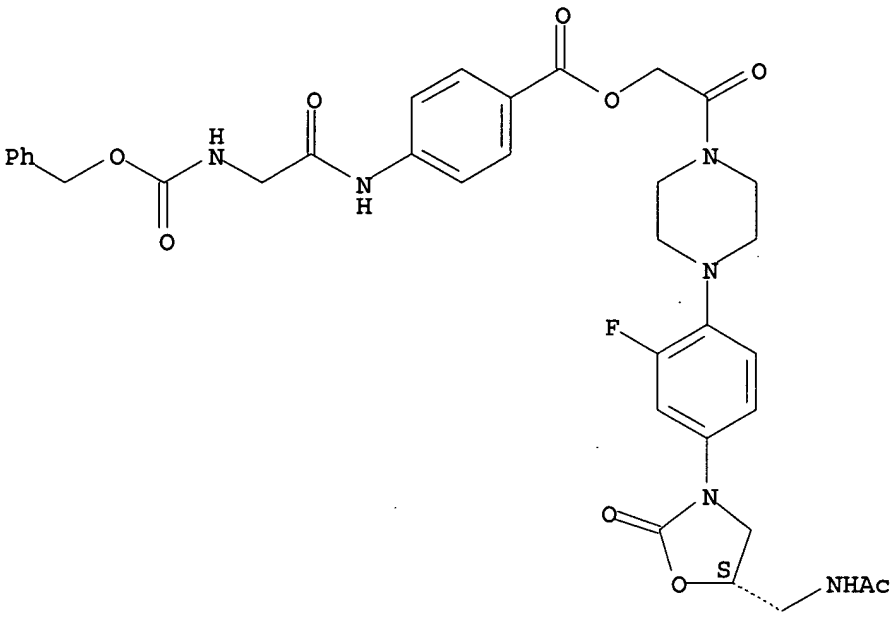
Absolute stereochemistry.



RN 170104-94-6 HCAPLUS

CN Benzoic acid, 4-[[[[(phenylmethoxy)carbonyl]amino]acetyl]amino]-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

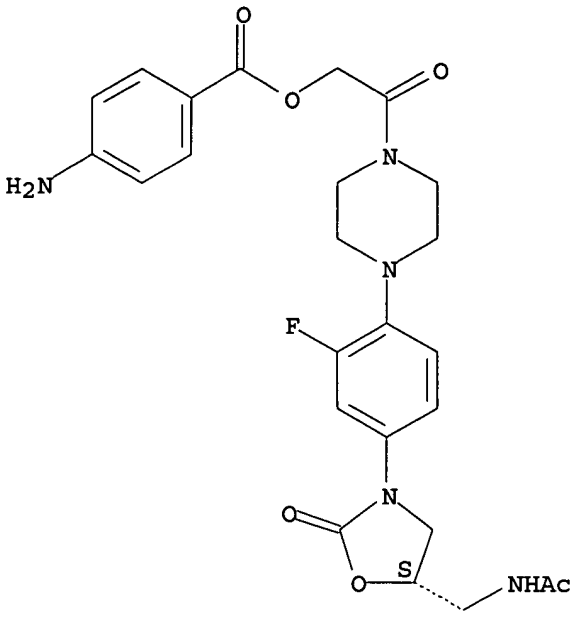


IT **170104-70-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of esters of [[(hydroxyacetyl)piperazinyl]phenyl]oxazolidinones as antimicrobials)

RN 170104-70-8 HCAPLUS

CN Acetamide, N-[[3-[4-[4-[[(4-aminobenzoyl)oxy]acetyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

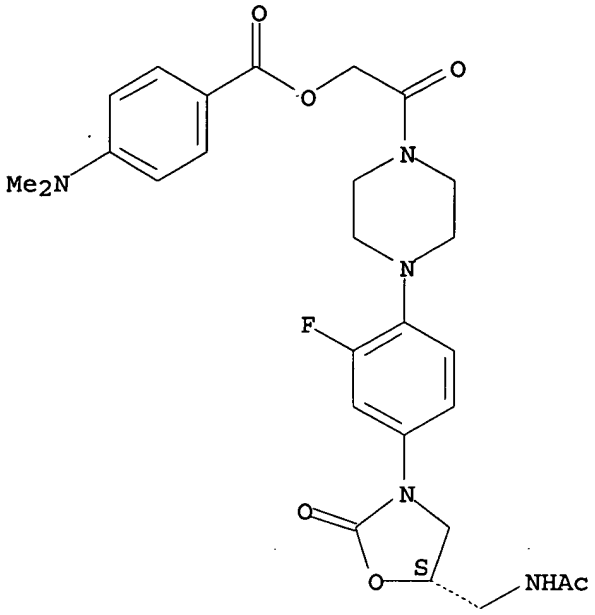


IT **170104-56-0P 170104-57-1P 170104-77-5P**
170104-78-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**;
USES (Uses)
(preparation of esters of [[(hydroxyacetyl)piperazinyl]phenyl]oxazolidinones as antimicrobials)

RN 170104-56-0 HCAPLUS

CN Benzoic acid, 4-(dimethylamino)-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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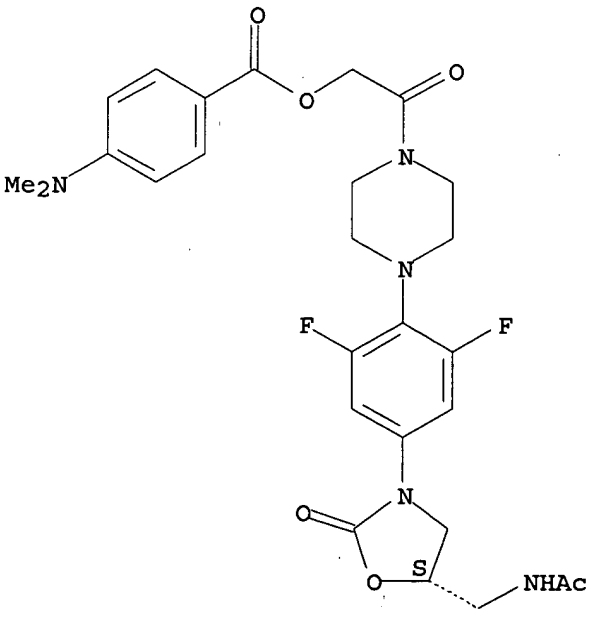
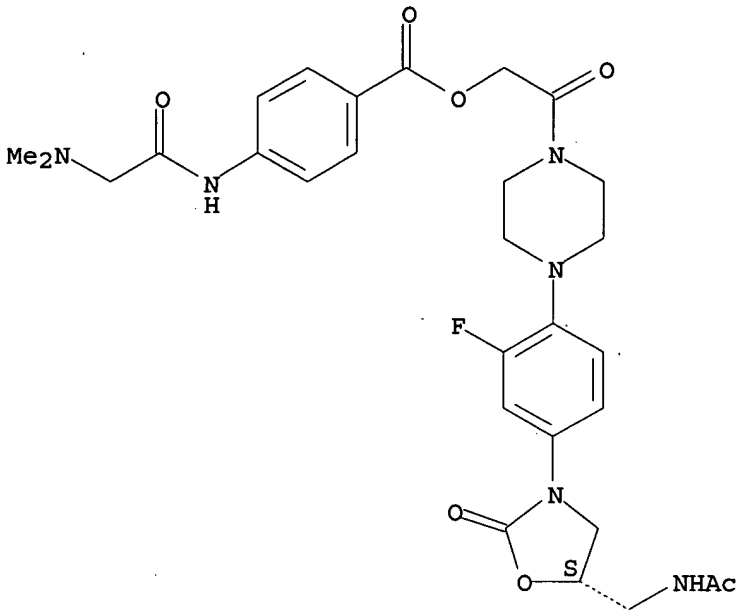
Absolute stereochemistry.



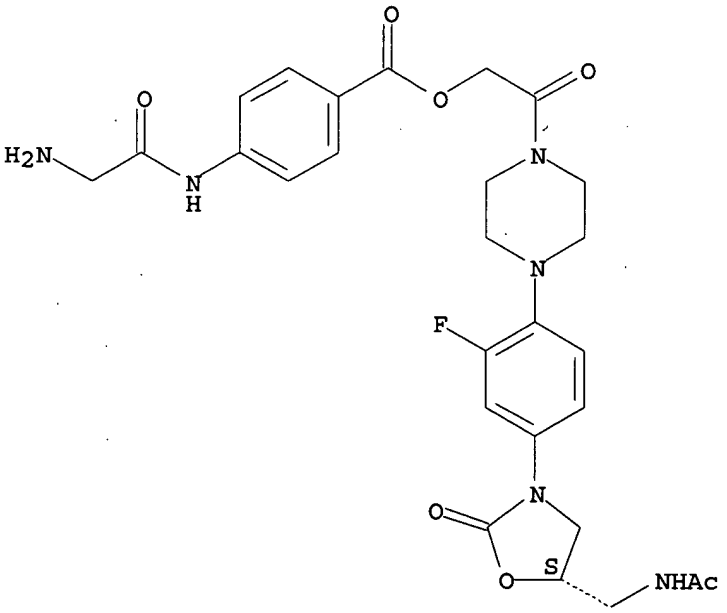
RN 170104-77-5 HCAPLUS
CN Benzoic acid, 4-[[(dimethylamino)acetyl]amino]-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170104-78-6 HCAPLUS
CN Benzoic acid, 4-[(aminoacetyl)amino]-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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only 1 reference to applicant

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AN   2004:453033  HCAPLUS
DN   141:23519
TI   Preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide
     derivatives for therapeutic use as antibacterial agents
IN   Harris, Christina R.; Hester, Jackson Boling, Jr.
PA   Pharmacia & Upjohn Company, USA
SO   PCT Int. Appl., 155 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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	US 2004142939	A1	20040722	US 2003-717237	20031119
	EP 1565186	A1	20050824	EP 2003-772516	20031119
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003016483	A	20051011	BR 2003-16483	20031119
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	US 2003-445530P	P	20030206		
	WO 2003-IB5355	W	20031119		

OS MARPAT 141:23519

IT **697804-34-5P**

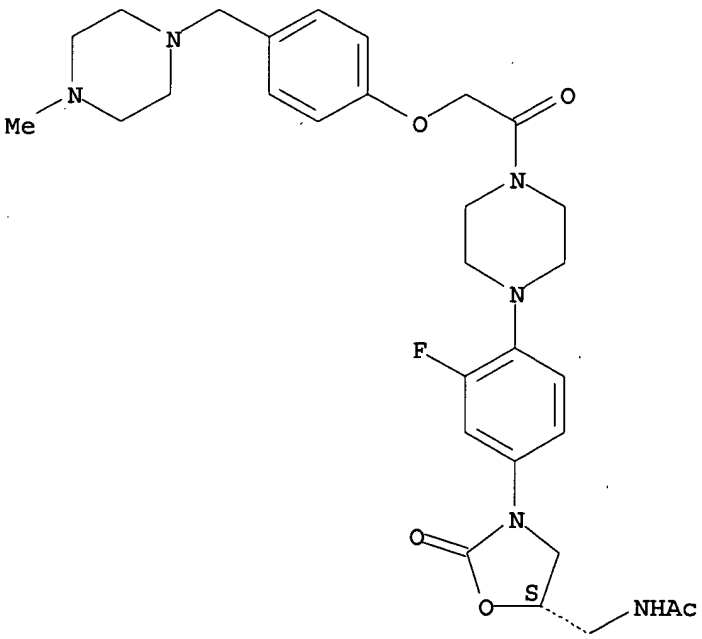
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide derivs. for therapeutic use as antibacterial agents)

RN 697804-34-5 HCAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[[4-[(4-methyl-1-piperazinyl)methyl]phenoxy]acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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